Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTACDR1614

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

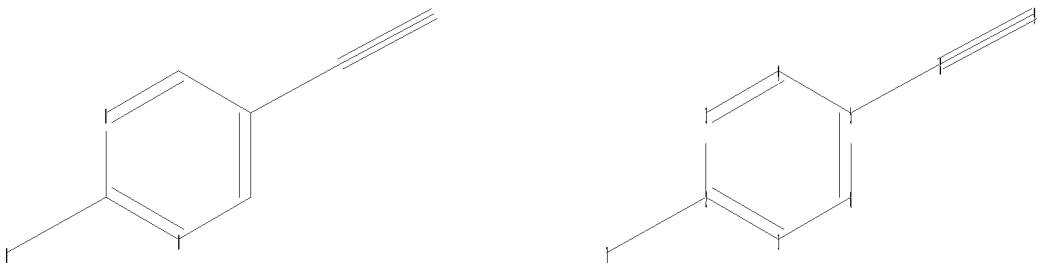
* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS 3	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 4	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 5	APR 28	IMSRESEARCH reloaded with enhancements
NEWS 6	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS 7	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 8	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS 9	JUN 06	KOREAPAT updated with 41,000 documents
NEWS 10	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 11	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS 12	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS 13	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS 14	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS 15	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS 16	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS 17	JUL 28	CA/CAplus patent coverage enhanced
NEWS 18	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS 19	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 20	JUL 28	STN Viewer performance improved
NEWS 21	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS 22	AUG 13	CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS 23	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS 24	AUG 15	CAplus currency for Korean patents enhanced
NEWS 25	AUG 25	CA/CAplus, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching
NEWS 26	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

```
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:47:03 ON 08 SEP 2008

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 13:47:18 ON 08 SEP 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    7 SEP 2008  HIGHEST RN 1047406-12-1
DICTIONARY FILE UPDATES:   7 SEP 2008  HIGHEST RN 1047406-12-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10596745s9.str
```



```
chain nodes :
8  9
ring nodes :
```

1 2 3 4 5 6
ring/chain nodes :
7
chain bonds :
2-7 5-8 8-9
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
2-7
exact bonds :
5-8 8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS

L1   STRUCTURE UPLOADED

=> s l1 sss sam
SAMPLE SEARCH INITIATED 13:47:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   121 TO ITERATE

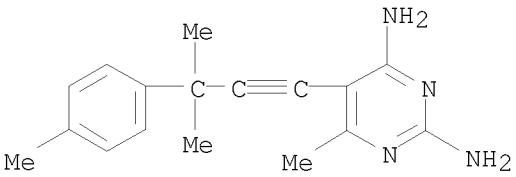
100.0% PROCESSED   121 ITERATIONS   48 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 1761 TO   3079
PROJECTED ANSWERS: 545 TO   1375

L2   48 SEA SSS SAM L1

=> d scan

L2   48 ANSWERS   REGISTRY COPYRIGHT 2008 ACS on STN
IN   2,4-Pyrimidinediamine, 6-methyl-5-[3-methyl-3-(4-methylphenyl)-1-butyn-1-
     yl]-
MF   C17 H20 N4



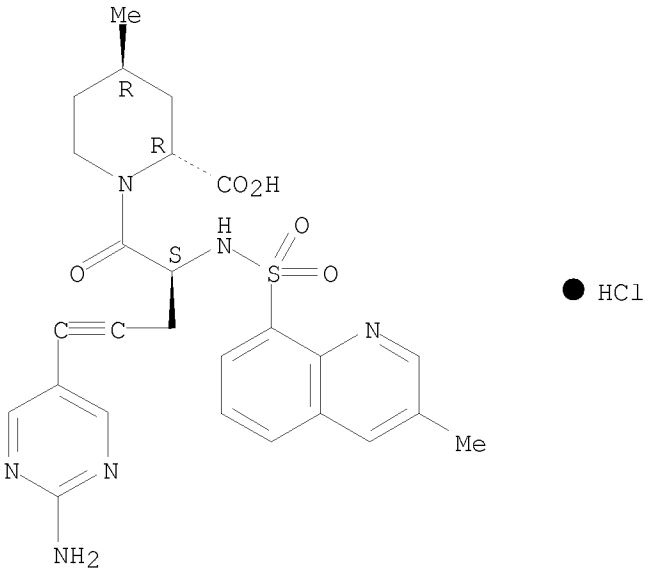
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2   48 ANSWERS   REGISTRY COPYRIGHT 2008 ACS on STN
IN   2-Piperidinecarboxylic acid, 1-[(2S)-5-(2-amino-5-pyrimidinyl)-2-[[(3-
     methyl-8-quinolinyl)sulfonyl]amino]-1-oxo-4-pentyn-1-yl]-4-methyl-,

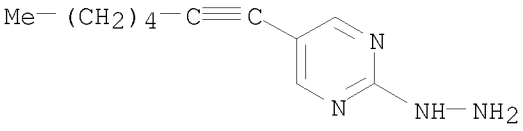
hydrochloride (1:1), (2R,4R)-
MF C26 H28 N6 O5 S . Cl H

Absolute stereochemistry.



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

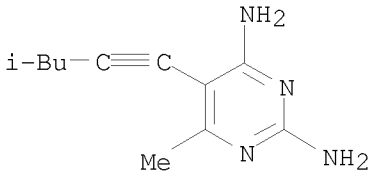
L2 48 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Pyrimidine, 5-(1-heptyn-1-yl)-2-hydrazinyl-
MF C11 H16 N4



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 48 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 2,4-Pyrimidinediamine, 6-methyl-5-(4-methyl-1-pentyn-1-yl)-
MF C11 H16 N4

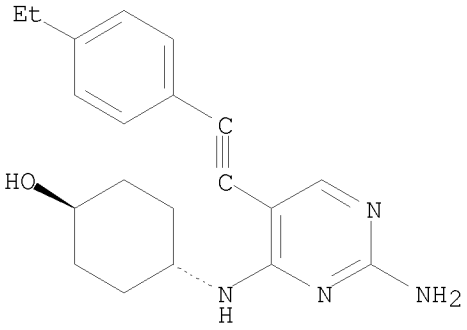


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 48 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Cyclohexanol, 4-[[2-amino-5-[2-(4-ethylphenyl)ethynyl]-4-pyrimidinyl]amino]-, trans-
MF C20 H24 N4 O
CI COM

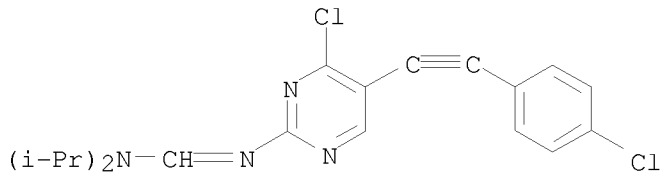
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

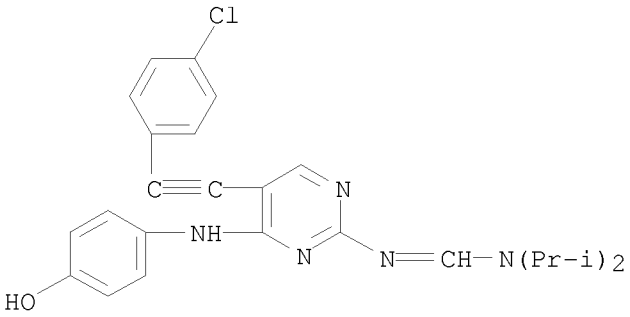
L2 48 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Methanimidamide, N'-[4-chloro-5-[2-(4-chlorophenyl)ethynyl]-2-pyrimidinyl]-N,N-bis(1-methylethyl)-
MF C19 H20 Cl2 N4



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

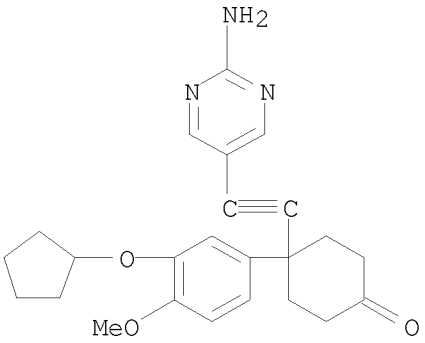
L2 48 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Methanimidamide, N'-[5-[2-(4-chlorophenyl)ethynyl]-4-[(4-
    hydroxyphenyl)amino]-2-pyrimidinyl]-N,N-bis(1-methylethyl)-
MF C25 H26 Cl N5 O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

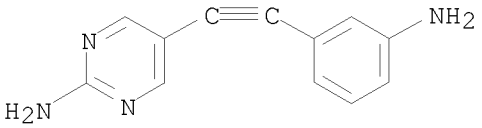
L2 48 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Cyclohexanone, 4-[2-(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-
    4-methoxyphenyl]-
MF C24 H27 N3 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

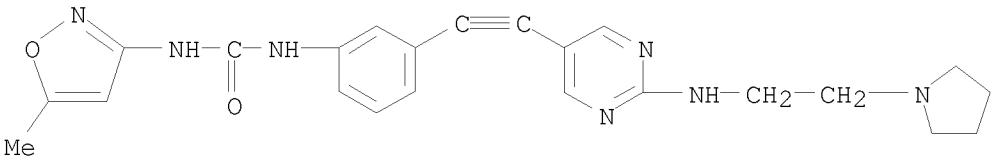
L2 48 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 2-Pyrimidinamine, 5-[2-(3-aminophenyl)ethynyl]-
MF C12 H10 N4



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

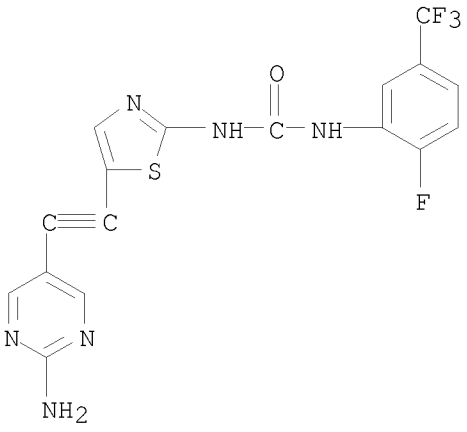
L2 48 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Urea, N-(5-methyl-3-isoxazolyl)-N'-[3-[2-[2-[[2-(1-
    pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-
MF C23 H25 N7 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

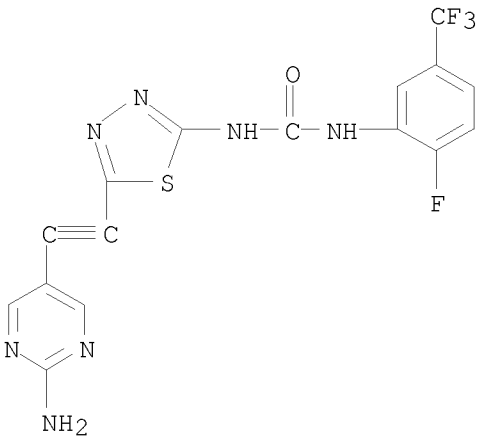
L2 48 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-2-thiazolyl]-N'-[2-fluoro-5-
    (trifluoromethyl)phenyl]-
MF C17 H10 F4 N6 O S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 48 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-1,3,4-thiadiazol-2-yl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]-
MF C16 H9 F4 N7 O S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l1 sss full
FULL SEARCH INITIATED 13:50:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2192 TO ITERATE

100.0% PROCESSED 2192 ITERATIONS 916 ANSWERS
SEARCH TIME: 00.00.01

L3 916 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 180.66 180.87

FILE 'CAPLUS' ENTERED AT 13:51:04 ON 08 SEP 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907 - 8 Sep 2008 VOL 149 ISS 11
FILE LAST UPDATED: 7 Sep 2008 (20080907/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

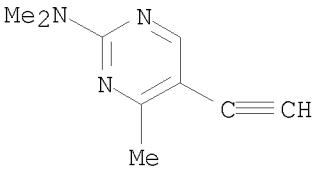
Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

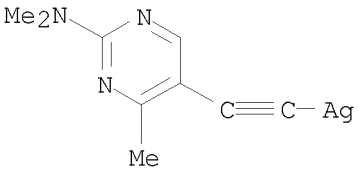
=> s l3
L4   83 L3

=> d ibib abs hitstr 83

L4   ANSWER 83 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1959:34817 CAPLUS
DOCUMENT NUMBER: 53:34817
ORIGINAL REFERENCE NO.: 53:6237b-f
TITLE: Pyrimidines. III. Synthesis and some reactions of
                         5-ethynylpyrimidines
AUTHOR(S):   Hull, R.
CORPORATE SOURCE: Imp. Chem. Ind. Ltd., Macclesfield, UK
SOURCE: Journal of the Chemical Society (1958) 3742-3
                         CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB   cf. C.A. 52, 10099i. 5-Ethynyl-4-methyl-2-phenylpyrimidine (I) and the
     2-Me2N analog (II) were prepared 5-Acetyl-4-methyl-2-phenylpyrimidine (III)
     was converted by PCl5 into the 5-(1-chlorovinyl)pyrimidine (IV), which
     with alc. KOH gave I. PCl5 (37 g.) added slowly to 37 g. III in 200 ml.
     C6H6, the mixture refluxed 2 hrs., cooled, poured into ice and 250 cc. H2O,
     the aqueous phase neutralized with Na2CO3, stirred 0.5 hr., the C6H6 layer
     separated, and the exts. dried and distilled gave 36 g. IV, brown oil, b0.1
     145°. IV (3.3 g.) in 15 ml. alc. refluxed 2 hrs. with 2.4 g. KOH
     in 15 ml. 95% alc., evaporated to dryness, H2O added, and the product extracted
     with Et2O gave 2 g. I, m. 64-5° (ligroine), λ 280 mμ,
     ε 26,500, ν 2.9 and 4.65 μ. Ammoniacal AgNO3 in 50% alc.
     added to 0.4 g. I in alc. gave the Ag salt. The infrared bands are
     attributed to the 5-CH.tplbond.CH group. I (2.04 g.) and 0.8 g. AcSH
     heated gently and left 7 days gave 2-(4-methyl-2-phenyl-5-
     pyrimidinylvinyl)thiolacetate, b0.1 130°. These observations
     confirm the structure of I. II was prepared by a similar series of
     reactions from 5-acetyl-2-dimethylamino-4-methylpyrimidine (V).
     Dimethylguanidine sulfate (6.8 g.) and 7.85 g. AcCH2COCH:CHOEt added to a
     cooled solution of 1.15 g. Na in 20 ml. alc., the mixture refluxed 2 hrs.,
     cooled, filtered, the filtrate evaporated to dryness, and the residue washed
     with H2O and recrystd. gave 7 g. V, needles, m. 56-7° (H2O);
     semicarbazone, m. 226-7° (alc.). V (2.58 g.) and 3 g. PCl5
     similarly refluxed 2 hrs. in C6H6 and separated gave 1.9 g.
     5-(1-chlorovinyl)-2-dimethylamino-4-methylpyrimidine (VI), b0.08
     100°. VI (14.7 g.) in 50 ml. alc. heated under reflux 2 hrs. with
     12.5 g. KOH in 80 ml. alc. gave 9.4 g. II, b0.1 80-4° as a dark red
     oil. II did not give a Beilstein test for halogen and formed a Ag salt
     with ammoniacal AgNO3.
IT   101654-70-0P, Pyrimidine, 2-dimethylamino-5-ethynyl-4-methyl-
     108749-13-9P, Silver, (2-dimethylamino-4-methyl-5-
     pyrimidinylethynyl)-
     RL: PREP (Preparation)
        (preparation of)
RN   101654-70-0 CAPLUS
CN   Pyrimidine, 2-dimethylamino-5-ethynyl-4-methyl- (6CI) (CA INDEX NAME)



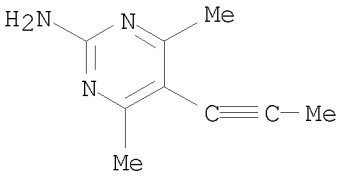
RN 108749-13-9 CAPLUS
CN Silver, (2-dimethylamino-4-methyl-5-pyrimidinylethynyl)- (6CI) (CA INDEX NAME)



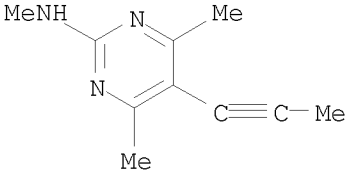
=> d ibib abs hitstr 82

L4 ANSWER 82 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1967:507934 CAPLUS
DOCUMENT NUMBER: 67:107934
ORIGINAL REFERENCE NO.: 67:20315a,20318a
TITLE: Dimroth rearrangement. IX. Formation and isomerizations of propynyl (and related)-iminopyrimidines
AUTHOR(S): Brown, Desmond J.; England, B. T.
CORPORATE SOURCE: John Curtin Sch. Med. Res., Australian Natl. Univ., Canberra, Australia
SOURCE: Journal of the Chemical Society [Section] C: Organic (1967), (19), 1922-7
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB cf. CA 67: 10969v. The insertion of a 5-allyl, a prop-2-ynyl, or a prop-1-ynyl substituent into 1,2-dihydro-2-imino-1,4,6-trimethylpyrimidine progressively decreases the basic strength and increases the rate of Dimroth rearrangement into the corresponding 4,6-dimethyl-2-methylaminopyrimidine (I); similar effects follow replacement of the 1-methyl group in the same imine by such substituents. Condensation of 3-(prop-2-ynyl)acetylacetone with guanidine gives the expected 2-amino-4,6-dimethyl-5-(prop-2-ynyl)pyrimidine, but also the isomeric 5-(prop-1-ynyl)- and 5-allenylpyrimidines; other prop-2-ynylpyrimidines also suffer such prototropic changes which are unprecedented in the series. An alkaline solution of 1,2-dihydro-2-imino-4,6-dimethyl-1-(prop-2-ynyl)pyrimidine undergoes two parallel isomerizations at comparable rates; one is a normal Dimroth rearrangement, and the other a cyclization to 2,4,6-trimethyl-1,3a,7-triazaindene. Evidence for some of the structures was obtained from 1H N.M.R. and uv spectra, which were also used to measure rates of rearrangement.
IT 17602-59-4 17602-78-7
RL: PRP (Properties)
(nuclear magnetic resonance and spectrum (uv) of)
RN 17602-59-4 CAPLUS

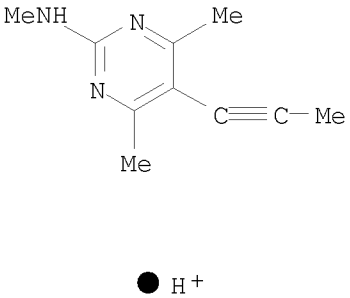
CN Pyrimidine, 2-amino-4,6-dimethyl-5-(1-propynyl)- (8CI) (CA INDEX NAME)



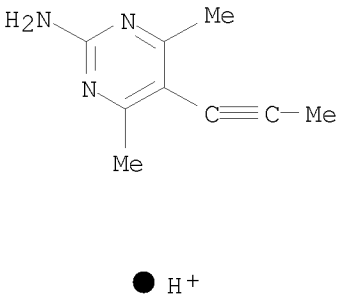
RN 17602-78-7 CAPLUS
CN Pyrimidine, 4,6-dimethyl-2-(methylamino)-5-(1-propynyl)- (8CI) (CA INDEX NAME)



IT 17428-30-7 17667-92-4
RL: PRP (Properties)
(spectrum (uv) of)
RN 17428-30-7 CAPLUS
CN Pyrimidine, 4,6-dimethyl-2-(methylamino)-5-(1-propynyl)-, conjugate monoacid (8CI) (CA INDEX NAME)



RN 17667-92-4 CAPLUS
CN Pyrimidine, 2-amino-4,6-dimethyl-5-(1-propynyl)-, conjugate monoacid (8CI) (CA INDEX NAME)



=> d ibib abs hitstr 81

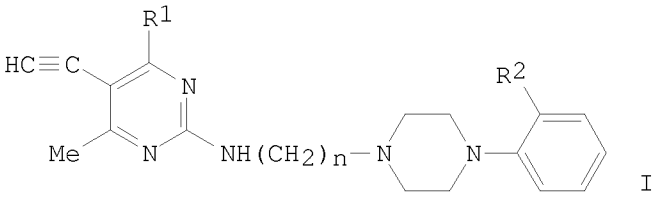
L4 ANSWER 81 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1991:228960 CAPLUS
DOCUMENT NUMBER:	114:228960
ORIGINAL REFERENCE NO.:	114:38629a,38632a
TITLE:	2-[[(4-Phenyl-1-piperazinyl)alkyl]amino]-5-ethynylpyrimidine derivatives, their intermediates, and preparation of the intermediates
INVENTOR(S):	Isobe, Toshio; Nagao, Takashi; Takashi, Yoshiho; Miyagaki, Mitsuhiro; Ito, Shigeru; Azuma, Hiroshi; Ishikawa, Masayuki
PATENT ASSIGNEE(S):	Shiratori Pharmaceutical Co., Ltd., Japan; Hitachi Chemical Co., Ltd.
SOURCE:	Jpn. Kokai Tokkyo Koho, 6 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

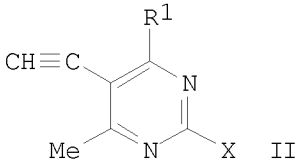
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03007266	A	19910114	JP 1989-140408	19890602
JP 2704231	B2	19980126		
PRIORITY APPLN. INFO.:			JP 1989-140408	19890602
OTHER SOURCE(S):	MARPAT 114:228960			

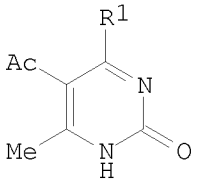
GI



I



II



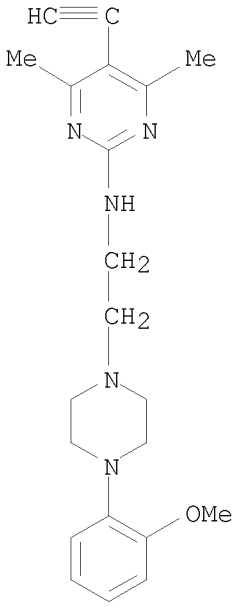
III

AB The title derivs. I [R1 = lower alkyl, (un)substituted phenyl; R2 = alkoxy; n = 2-4], useful as antihypertensives, their intermediates ethynylhalopyrimidiines II (X = halo), and a process for the preparation of II by treatment of acetyldihydropyrimidinones III with halogenating agents are claimed. A mixture of POCl3 and III (R1 = Me) was refluxed for 15.5 h to give 65% II (R1 = Me, X = Cl), which was further treated with 2-[4-(2-methoxyphenyl)-1-piperazinyl]ethylamine and Et3N in MeCN under reflux for 7 h to give 95% I (R1 = Me, R2 = OMe, n = 2) (IV). An aqueous solution of IV mesylate was applied to the right carotid of an anesthetized rabbit at 100 μg/0.1 mL/kg; the antihypertensive activity was 12.5 mmH.

IT 133893-94-4P 133893-95-5P 133893-96-6P
133893-97-7P 133893-98-8P 133893-99-9P
133894-00-5P 133894-01-6P 133894-02-7P
133894-03-8P 133894-04-9P 133894-05-0P
133894-06-1P 133894-07-2P 133894-08-3P
133894-09-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

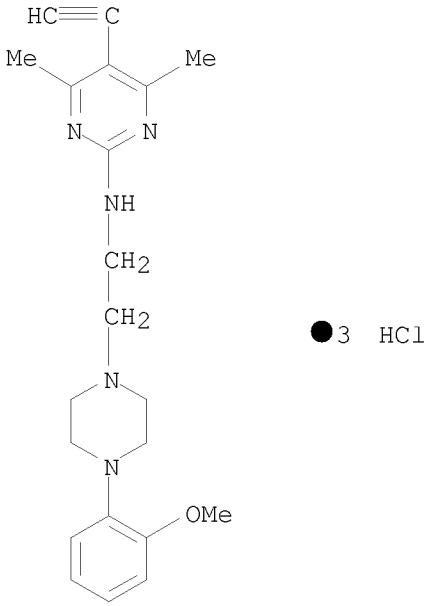
RN 133893-94-4 CAPLUS

CN 2-Pyrimidinamine, 5-ethynyl-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-4,6-dimethyl- (CA INDEX NAME)



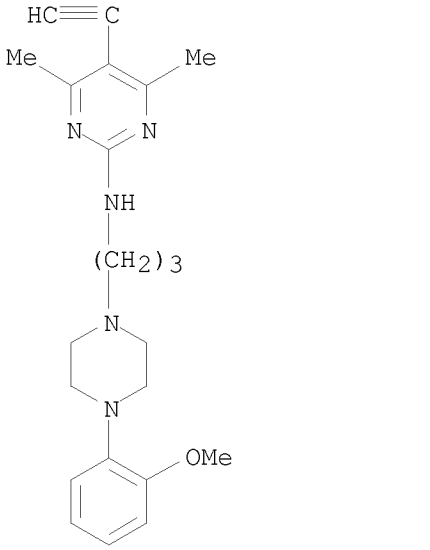
RN 133893-95-5 CAPLUS

CN 2-Pyrimidinamine, 5-ethynyl-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-4,6-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)

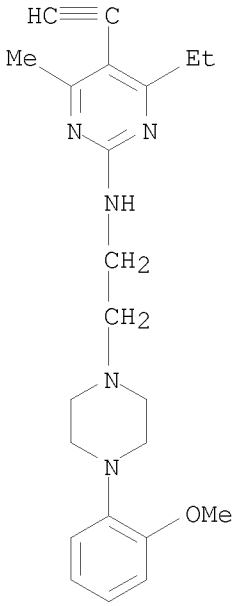


● 3 HCl

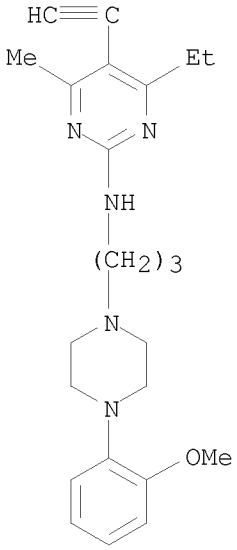
RN 133893-96-6 CAPLUS
CN 2-Pyrimidinamine, 5-ethynyl-N-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-4,6-dimethyl- (CA INDEX NAME)



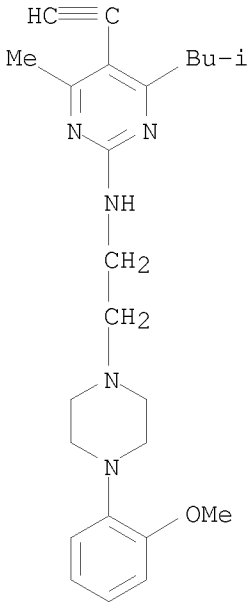
RN 133893-97-7 CAPLUS
CN 2-Pyrimidinamine, 4-ethyl-5-ethynyl-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-6-methyl- (CA INDEX NAME)



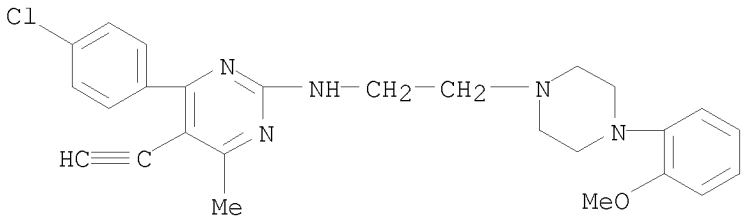
RN 133893-98-8 CAPLUS
CN 2-Pyrimidinamine, 4-ethyl-5-ethynyl-N-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-6-methyl- (CA INDEX NAME)



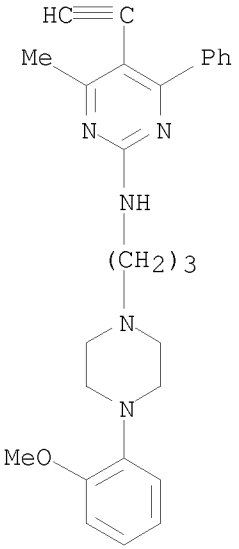
RN 133893-99-9 CAPLUS
CN 2-Pyrimidinamine, 5-ethynyl-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-4-methyl-6-(2-methylpropyl)- (CA INDEX NAME)



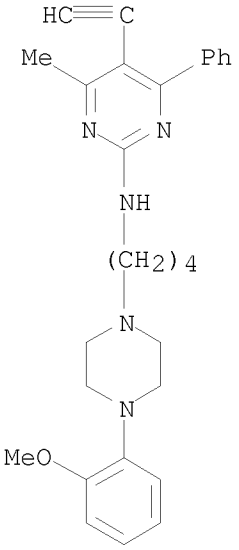
RN 133894-00-5 CAPLUS
CN 2-Pyrimidinamine, 4-(4-chlorophenyl)-5-ethynyl-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-6-methyl- (CA INDEX NAME)



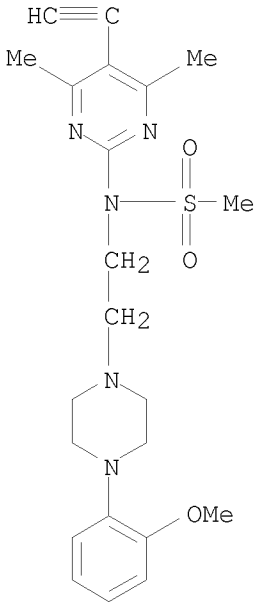
RN 133894-01-6 CAPLUS
CN 2-Pyrimidinamine, 5-ethynyl-N-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-4-methyl-6-phenyl- (CA INDEX NAME)



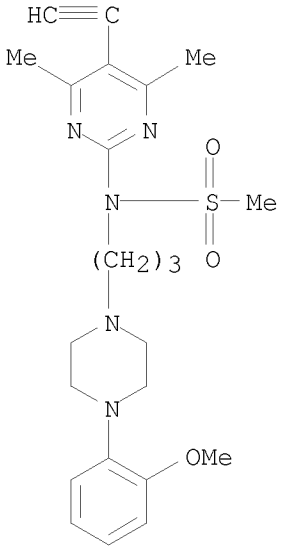
RN 133894-02-7 CAPLUS
CN 2-Pyrimidinamine, 5-ethynyl-N-[4-[4-(2-methoxyphenyl)-1-piperazinyl]butyl]-4-methyl-6-phenyl- (CA INDEX NAME)



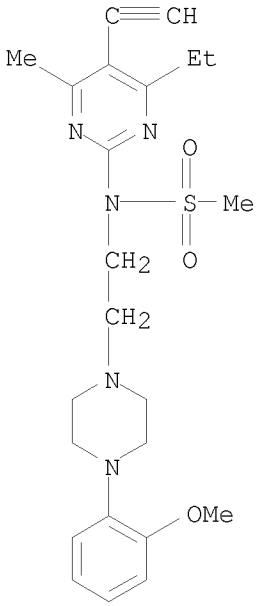
RN 133894-03-8 CAPLUS
CN Methanesulfonamide, N-(5-ethynyl-4,6-dimethyl-2-pyrimidinyl)-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



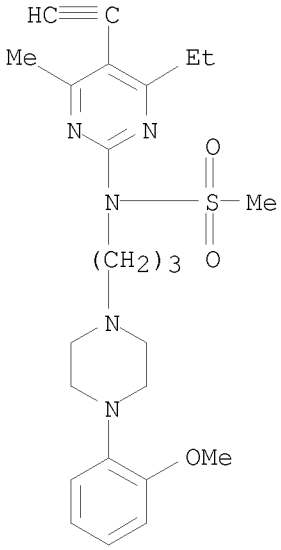
RN 133894-04-9 CAPLUS
CN Methanesulfonamide, N-(5-ethynyl-4,6-dimethyl-2-pyrimidinyl)-N-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]- (CA INDEX NAME)



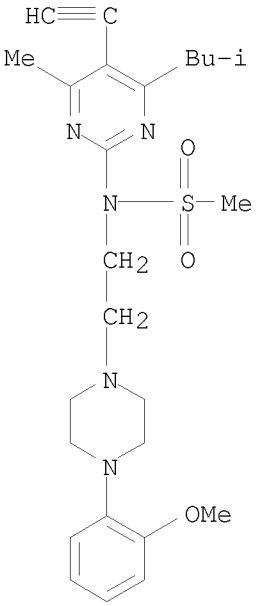
RN 133894-05-0 CAPLUS
CN Methanesulfonamide, N-(4-ethyl-5-ethynyl-6-methyl-2-pyrimidinyl)-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



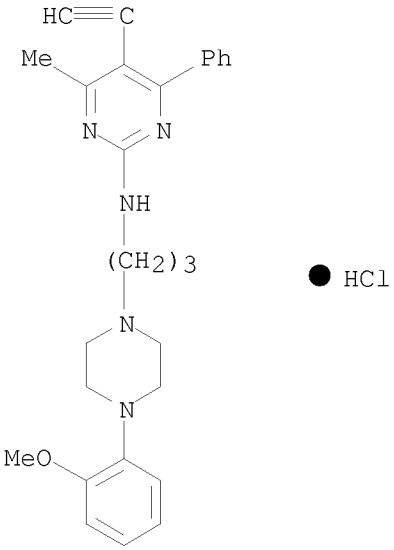
RN 133894-06-1 CAPLUS
CN Methanesulfonamide, N-(4-ethyl-5-ethynyl-6-methyl-2-pyrimidinyl)-N-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]- (CA INDEX NAME)



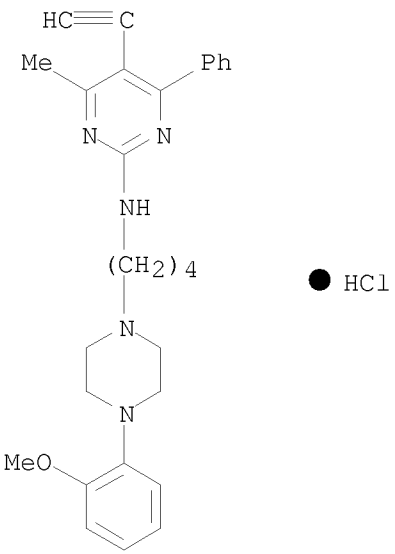
RN 133894-07-2 CAPLUS
CN Methanesulfonamide, N-[5-ethynyl-4-methyl-6-(2-methylpropyl)-2-pyrimidinyl]-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



RN 133894-08-3 CAPLUS
CN 2-Pyrimidinamine, 5-ethynyl-N-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-4-methyl-6-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



RN 133894-09-4 CAPLUS
CN 2-Pyrimidinamine, 5-ethynyl-N-[4-[4-(2-methoxyphenyl)-1-piperazinyl]butyl]-4-methyl-6-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



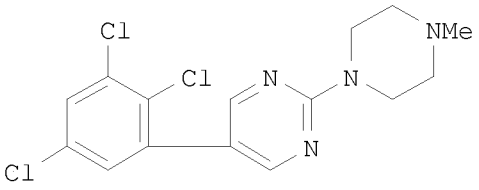
=> d ibib abs hitstr 80

L4 ANSWER 80 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN

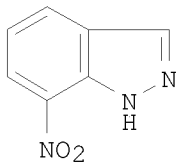
ACCESSION NUMBER: 1995:35265 CAPLUS
DOCUMENT NUMBER: 122:160666
ORIGINAL REFERENCE NO.: 122:29617a,29620a
TITLE: Pyrimidine, pyridine, pteridinone and indazole derivatives as enzyme inhibitors
INVENTOR(S): Bigham, Eric Cleveland; Reinhard, John Frederick, Jr.; Moore, Philip Keith; Babbedge, Rachel Cecilia; Knowles, Richard Graham; Nobbs, Malcolm Stuart; Bull, Donald
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9414780	A1	19940707	WO 1993-GB2556		19931215
W: AU, CA, CZ, JP, KR, KZ, NO, NZ, PL, RU, UA, US, UZ					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9457045	A	19940719	AU 1994-57045		19931215
EP 674627	A1	19951004	EP 1994-902868		19931215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 08504798	T	19960521	JP 1993-514909		19931215
ZA 9309480	A	19950619	ZA 1993-9480		19931217
US 5459158	A	19951017	US 1993-168246		19931217
PRIORITY APPLN. INFO.:			GB 1992-26377	A	19921218
			GB 1993-3221	A	19930218
			WO 1993-GB2556	W	19931215

OTHER SOURCE(S): MARPAT 122:160666
GI



I

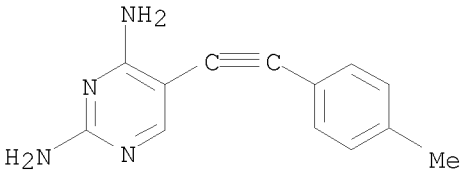


II

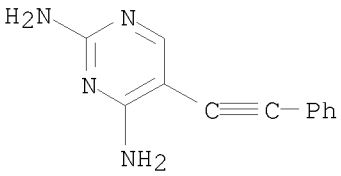
AB The use of a compound which binds at the tetrahydrobiopterin site of NO synthase for the treatment of conditions where there is an advantage in inhibiting neuronal NO synthase with little or no inhibition of endothelial NO synthase is disclosed. Pharmaceutical formulations comprising such compds., i.e., pyridinediamines, pyrimidinediamines and indazole derivs., and processes for their preparation are also disclosed. An example compound, 1-methyl-4-[5-(2,3,5-trichlorophenyl)-2-pyrimidinyl]-1-methylpiperazine (I) inhibited NO synthase in vitro (IC50 = 5.0 μM). Another compound, 7-nitroindazole (II), inhibited NO synthase in mice (IC50 = 1 μM).

IT 157924-50-0P 157924-51-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as neuronal NO synthase inhibitor)

RN 157924-50-0 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-(4-methylphenyl)ethynyl]- (CA INDEX NAME)



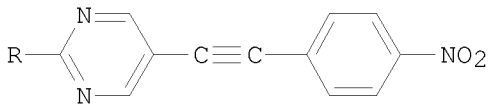
RN 157924-51-1 CAPLUS
CN 2,4-Pyrimidinediamine, 5-(2-phenylethynyl)- (CA INDEX NAME)



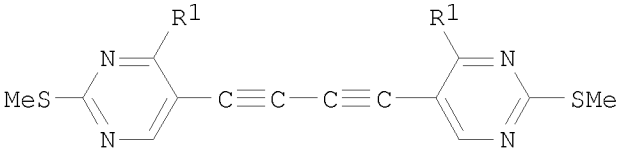
=> d ibib abs hitstr 79

L4 ANSWER 79 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:109255 CAPLUS
DOCUMENT NUMBER: 122:55985
ORIGINAL REFERENCE NO.: 122:10851a,10854a
TITLE: The synthesis of some novel pyrimidine compounds
AUTHOR(S): Zhou, Song; Xu, Hongyao; Ji, Siming; Yu, Congxuan; Liu, Jingyong
CORPORATE SOURCE: Coll. Chem. Eng. and Mat. Sci., Beijing Inst. Technol., Beijing, 100081, Peop. Rep. China
SOURCE: Journal of Beijing Institute of Technology (English Edition) (1993), 2(2), 141-5

CODEN: JBITE5; ISSN: 1004-0579
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



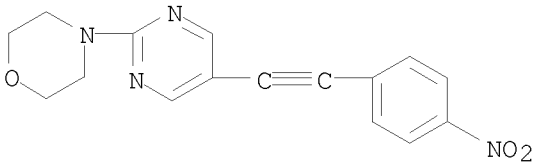
II

AB Novel pyrimidine compds. I (R = MeS, morpholino) and II (R1 = H, Me) were prepared via coupling of acetylides. Their nonlinear optical properties were briefly studied.

IT 160032-11-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of novel pyrimidine compds.)

RN 160032-11-1 CAPLUS

CN Morpholine, 4-[5-[2-(4-nitrophenyl)ethynyl]-2-pyrimidinyl]- (CA INDEX NAME)



=> d ibib abs hitstr 78

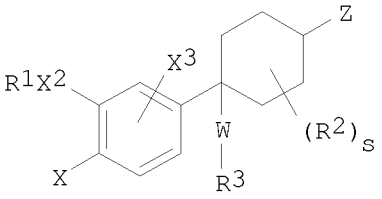
L4 ANSWER 78 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:531791 CAPLUS
DOCUMENT NUMBER: 125:195045
ORIGINAL REFERENCE NO.: 125:36527a,36530a
TITLE: 4,4-(Disubstituted)cyclohexan-1-ol derivatives useful as PDE IV and TNF inhibitors
INVENTOR(S): Christensen, Siegfried B., IV; Karpinski, Joseph M.; Ryan, M. Dominic; Bender, Paul E.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

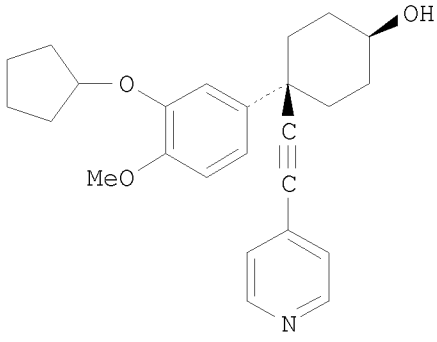
WO 9619988	A1	19960704	WO 1995-US16711	19951221
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, PT, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2208444	A1	19960704	CA 1995-2208444	19951221
AU 9646433	A	19960719	AU 1996-46433	19951221
AU 703246	B2	19990325		
ZA 9510878	A	19970617	ZA 1995-10878	19951221
EP 794774	A1	19970917	EP 1995-944363	19951221
EP 794774	B1	20051012		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9510257	A	19971104	BR 1995-10257	19951221
CN 1175210	A	19980304	CN 1995-197683	19951221
CN 1090020	C	20020904		
HU 77350	A2	19980330	HU 1997-2078	19951221
JP 10511658	T	19981110	JP 1995-520529	19951221
IL 116490	A	20010808	IL 1995-116490	19951221
AT 306260	T	20051015	AT 1995-944363	19951221
TW 412531	B	20001121	TW 1996-85103091	19960315
FI 9702676	A	19970819	FI 1997-2676	19970619
NO 9702906	A	19970815	NO 1997-2906	19970620
US 5891883	A	19990406	US 1997-860287	19970911
IN 1999DE01115	A	20050701	IN 1999-DE1115	19990817
PRIORITY APPLN. INFO.:			US 1994-363506 A	19941223
			US 1995-455866 A	19950531
			WO 1995-US16711 W	19951221
			IN 1995-DE2392 A3	19951222

OTHER SOURCE(S): MARPAT 125:195045

GI



I



II

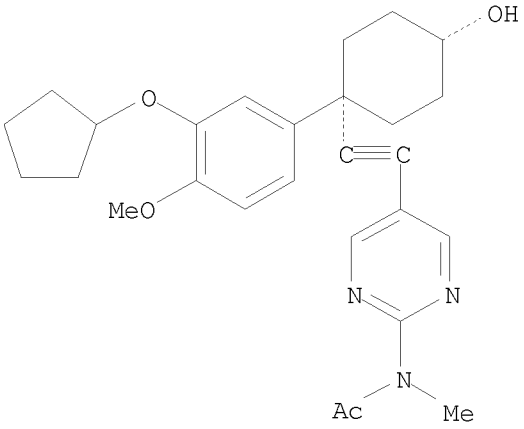
AB The invention relates to novel 4,4-disubstituted cyclohexan-1-ol derivs. I [R1 = various sidechains; X = YR2, F, (un)substituted NH2; Y = O, S(O)m; m = 0, 1, 2; X2 = O, (un)substituted NH; X3 = H, as given for X; R2 = (poly)(halo)methyl or -ethyl; s = 0-4; W = alk(en/yn)yl; R3 = CO2H or esters or amides, (hetero)aryl(alkyl), etc.; Z = OH, SH, NH2, and their derivs.; with provisos]. The compds. are useful for treating allergic and inflammatory diseases (especially asthma), for inhibiting the production of tumor necrosis factor (TNF), as antivirals and antifungals, and for reducing toxicity of antimicrobials such as amphotericin B (no data). For example, 4-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-ethynylcyclohexan-1-one was reduced by NaBH4, and the resulting cis- and trans-cyclohexanol derivs.

were separated by flash chromatog. The trans-isomer was coupled with 4-bromopyridine using Pd(PPh3)4 and CuI to give title compound II. Prepns. of addnl. I and several related 3,3-disubstituted cyclohexanone derivs. are given.

IT 180530-02-3P 180530-03-4P 180530-04-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of cyclohexanol derivs. as PDE IV and TNF inhibitors)

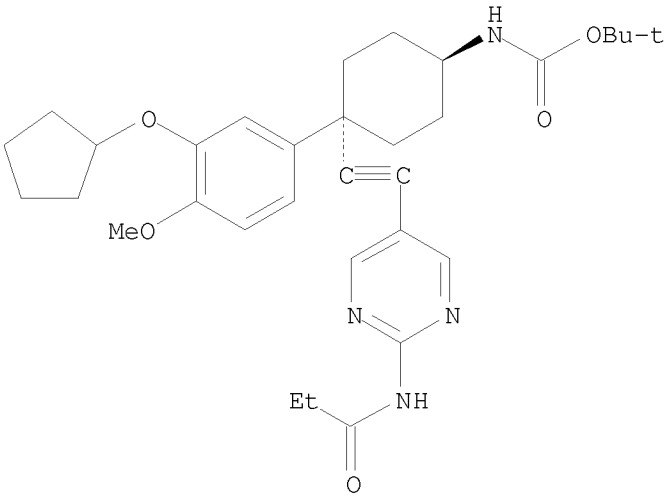
RN 180530-02-3 CAPLUS
CN Acetamide, N-[5-[[1-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-hydroxycyclohexyl]ethynyl]-2-pyrimidinyl]-N-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 180530-03-4 CAPLUS
CN Carbamic acid, [4-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-[[2-[(1-oxopropyl)amino]-5-pyrimidinyl]ethynyl]cyclohexyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

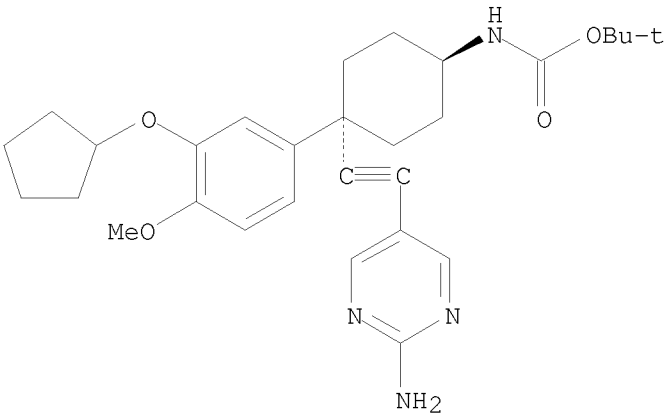
Relative stereochemistry.



RN 180530-04-5 CAPLUS
CN Carbamic acid, [4-[(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]cyclohexyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA

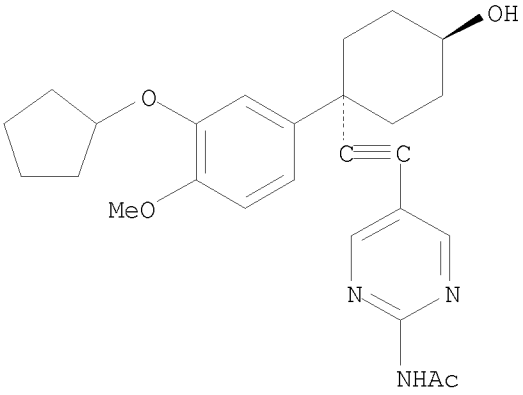
INDEX NAME)

Relative stereochemistry.



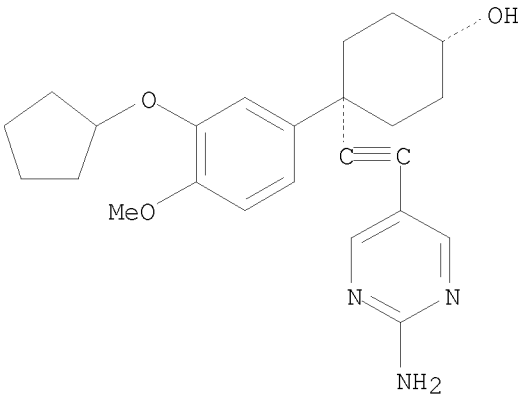
IT 180529-62-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of cyclohexanol derivs. as PDE IV and TNF inhibitors)
RN 180529-62-8 CAPLUS
CN Acetamide, N-[5-[[1-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-hydroxycyclohexyl]ethynyl]-2-pyrimidinyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



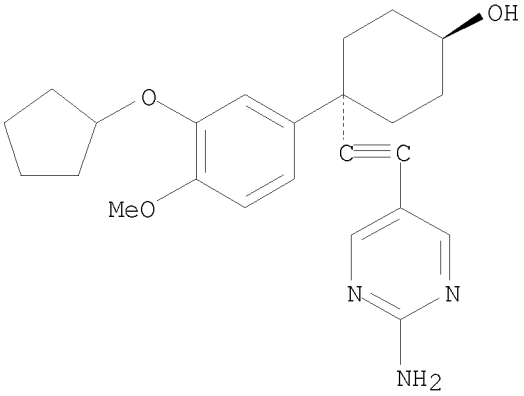
IT 180529-47-9P 180529-63-9P 180529-64-0P
180529-65-1P 180529-66-2P 180529-68-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclohexanol derivs. as PDE IV and TNF inhibitors)
RN 180529-47-9 CAPLUS
CN Cyclohexanol, 4-[(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



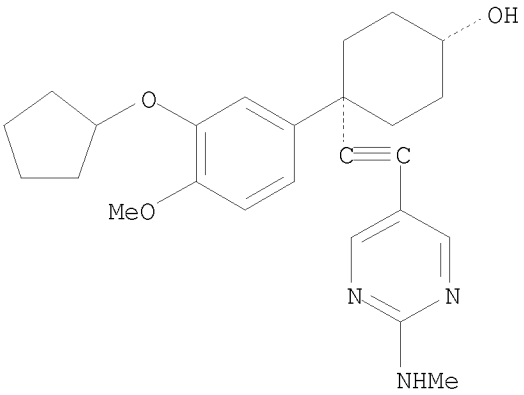
RN 180529-63-9 CAPLUS
CN Cyclohexanol, 4-[(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 180529-64-0 CAPLUS
CN Cyclohexanol, 4-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-[[2-(methylamino)-5-pyrimidinyl]ethynyl]-, cis- (9CI) (CA INDEX NAME)

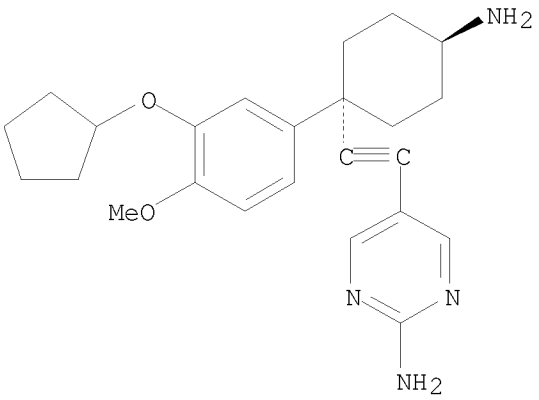
Relative stereochemistry.



RN 180529-65-1 CAPLUS

CN 2-Pyrimidinamine, 5-[[trans-4-amino-1-[3-(cyclopentyloxy)-4-methoxyphenyl]cyclohexyl]ethynyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

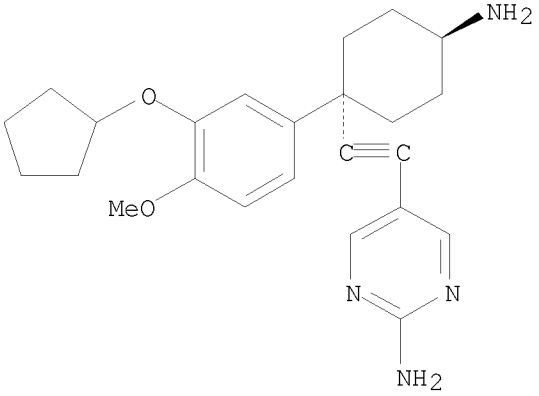


RN 180529-66-2 CAPLUS
CN Sulfamic acid, cyclohexyl-, compd. with trans-5-[[4-amino-1-[3-(cyclopentyloxy)-4-methoxyphenyl]cyclohexyl]ethynyl]-2-pyrimidinamine (1:1) (9CI) (CA INDEX NAME)

CM 1

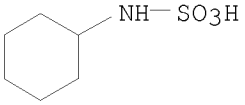
CRN 180529-65-1
CMF C24 H30 N4 O2

Relative stereochemistry.



CM 2

CRN 100-88-9
CMF C6 H13 N O3 S

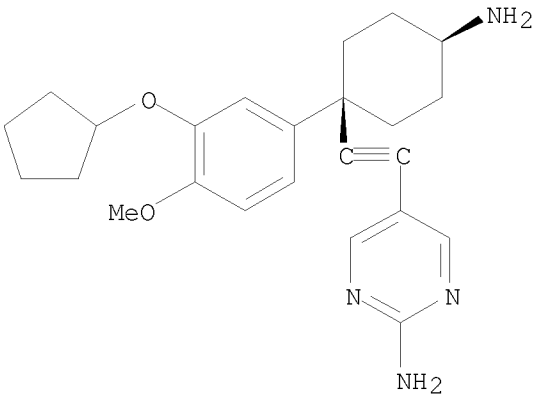


RN 180529-68-4 CAPLUS
CN Sulfamic acid, cyclohexyl-, compd. with cis-5-[[4-amino-1-[3-(cyclopentyloxy)-4-methoxyphenyl]cyclohexyl]ethynyl]-2-pyrimidinamine (1:1) (9CI) (CA INDEX NAME)

CM 1

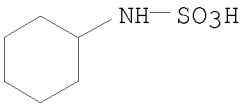
CRN 180529-67-3
CMF C24 H30 N4 O2

Relative stereochemistry.



CM 2

CRN 100-88-9
CMF C6 H13 N O3 S



=> d ibib abs hitstr 77

L4 ANSWER 77 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:534864 CAPLUS
DOCUMENT NUMBER: 125:177411
ORIGINAL REFERENCE NO.: 125:33051a,33054a
TITLE: 4,4-(Disubstituted)cyclohexan-1-carboxylate monomers and related compounds as phosphodiesterase inhibitors
INVENTOR(S): Christensen, Siegfried B., IV; Karpinski, Joseph M.; Ryan, M. Dominic; Bender, Paul E.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9619990	A1	19960704	WO 1995-US16857	19951221
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 796096	A1	19970924	EP 1995-944526	19951221
R: BE, CH, DE, DK, FR, GB, IT, LI, NL				
JP 10511398	T	19981104	JP 1995-520573	19951221
US 5863926	A	19990126	US 1997-860401	19971006
PRIORITY APPLN. INFO.:			US 1994-363123 A	19941223
			WO 1995-US16857 W	19951221

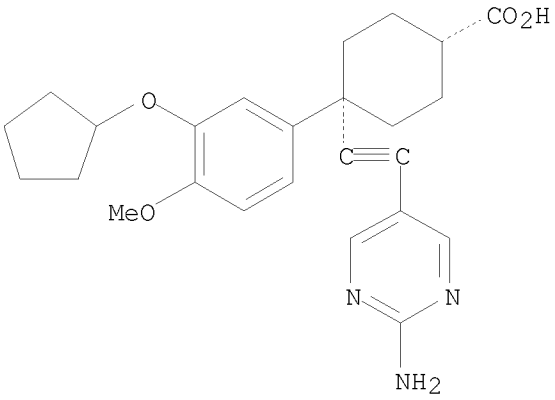
OTHER SOURCE(S): CASREACT 125:177411; MARPAT 125:177411

AB The present invention relates to novel 4,4-(disubstituted)cyclohexan-1-carboxylate monomers and related compds., as cyclic nucleotide phosphodiesterase inhibitors, pharmaceutical compns. containing these compds., and their use in treating allergic and inflammatory diseases and for inhibiting the production of tumor necrosis factor (TNF).

IT 180596-74-1P 180596-75-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
((disubstituted)cyclohexane carboxylate monomers and related compds. for treating allergic and inflammatory diseases and inhibiting TNF)

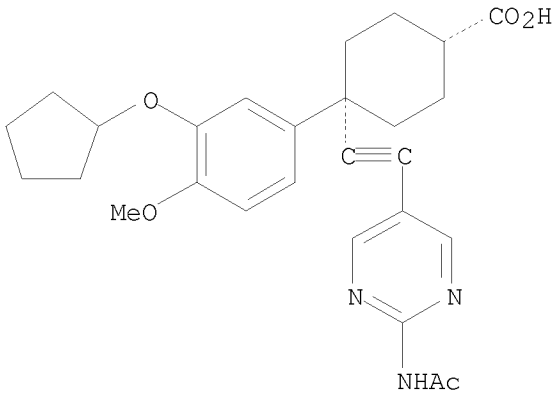
RN 180596-74-1 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 180596-75-2 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[2-(acetylamino)-5-pyrimidinyl]ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



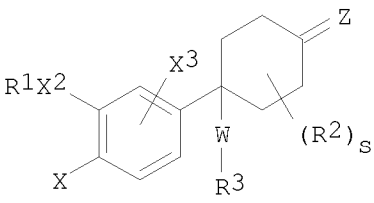
=> d ibib abs hitstr 76

L4 ANSWER 76 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:572149 CAPLUS
DOCUMENT NUMBER: 125:221241
ORIGINAL REFERENCE NO.: 125:41349a,41352a
TITLE: 4,4-(Disubstituted)cyclohexan-1-one derivatives useful as PDE IV and TNF inhibitors
INVENTOR(S): Christensen, Siegfried B.; Karpinski, Joseph M.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

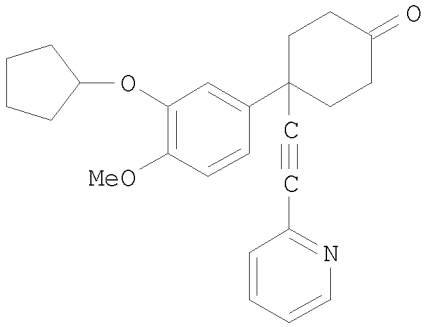
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619995	A1	19960704	WO 1995-US16858	19951221
W: AU, GB, BR, CA, CN, CZ, FI, HU, JP, KP, KR, LT, MX, NO, NZ, PL, RO, RU, UA, US				
RW: LS, MW, SD, SZ, AT, BE, CH, DE, ES, FR, GB, IE, IT, LU, NL, SE				
ZA 9510884	A	19960621	ZA 1995-10884	19951221
CA 2208456	A1	19960704	CA 1995-2208456	19951221
AU 9646883	A	19960719	AU 1996-46883	19951221
AU 708349	B2	19990805		
EP 800393	A1	19971015	EP 1995-944527	19951221
R: BE, CH, DE, DK, FR, GB, IT, LI, NL				
CN 1175211	A	19980304	CN 1995-197681	19951221
BR 9510521	A	19980714	BR 1995-10521	19951221
HU 78042	A2	19990628	HU 1998-2635	19951221
JP 2002516601	T	20020604	JP 1996-520574	19951221
FI 9702673	A	19970819	FI 1997-2673	19970619
NO 9702898	A	19970802	NO 1997-2898	19970620
US 5861421	A	19990119	US 1997-860404	19970623

PRIORITY APPLN. INFO.:	US 1995-455796	A	19950531
	US 1995-456234	A	19950531
	WO 1995-US16858	W	19951221

OTHER SOURCE(S): CASREACT 125:221241; MARPAT 125:221241
GI



I



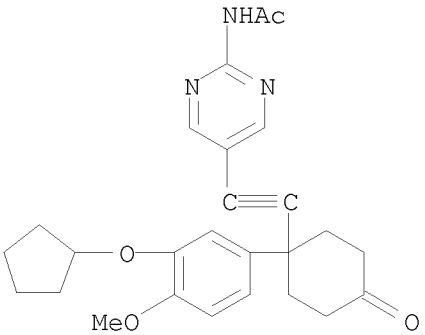
II

AB The invention relates to novel 3,3-disubstituted cyclohexan-1-one derivs. I [R1 = various sidechains; X = YR2, F, (un)substituted NH2; Y = O, S(O)m; m = 0, 1, 2; X2 = O, (un)substituted NH; X3 = H, as given for X; R2 = (poly)(fluoro)methyl or -ethyl; s = 0-4; W = alk(en/yn)yl; R3 = CO2H or esters or amides, (hetero)aryl(alkyl), etc.; Z = oxo and N-containing or (thio)ketal derivs.; with provisos]. The compds. are useful for treating allergic and inflammatory diseases (especially asthma), for inhibiting the production of tumor necrosis factor (TNF), as antivirals and antifungals, and for reducing toxicity of antimicrobials such as amphotericin B (no data). For example, coupling of 4-[3-(cyclopentyloxy)-4-methoxyphenyl]-1,1-(ethylenedioxy)-4-ethynylcyclohexane (preparation given) with 2-bromopyridine in piperidine in the presence of Pd(PPh3)4, CuI, and PPh3, followed by deprotection with pyridinium tosylate in refluxing aqueous Me2CO, gave title compound II.

IT 181220-71-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclohexanone derivs. as PDE IV and TNF inhibitors)

RN 181220-71-3 CAPLUS

CN Acetamide, N-[5-[2-[1-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-oxocyclohexyl]ethynyl]-2-pyrimidinyl]- (CA INDEX NAME)

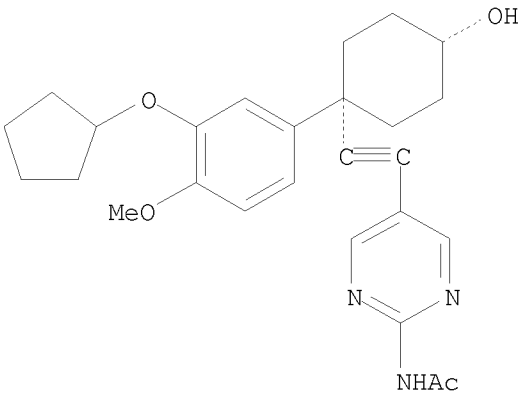


IT 181220-77-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of cyclohexanone derivs. as PDE IV and TNF inhibitors)

RN 181220-77-9 CAPLUS

CN Acetamide, N-[5-[[1-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-hydroxycyclohexyl]ethynyl]-2-pyrimidinyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



=> d ibib abs hitstr 75

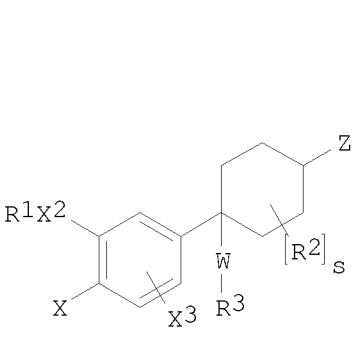
L4 ANSWER 75 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:113375 CAPLUS
DOCUMENT NUMBER: 126:117989
ORIGINAL REFERENCE NO.: 126:22777a,22780a
TITLE: Preparation of 4,4-(disubstituted)cyclohexan-1-ols monomers and related compounds as antiallergic and antiinflammatory agents, and the production of Tumor Necrosis Factor (TNF) inhibitors
INVENTOR(S): Christensen, Siegfried B., Iv; Karpinski, Joseph M.; Ryan, M. Dominic; Bender, Paul E.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Christensen, Siegfried B., Iv; Karpinski, Joseph M.; Ryan, M. Dominic; Bender, Paul E.
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638150	A1	19961205	WO 1996-US8080	19960530
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AZ, BY, KZ, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IL 116490	A	20010808	IL 1995-116490	19951221
TW 412531	B	20001121	TW 1996-85103091	19960315
CA 2222561	A1	19961205	CA 1996-2222561	19960530
AU 9660268	A	19961218	AU 1996-60268	19960530
AU 693706	B2	19980702		
EP 828493	A1	19980318	EP 1996-917870	19960530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
CN 1192146	A	19980902	CN 1996-195874	19960530
CN 1084621	C	20020515		

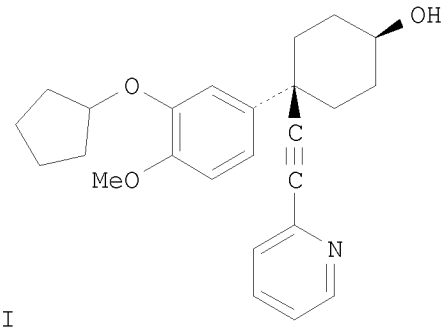
BR 9609368	A	19990518	BR 1996-9368		19960530
JP 11507331	T	19990629	JP 1996-536668		19960530
HU 9900863	A2	19990728	HU 1999-863		19960530
HU 9900863	A3	20000228			
NO 9705503	A	19980128	NO 1997-5503		19971128
US 5977122	A	19991102	US 1997-952812		19971202
PRIORITY APPLN. INFO.:			US 1995-455866	A	19950531
			US 1994-363506	A	19941223
			WO 1996-US8080	W	19960530

OTHER SOURCE(S): MARPAT 126:117989

GI



I



II

AB The title compds. [I; R1 = (CR4R5)nC(O)O(CR4R5)mR6 (wherein R4, R5 = H, C1-2 alkyl; R6 = H, Me, OH, etc.; m = 0-2; n = 1-4), (CR4R5)nC(O)NR4(CR4R5)mR6, etc.; R2 = Me, Et (optionally substituted by 1 or more halogens); R3 = COOH, N-disubstituted C(O)NH2, etc.; X = F, NR4R5, formyl amine, OR2, S(O)m'R2 (wherein m' = 0-2); X2 = O, (un)substituted NH; X3 = H, X; W = C2-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; Z = OH, SH, etc.; s = 0-4], useful in treating asthma, allergy and inflammatory diseases, and for inhibiting the production of Tumor Necrosis Factor (TNF), were prepared Thus, reaction of trans-[4-(3-cyclopentyloxy-4-methoxyphenyl)-4-(2-pyridylethynyl)cyclohexan-1-ol] with 2-bromopyridine in the presence of Pd(PPh3)4, CuI, PPh3 in piperidine afforded 84% the title compound cis-II. In general, compds. I demonstrated a pos. in vivo response in reducing serum levels of TNF induced by the injection of endotoxin.

IT 180529-47-9P

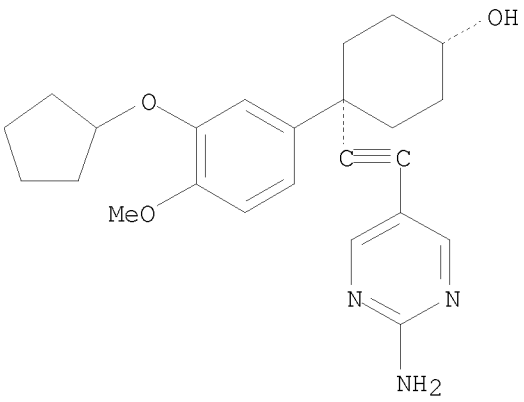
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4,4-(disubstituted)cyclohexan-1-ols monomers and related compds. as antiallergic and antiinflammatory agents, and the production of Tumor Necrosis Factor (TNF) inhibitors)

RN 180529-47-9 CAPLUS

CN Cyclohexanol, 4-[(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



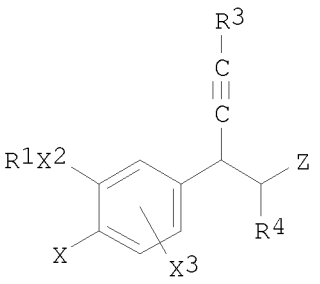
=> d ibib abs hitstr 74

L4 ANSWER 74 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN

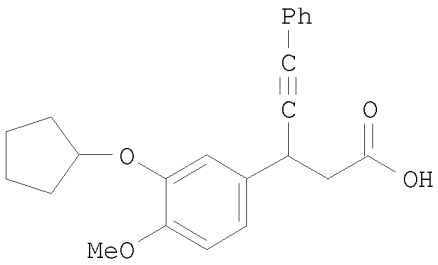
ACCESSION NUMBER: 1997:224040 CAPLUS
DOCUMENT NUMBER: 126:211918
ORIGINAL REFERENCE NO.: 126:40979a,40982a
TITLE: Substituted pent-4-ynoic acids useful for inhibiting production of tumor necrosis factor (TNF)
INVENTOR(S): Christensen, Siegfried B., IV; Karpinski, Josph M.; Frazee, James S.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Christensen, Siegfried B., IV.; Karpinski, Josph M.; Frazee, James S.
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9703945	A1	19970206	WO 1996-US11613		19960712
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AZ, BY, KZ, RU, TJ, TM					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9664903	A	19970218	AU 1996-64903		19960712
EP 827495	A1	19980311	EP 1996-924459		19960712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI					
US 6037367	A	20000314	US 1998-716359		19980914
PRIORITY APPLN. INFO.:			US 1995-1196P	P	19950714
			US 1996-16717P	P	19960502
			WO 1996-US11613	W	19960712

OTHER SOURCE(S): MARPAT 126:211918
GI



I



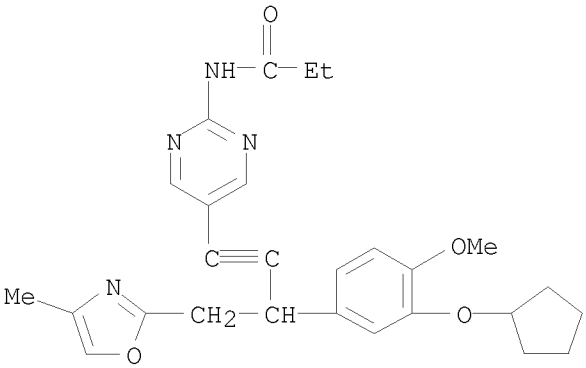
II

AB Title compds. I [R1 = wide variety of sidechains containing esters, amides, ethers, and a variety of functional groups; X = YR2, F, (di)(alkyl)amino, formylamino; Y = O, S, SO, SO2; X2 = O, NH, (fluoro)(alkyl)imino; X3 = H, X; Z = acyl, CO2H and derivs., NH2 and derivs., certain (un)substituted azoles; R2 = Me, Et, or their halo derivs.; R3 = H, alkyl, Ph, phenylalkyl, pyrimidyl(alkyl), imidazolyl(alkyl); R4 = H, acyl, CO2H or esters, CONH2 or derivs., OH or SH or derivs.] and their pharmaceutically acceptable salts are claimed, and approx. 140 examples were prepared As inhibitors of the enzyme PDE IV (no data), I are useful for treatment of allergy, inflammation, and asthma. As inhibitors of TNF (tumor necrosis factor) production in mammals (no data), I are also useful for treating viral infections (including HIV) and yeast or fungal infections which are sensitive to TNF. For instance, the acid II was prepared in 3 steps. Specifically, 2,2-dimethyl-1,3-dioxane-4,6-dione was condensed with 3-(cyclopentyloxy)-4-methoxybenzaldehyde to give the 5-benzylidene derivative (93%), which underwent alkynylation with PhC.tplbond.CLi (84%), followed by hydrolysis with aqueous HCl in dioxane, and thermal decarboxylation in AcNMe2 at 135° (82%), to give II.

IT 188010-04-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of substituted pentynoic acids useful as inhibitors of TNF production)

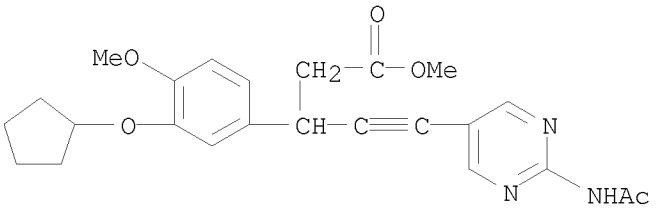
RN 188010-04-0 CAPLUS

CN Propanamide, N-[5-[3-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-(4-methyl-2-oxazolyl)-1-butyn-1-yl]-2-pyrimidinyl]- (CA INDEX NAME)

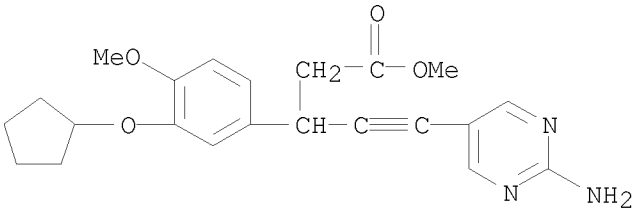


IT 188008-05-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted pentynoic acids useful as inhibitors of TNF

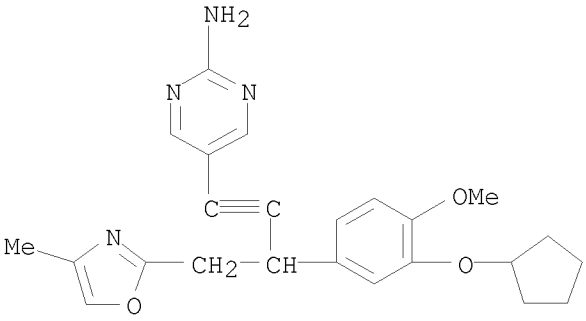
production)
RN 188008-05-1 CAPLUS
CN Benzenepropanoic acid, β-[2-[2-(acetylamino)-5-pyrimidinyl]ethynyl]-3-(cyclopentyloxy)-4-methoxy-, methyl ester (CA INDEX NAME)



IT 188008-07-3P 188009-49-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pentynoic acids useful as inhibitors of TNF production)
RN 188008-07-3 CAPLUS
CN Benzenepropanoic acid, β-[2-(2-amino-5-pyrimidinyl)ethynyl]-3-(cyclopentyloxy)-4-methoxy-, methyl ester (CA INDEX NAME)



RN 188009-49-6 CAPLUS
CN 2-Pyrimidinamine, 5-[3-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-(4-methyl-2-oxazolyl)-1-butyn-1-yl]- (CA INDEX NAME)



=> d ibib abs hitstr 73

L4 ANSWER 73 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:287177 CAPLUS
DOCUMENT NUMBER: 126:343574
ORIGINAL REFERENCE NO.: 126:66821a

TITLE: Preparation of 5-[ω-(substituted aryl)alkenylene- and -alkynylene]-2,4-diaminopyrimidines as pesticides

INVENTOR(S): Henrie, Ii Robert N.; Peake, Clinton J.; Cullen, Thomas G.; Yeager, Walter H.; Brown, Mary E.; Buser, John W.

PATENT ASSIGNEE(S): FMC Corp., USA

SOURCE: U.S., 36 pp., Cont.-in-part of U.S. Ser. No. 241,083, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

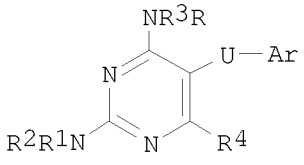
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5622954	A	19970422	US 1995-398205	19950302
US 5696259	A	19971209	US 1996-681032	19960722

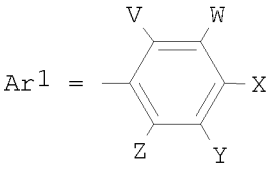
PRIORITY APPLN. INFO.: US 1994-241083 B2 19940511
US 1995-398205 A3 19950302

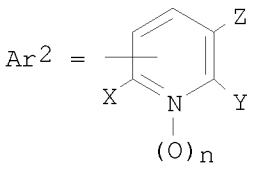
OTHER SOURCE(S): MARPAT 126:343574

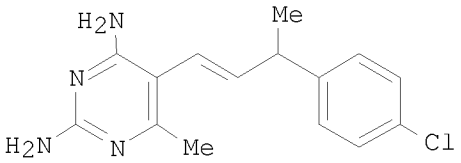
GI



I







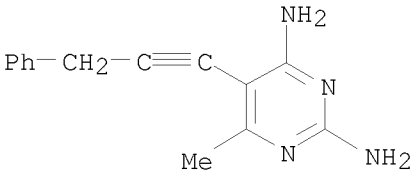
II

AB 5-Substituted-2,4-diaminopyrimidines, e.g. I [R, R1, R2, R3 = H, alkyl, cycloalkyl, alkoxyalkyl, alkoxyalkoxyalkyl, aralkyl, alkylcarbonyl, cycloalkylcarbonyl, alkoxycarbonyl, alkoxyalkylcarbonyl, alkoxyalkoxyalkylcarbonyl, arylcarbonyl, pyridinylcarbonyl, aryloxyalkyl, haloalkylcarbonyl, cyanoalkylcarbonyl; R4 = H, alkyl; U = alkenylene, haloalkenylene, alkoxyalkenylene, hydroxyalkenylene, alkynylene, alkoxyalkynylene, heterocyclylalkynylene, oxoalkynylene, hydroxyalkynylene; Ar = Ar1, Ar2; V, W, X, Y, Z = H, halogen, haloalkyl, alkoxy, haloalkoxy, alkoxyalkyl, alkylsulfonyl, substituted aryl, substituted aryloxy, OH; n = 0, 1], and their agriculturally acceptable

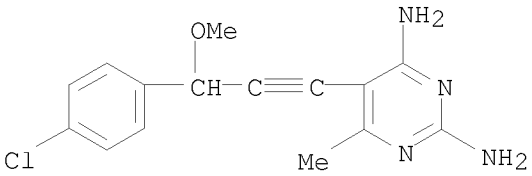
salts are useful as active ingredients in novel insecticidal and acaricidal compns. Thus, pyrimidine II was prepared from 4-ClC6H4MgBr and MeCO(CH2)3CN via cyclization of 4-ClC6H4CHMeCH:CHC(CN):CMeO(CH2)4Me with guanine hydrochloride. II showed pesticidal activity with 100% growth inhibition and 90% mortality of Tobacco budworm at 10-4 M.

IT 189810-11-5P 189810-12-6P 189810-13-7P
189810-14-8P 189810-17-1P 189810-31-9P
189810-32-0P 189810-34-2P 189810-35-3P
189810-36-4P 189810-37-5P 189810-38-6P
189810-40-0P 189810-42-2P 189810-44-4P
189810-45-5P 189810-46-6P 189810-47-7P
189810-48-8P 189810-49-9P 189810-50-2P
189810-51-3P 189810-55-7P 189810-56-8P
189810-59-1P 189810-60-4P 189810-62-6P
189810-63-7P 189810-64-8P 189810-66-0P
189810-67-1P 189810-73-9P 189810-79-5P
189810-80-8P 189812-67-7P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [(substituted aryl)alkenylene- and -alkynylene]pyrimidinediamines as pesticides)

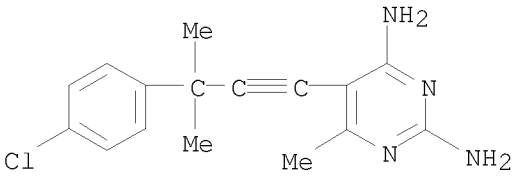
RN 189810-11-5 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-(3-phenyl-1-propyn-1-yl)- (CA INDEX NAME)



RN 189810-12-6 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-chlorophenyl)-3-methoxy-1-propyn-1-yl]-6-methyl- (CA INDEX NAME)

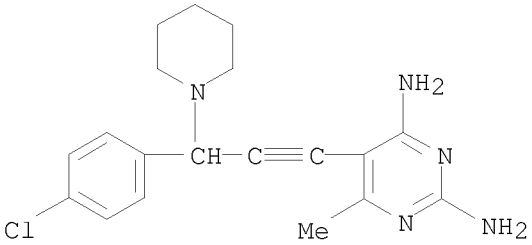


RN 189810-13-7 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-chlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)

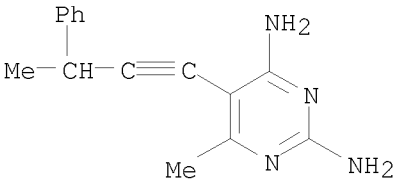


RN 189810-14-8 CAPLUS

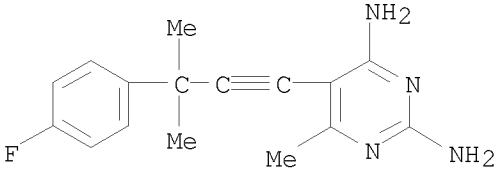
CN 2,4-Pyrimidinediamine, 5-[3-(4-chlorophenyl)-3-(1-piperidinyl)-1-propyn-1-yl]-6-methyl- (CA INDEX NAME)



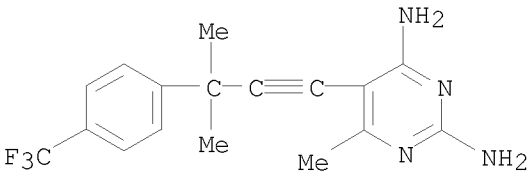
RN 189810-17-1 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-(3-phenyl-1-butyn-1-yl)- (CA INDEX NAME)



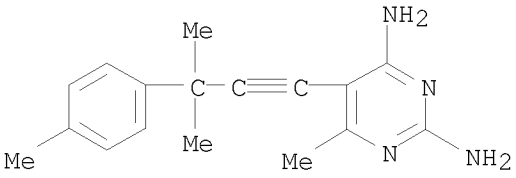
RN 189810-31-9 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-fluorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



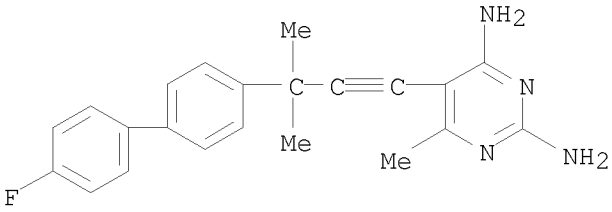
RN 189810-32-0 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-[3-methyl-3-[4-(trifluoromethyl)phenyl]-1-butyn-1-yl]- (CA INDEX NAME)



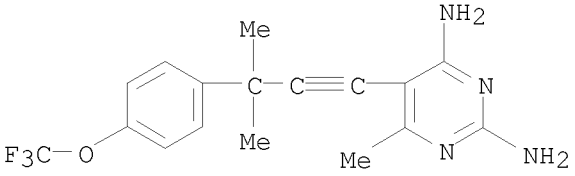
RN 189810-34-2 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-[3-methyl-3-(4-methylphenyl)-1-butyn-1-yl]- (CA INDEX NAME)



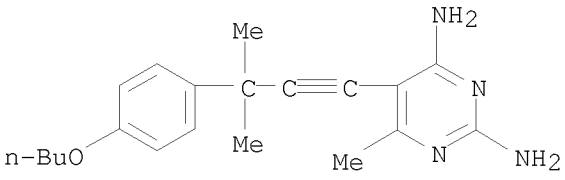
RN 189810-35-3 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4'-fluoro[1,1'-biphenyl]-4-yl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



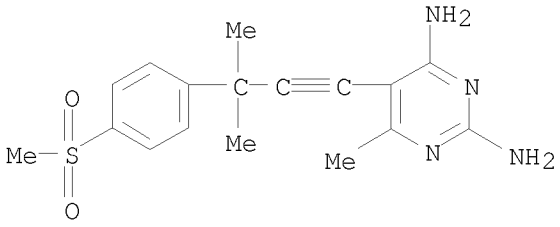
RN 189810-36-4 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-[3-methyl-3-[4-(trifluoromethoxy)phenyl]-1-butyn-1-yl]- (CA INDEX NAME)



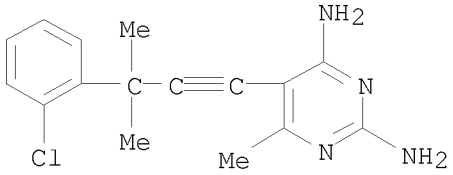
RN 189810-37-5 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-butoxyphenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



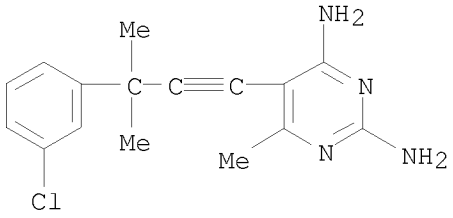
RN 189810-38-6 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-[3-methyl-3-[4-(methylsulfonyl)phenyl]-1-butyn-1-yl]- (CA INDEX NAME)



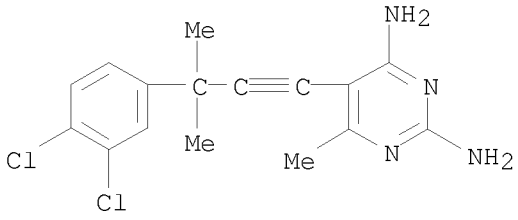
RN 189810-40-0 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(2-chlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



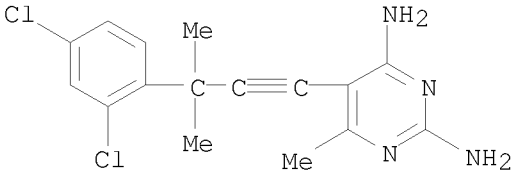
RN 189810-42-2 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(3-chlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



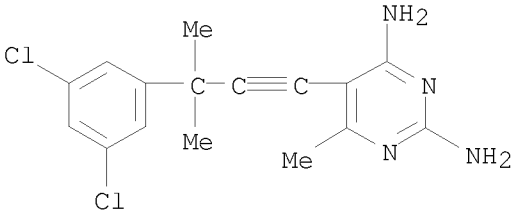
RN 189810-44-4 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(3,4-dichlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



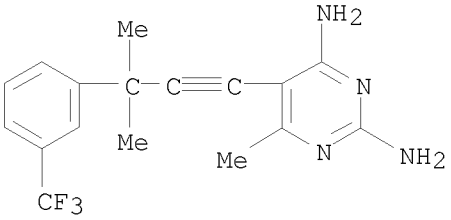
RN 189810-45-5 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(2,4-dichlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



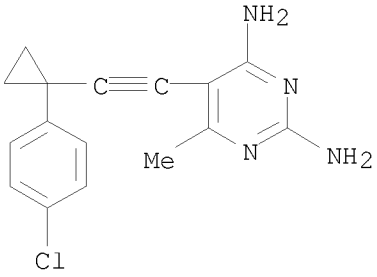
RN 189810-46-6 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(3,5-dichlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



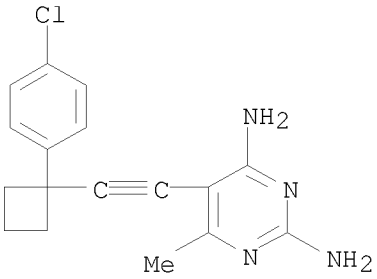
RN 189810-47-7 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-[3-methyl-3-[3-(trifluoromethyl)phenyl]-1-butyn-1-yl]- (CA INDEX NAME)



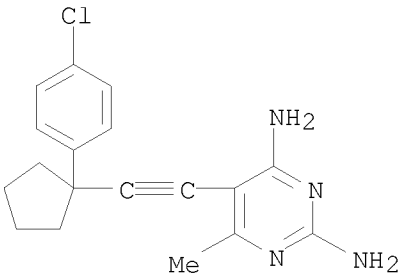
RN 189810-48-8 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-[1-(4-chlorophenyl)cyclopropyl]ethynyl]-6-methyl- (CA INDEX NAME)



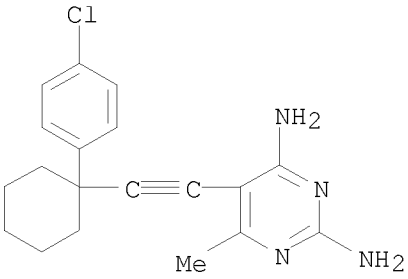
RN 189810-49-9 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-[1-(4-chlorophenyl)cyclobutyl]ethynyl]-6-methyl- (CA INDEX NAME)



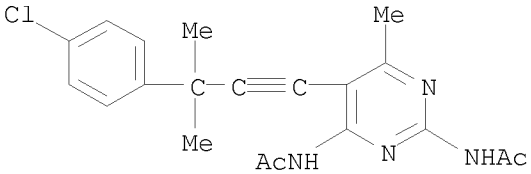
RN 189810-50-2 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-[1-(4-chlorophenyl)cyclopentyl]ethynyl]-6-methyl- (CA INDEX NAME)



RN 189810-51-3 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-[1-(4-chlorophenyl)cyclohexyl]ethynyl]-6-methyl- (CA INDEX NAME)

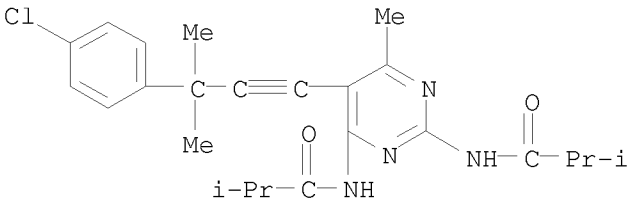


RN 189810-55-7 CAPLUS
CN Acetamide, N,N'-[5-[3-(4-chlorophenyl)-3-methyl-1-butynyl]-6-methyl-2,4-pyrimidinediyl]bis- (9CI) (CA INDEX NAME)

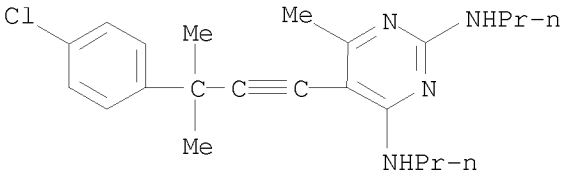


RN 189810-56-8 CAPLUS
CN Propanamide, N,N'-[5-[3-(4-chlorophenyl)-3-methyl-1-butynyl]-6-methyl-2,4-

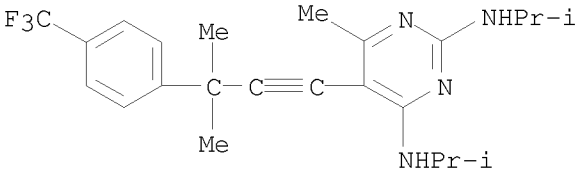
pyrimidinediyl]bis[2-methyl- (9CI) (CA INDEX NAME)



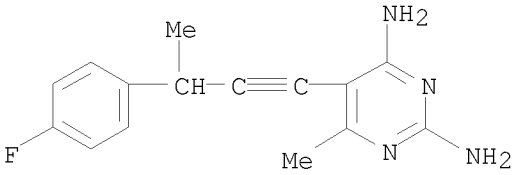
RN 189810-59-1 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-chlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl-N2,N4-dipropyl- (CA INDEX NAME)



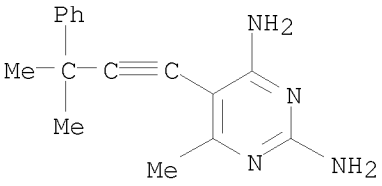
RN 189810-60-4 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-N2,N4-bis(1-methylethyl)-5-[3-methyl-3-[4-(trifluoromethyl)phenyl]-1-butyn-1-yl]- (CA INDEX NAME)



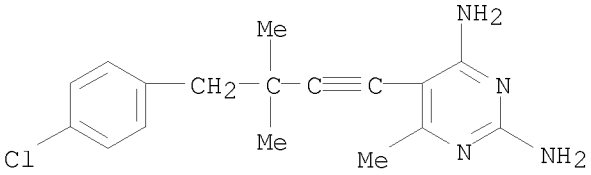
RN 189810-62-6 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-fluorophenyl)-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



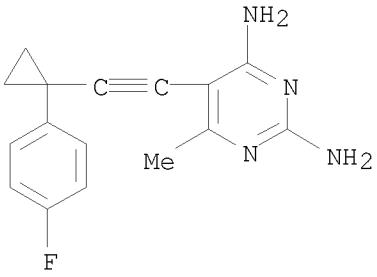
RN 189810-63-7 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-(3-methyl-3-phenyl-1-butyn-1-yl)- (CA INDEX NAME)



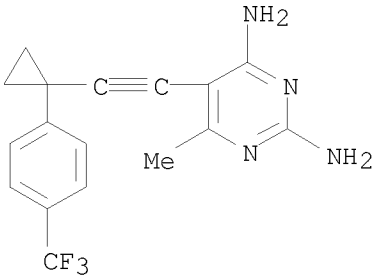
RN 189810-64-8 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[4-(4-chlorophenyl)-3,3-dimethyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



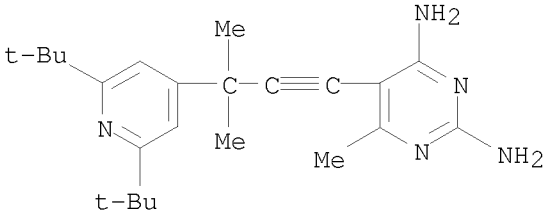
RN 189810-66-0 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-[1-(4-fluorophenyl)cyclopropyl]ethynyl]-6-methyl- (CA INDEX NAME)



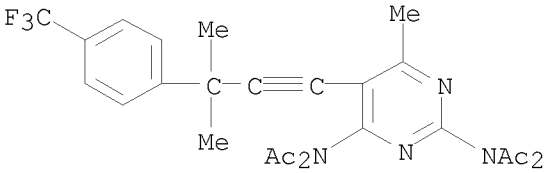
RN 189810-67-1 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-[2-[1-[4-(trifluoromethyl)phenyl]cyclopropyl]ethynyl]- (CA INDEX NAME)



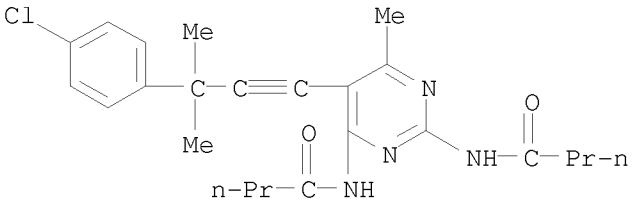
RN 189810-73-9 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-[2,6-bis(1,1-dimethylethyl)-4-pyridinyl]-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



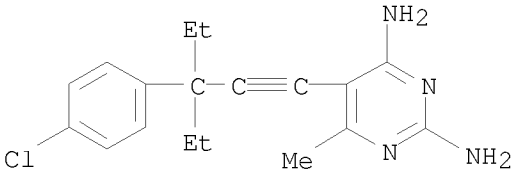
RN 189810-79-5 CAPLUS
CN Acetamide, N,N'-[6-methyl-5-[3-methyl-3-[4-(trifluoromethyl)phenyl]-1-butynyl]-2,4-pyrimidinediyl]bis[N-acetyl- (9CI) (CA INDEX NAME)



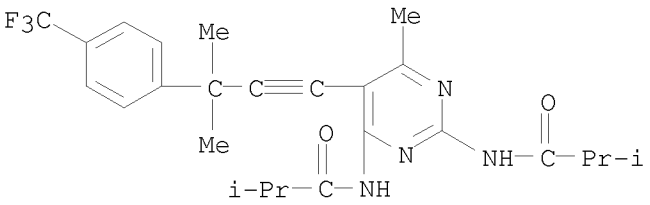
RN 189810-80-8 CAPLUS
CN Butanamide, N,N'-[5-[3-(4-chlorophenyl)-3-methyl-1-butynyl]-6-methyl-2,4-pyrimidinediyl]bis- (9CI) (CA INDEX NAME)



RN 189812-67-7 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-chlorophenyl)-3-ethyl-1-pentyn-1-yl]-6-methyl- (CA INDEX NAME)



IT 189810-71-7P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [(substituted aryl)alkenylene- and -alkynylene]pyrimidinediamines as pesticides)
RN 189810-71-7 CAPLUS
CN Propanamide, N,N'-[6-methyl-5-[3-methyl-3-[4-(trifluoromethyl)phenyl]-1-butynyl]-2,4-pyrimidinediyl]bis[2-methyl- (9CI) (CA INDEX NAME)



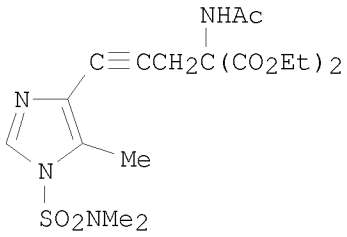
=> d ibib abs hitstr 72

L4 ANSWER 72 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:717924 CAPLUS
DOCUMENT NUMBER: 128:3685
ORIGINAL REFERENCE NO.: 128:799a,802a
TITLE: Preparation of propargylglycine derivatives as synthesis intermediates
INVENTOR(S): Cardinaud, Isabelle; Chekroun, Isaac; Rossey, Guy; Cremer, Gerard; Goberville, Pascale; Hoornaert, Christian
PATENT ASSIGNEE(S): Synthelabo S. A., Fr.; Cardinaud, Isabelle; Chekroun, Isaac; Rossey, Guy; Cremer, Gerard; Goberville, Pascale; Hoornaert, Christian
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740052	A1	19971030	WO 1997-FR700	19970418
W: BR, CA, FI, IL, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2747677	A1	19971024	FR 1996-4999	19960422
FR 2747677	B1	19980605		
FR 2747676	A1	19971024	FR 1996-5000	19960422
FR 2747676	B1	19980605		
CA 2250747	A1	19971030	CA 1997-2250747	19970418
EP 900224	A1	19990310	EP 1997-920783	19970418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9708814	A	19990803	BR 1997-8814	19970418
JP 2001500842	T	20010123	JP 1997-537780	19970418
KR 2000010594	A	20000215	KR 1998-708470	19981022

PRIORITY APPLN. INFO.:	FR 1996-4999	A	19960422
	FR 1996-5000	A	19960422
	WO 1997-FR700	W	19970418

OTHER SOURCE(S): CASREACT 128:3685; MARPAT 128:3685
GI



I

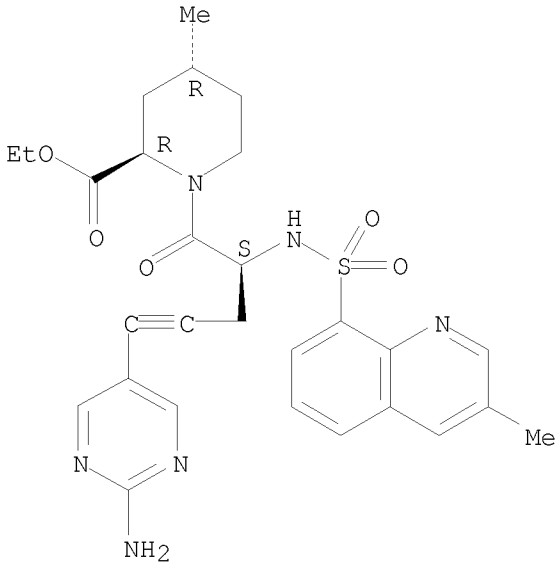
AB RC.tplbond.CCH2CR1(NR2R3)COR4 [R = (un)substituted 2-aminopyridyl, 2-aminopyrimidyl, 6-aminopyridazinyl, imidazol-4-yl; R1 = H, alkyl, alkoxycarbonyl, aryl, aralkyl; R2, R3 = H, alkyl, alkoxycarbonyl, R5CH2CO2, R5SO2, (un)substituted 2-H2NC6H4; R4 = OCH2Ph, (un)substituted piperidino; R5 = aryl, preferably 3-methyl-8-quinolyl, 3-methyl-1,2,3,4-tetrahydro-8-quinolyl] were prepared Thus, 4-methylimidazole was iodinated and treated with ClSO2NMe2, followed by HC.tplbond.CCH2C(NH2)(CO2Et)2 to give the imidazole I.

IT 198774-36-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of propargylglycine derivs. as synthesis intermediates)

RN 198774-36-6 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-[(2S)-5-(2-amino-5-pyrimidinyl)-2-[[(3-methyl-8-quinolinyl)sulfonyl]amino]-1-oxo-4-pentyn-1-yl]-4-methyl-, ethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

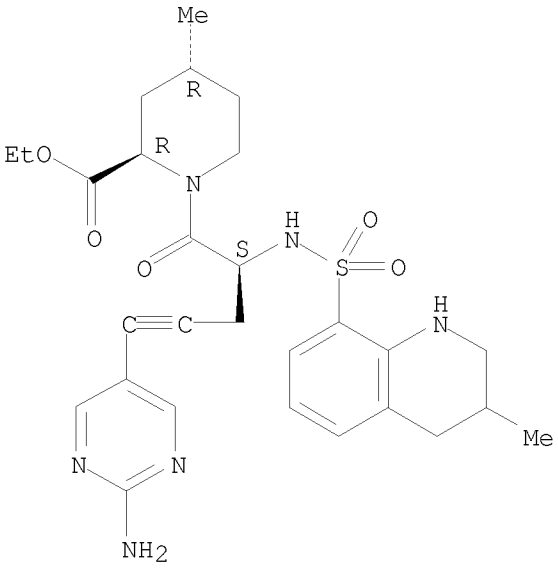


IT 198774-39-9P 198774-45-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of propargylglycine derivs. as synthesis intermediates)

RN 198774-39-9 CAPLUS

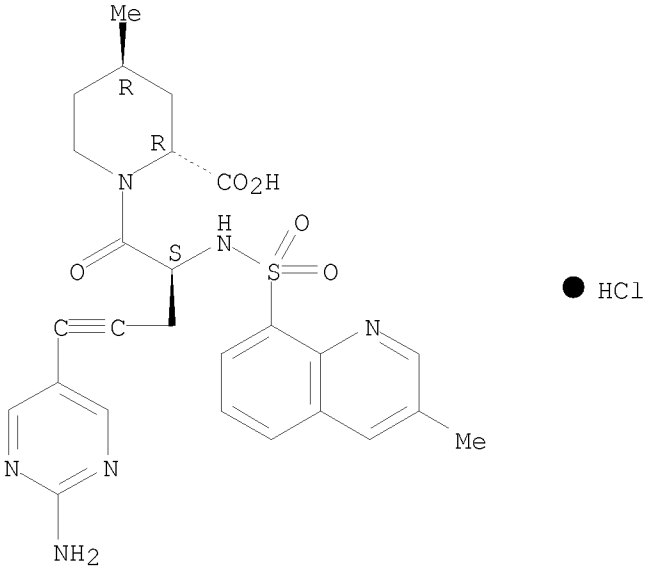
CN 2-Piperidinecarboxylic acid, 1-[(2S)-5-(2-amino-5-pyrimidinyl)-1-oxo-2-[[(1,2,3,4-tetrahydro-3-methyl-8-quinolinyl)sulfonyl]amino]-4-pentyn-1-yl]-4-methyl-, ethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 198774-45-7 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[(2S)-5-(2-amino-5-pyrimidinyl)-2-[[(3-methyl-8-quinolinyl)sulfonyl]amino]-1-oxo-4-pentyn-1-yl]-4-methyl-, hydrochloride (1:1), (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



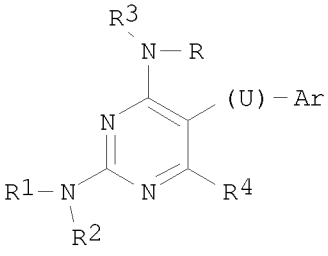
=> d ibib abs hitstr 71

L4 ANSWER 71 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:338127 CAPLUS
DOCUMENT NUMBER: 129:16136
ORIGINAL REFERENCE NO.: 129:3469a,3472a
TITLE: 5-[ω-(Substituted aryl)alkenyl- and alkynyl]-2,4-diaminopyrimidines as pesticides

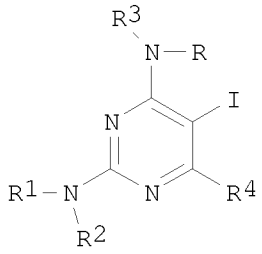
INVENTOR(S): Henrie, Robert N., II; Peake, Clinton J.; Cullen, Thomas G.; Yeager, Walter H.; Brown, Mary E.; Buser, John W.
PATENT ASSIGNEE(S): FMC Corp., USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9820878	A1	19980522	WO 1996-US17748	19961111
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9676696	A	19980603	AU 1996-76696	19961111

PRIORITY APPLN. INFO.: WO 1996-US17748 W 19961111
OTHER SOURCE(S): MARPAT 129:16136
GI



I



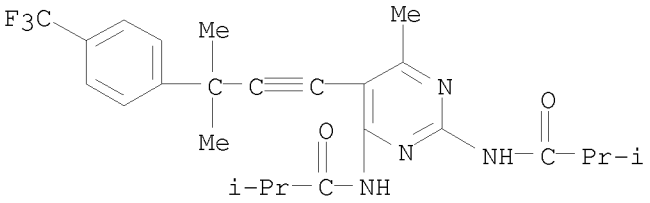
II

AB 5-Substituted-2,4-diaminopyrimidines, and agriculturally acceptable salts thereof, when present in insecticidally or acaricidally effective amts., and with a suitable agricultural carrier, are useful as active ingredients in novel insecticidal and acaricidal compns. These pyrimidines may be I wherein Ar = various (un)substituted Ph, pyridyl or pyridyl N-oxide derivs., and wherein U = alkenylene or alkynylene moiety, and R-R4 are independently selected from H, alkyl, cycloalkyl, arylalkyl, alkylcarbonyl, etc. Also disclosed and claimed are novel intermediate 2,6-diamino-5-iodopyrimidines II (R-R3 = H, alkyl, cycloalkyl, alkoxyalkyl, alkoxyalkoxyalkyl, arylalkyl, or R1R2 or RR3 form piperidine

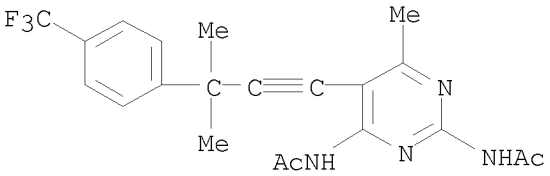
or morpholine rings; R4 = H, lower alkyl), and the intermediate T-(U)-Ar (T = B(OH)2, trialkylstannyl; U = C3-C12 alkenylene or various substituted alkenylenes; Ar = various (un)substituted Ph, pyridyl or pyridyl N-oxide derivs.). Compds. I are particularly effective as pesticides in controlling Lepidoptera, e.g., tobacco budworm, and Coleoptera, e.g., Mexican bean beetle.

IT 189810-71-7 207799-38-0
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(5-[ω-(substituted aryl)alkenyl- and alkynyl]-2,4-diaminopyrimidines as pesticides and acaricides)

RN 189810-71-7 CAPLUS
CN Propanamide, N,N'-[6-methyl-5-[3-methyl-3-[4-(trifluoromethyl)phenyl]-1-butynyl]-2,4-pyrimidinediyl]bis[2-methyl- (9CI) (CA INDEX NAME)

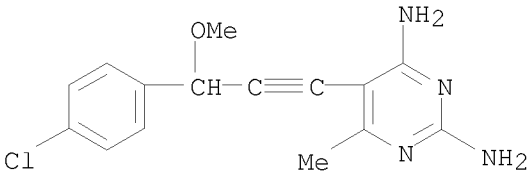


RN 207799-38-0 CAPLUS
CN Acetamide, N,N'-[6-methyl-5-[3-methyl-3-[4-(trifluoromethyl)phenyl]-1-butynyl]-2,4-pyrimidinediyl]bis- (9CI) (CA INDEX NAME)

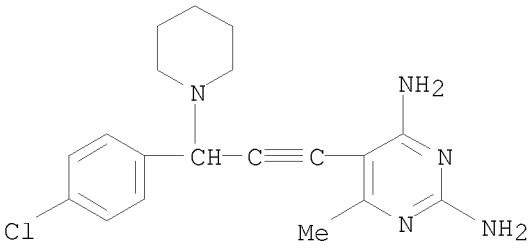


IT 189810-12-6 189810-14-8 189810-17-1
189810-31-9 189810-32-0 189810-34-2
189810-35-3 189810-36-4 189810-37-5
189810-38-6 189810-40-0 189810-42-2
189810-44-4 189810-45-5 189810-46-6
189810-47-7 189810-48-8 189810-49-9
189810-51-3 189810-55-7 189810-56-8
189810-59-1 189810-60-4 189810-62-6
189810-63-7 189810-64-8 189810-66-0
189810-67-1 189810-73-9 189810-79-5
189810-80-8 189812-67-7
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(5-[ω-(substituted aryl)alkenyl- and alkynyl]-2,4-diaminopyrimidines as pesticides and acaricides)

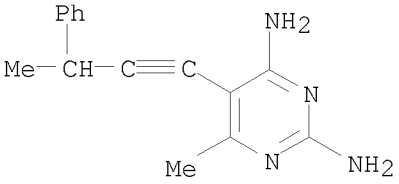
RN 189810-12-6 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-chlorophenyl)-3-methoxy-1-propyn-1-yl]-6-methyl- (CA INDEX NAME)



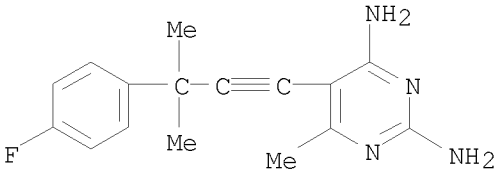
RN 189810-14-8 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-chlorophenyl)-3-(1-piperidinyl)-1-propyn-1-yl]-6-methyl- (CA INDEX NAME)



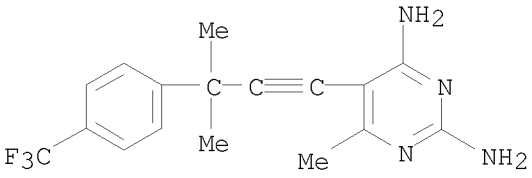
RN 189810-17-1 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-(3-phenyl-1-butyn-1-yl)- (CA INDEX NAME)



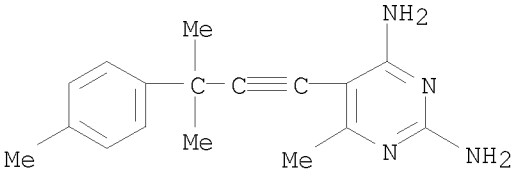
RN 189810-31-9 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-fluorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



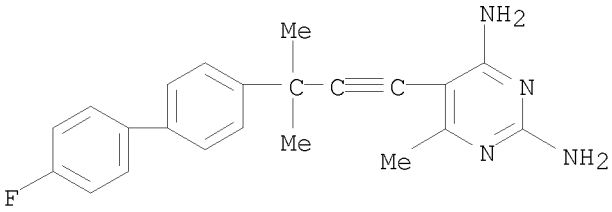
RN 189810-32-0 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-[3-methyl-3-[4-(trifluoromethyl)phenyl]-1-butyn-1-yl]- (CA INDEX NAME)



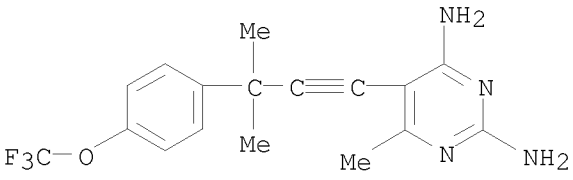
RN 189810-34-2 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-[3-methyl-3-(4-methylphenyl)-1-butyn-1-yl]- (CA INDEX NAME)



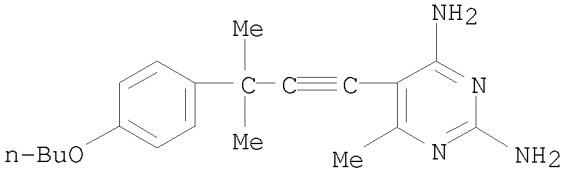
RN 189810-35-3 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4'-fluoro[1,1'-biphenyl]-4-yl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



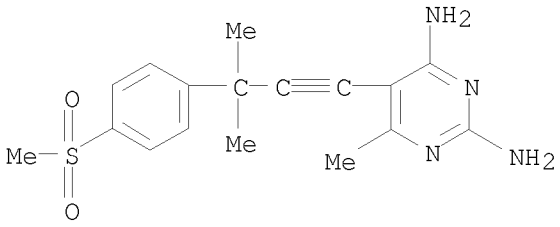
RN 189810-36-4 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-[3-methyl-3-[4-(trifluoromethoxy)phenyl]-1-butyn-1-yl]- (CA INDEX NAME)



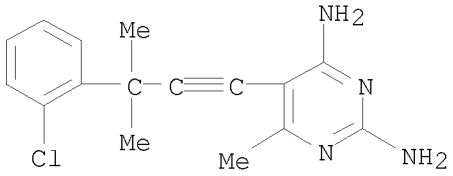
RN 189810-37-5 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-butoxyphenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



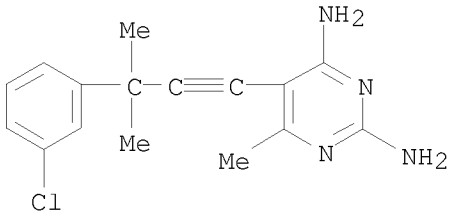
RN 189810-38-6 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-[3-methyl-3-[4-(methylsulfonyl)phenyl]-1-butyn-1-yl]- (CA INDEX NAME)



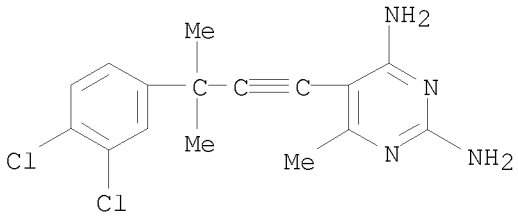
RN 189810-40-0 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(2-chlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



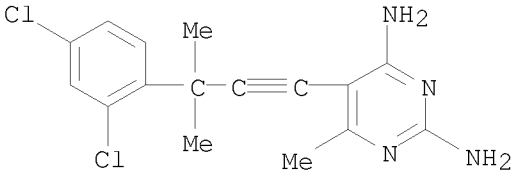
RN 189810-42-2 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(3-chlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



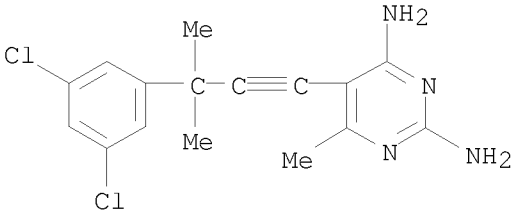
RN 189810-44-4 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(3,4-dichlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



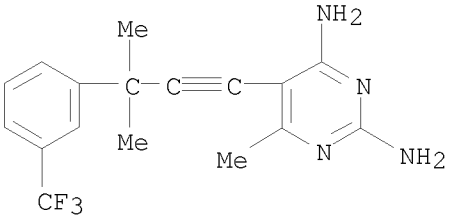
RN 189810-45-5 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(2,4-dichlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



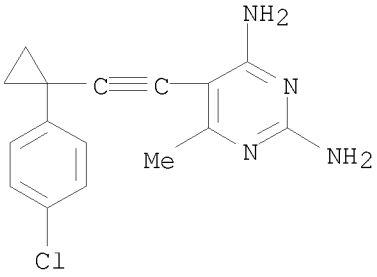
RN 189810-46-6 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(3,5-dichlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



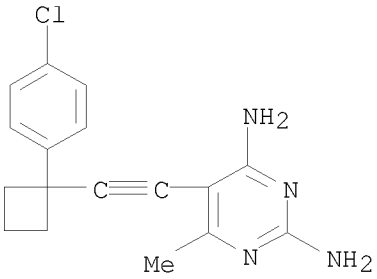
RN 189810-47-7 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-[3-methyl-3-[3-(trifluoromethyl)phenyl]-1-butyn-1-yl]- (CA INDEX NAME)



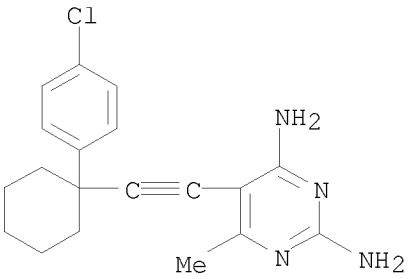
RN 189810-48-8 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-[1-(4-chlorophenyl)cyclopropyl]ethynyl]-6-methyl- (CA INDEX NAME)



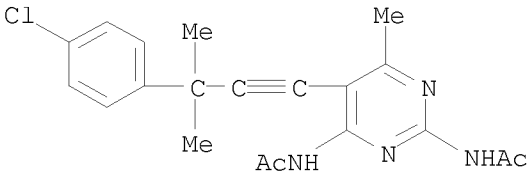
RN 189810-49-9 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-[1-(4-chlorophenyl)cyclobutyl]ethynyl]-6-methyl- (CA INDEX NAME)



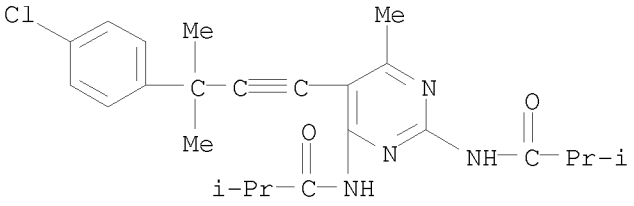
RN 189810-51-3 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-[1-(4-chlorophenyl)cyclohexyl]ethynyl]-6-methyl- (CA INDEX NAME)



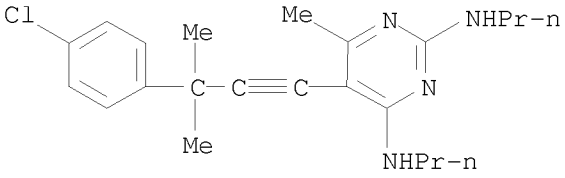
RN 189810-55-7 CAPLUS
CN Acetamide, N,N'-[5-[3-(4-chlorophenyl)-3-methyl-1-butynyl]-6-methyl-2,4-pyrimidinediyl]bis- (9CI) (CA INDEX NAME)



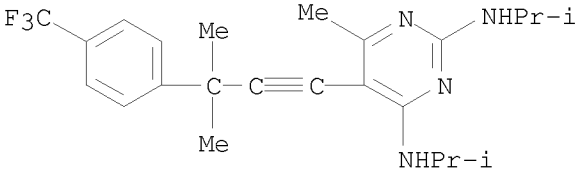
RN 189810-56-8 CAPLUS
CN Propanamide, N,N'-[5-[3-(4-chlorophenyl)-3-methyl-1-butynyl]-6-methyl-2,4-pyrimidinediyl]bis[2-methyl- (9CI) (CA INDEX NAME)



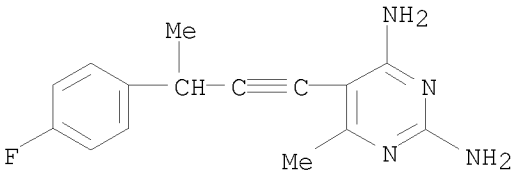
RN 189810-59-1 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-chlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl-N2,N4-dipropyl- (CA INDEX NAME)



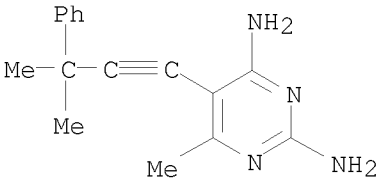
RN 189810-60-4 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-N2,N4-bis(1-methylethyl)-5-[3-methyl-3-[4-(trifluoromethyl)phenyl]-1-butyn-1-yl]- (CA INDEX NAME)



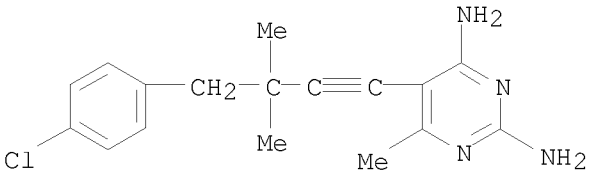
RN 189810-62-6 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-fluorophenyl)-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



RN 189810-63-7 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-(3-methyl-3-phenyl-1-butyn-1-yl)- (CA INDEX NAME)

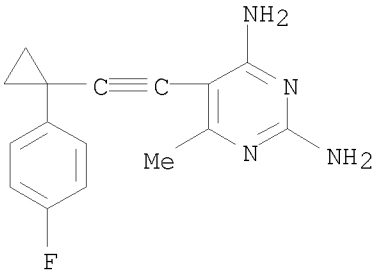


RN 189810-64-8 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[4-(4-chlorophenyl)-3,3-dimethyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)

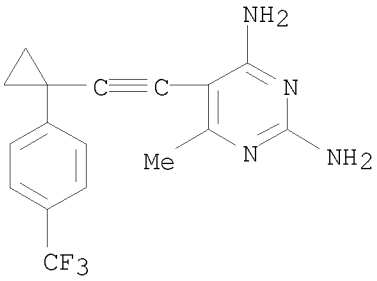


RN 189810-66-0 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-[1-(4-fluorophenyl)cyclopropyl]ethynyl]-6-

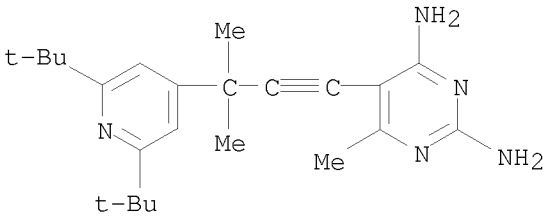
methyl- (CA INDEX NAME)



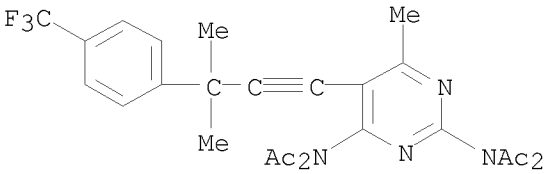
RN 189810-67-1 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-[2-[1-[4-(trifluoromethyl)phenyl]cyclopr
opyl]ethynyl]- (CA INDEX NAME)



RN 189810-73-9 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-[2,6-bis(1,1-dimethylethyl)-4-pyridinyl]-3-
methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)

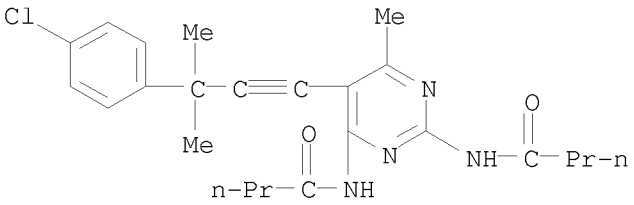


RN 189810-79-5 CAPLUS
CN Acetamide, N,N'-[6-methyl-5-[3-methyl-3-[4-(trifluoromethyl)phenyl]-1-
butynyl]-2,4-pyrimidinediyl]bis[N-acetyl- (9CI) (CA INDEX NAME)

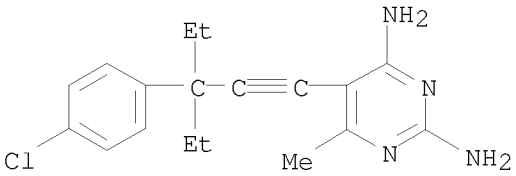


RN 189810-80-8 CAPLUS
CN Butanamide, N,N'-[5-[3-(4-chlorophenyl)-3-methyl-1-butynyl]-6-methyl-2,4-

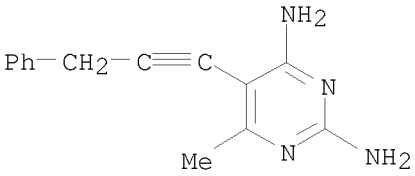
pyrimidinediyl]bis- (9CI) (CA INDEX NAME)



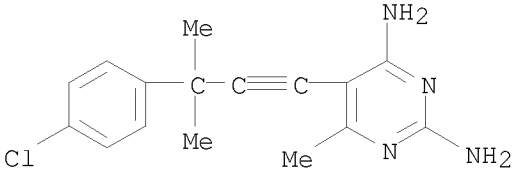
RN 189812-67-7 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-chlorophenyl)-3-ethyl-1-pentyn-1-yl]-6-methyl- (CA INDEX NAME)



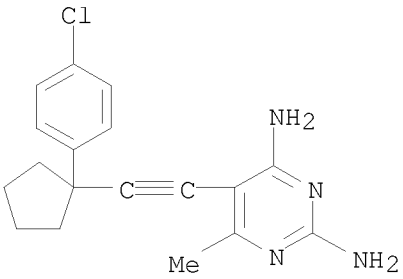
IT 189810-11-5P 189810-13-7P 189810-50-2P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-[ω-(substituted aryl)alkenyl- and alkynyl]-2,4-diaminopyrimidines as pesticides and acaricides)
RN 189810-11-5 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-(3-phenyl-1-propyn-1-yl)- (CA INDEX NAME)



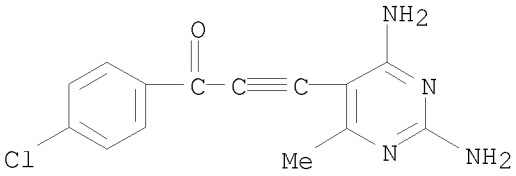
RN 189810-13-7 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[3-(4-chlorophenyl)-3-methyl-1-butyn-1-yl]-6-methyl- (CA INDEX NAME)



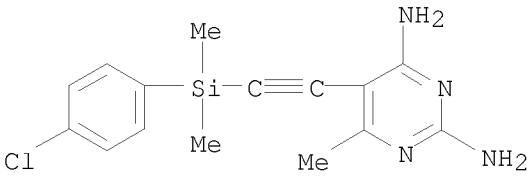
RN 189810-50-2 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-[1-(4-chlorophenyl)cyclopentyl]ethynyl]-6-methyl- (CA INDEX NAME)



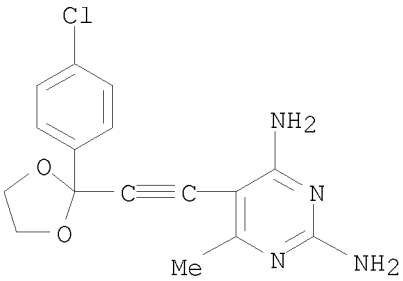
IT 207799-45-9P
RL: AGR (Agricultural use); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(5-[ω-(substituted aryl)alkenyl- and alkynyl]-2,4-diaminopyrimidines as pesticides and acaricides)
RN 207799-45-9 CAPLUS
CN 2-Propyn-1-one, 1-(4-chlorophenyl)-3-(2,4-diamino-6-methyl-5-pyrimidinyl)- (CA INDEX NAME)



IT 207799-44-8P 207799-66-4P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-[ω-(substituted aryl)alkenyl- and alkynyl]-2,4-diaminopyrimidines as pesticides and acaricides)
RN 207799-44-8 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-[(4-chlorophenyl)dimethylsilyl]ethynyl]-6-methyl- (CA INDEX NAME)



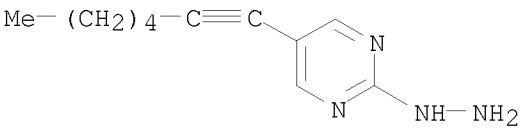
RN 207799-66-4 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-[2-(4-chlorophenyl)-1,3-dioxolan-2-yl]ethynyl]-6-methyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 70

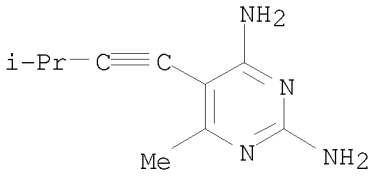
L4 ANSWER 70 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:112403 CAPLUS
DOCUMENT NUMBER: 130:259858
TITLE: Synthesis and mesomorphic properties of some asymmetrical pyrimidinylphenyldiacetylenes
AUTHOR(S): Hudson, C. M.; Shenoy, R. A.; Neubert, M. E.; Petschek, R. G.
CORPORATE SOURCE: Glenn H. Brown Liquid Crystal Institute, Kent State University, Kent, OH, 44242-0001, USA
SOURCE: Liquid Crystals (1999), 26(2), 241-250
CODEN: LICRE6; ISSN: 0267-8292
PUBLISHER: Taylor & Francis Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Several new pyrimidinylphenyldiacetylenes were prepared as potential new mesogens having large birefringence values and poor mesomorphic properties. As one final step 2-ethynyl-5-heptylpyrimidine and 1-(p-cyanophenyl)-2-bromoacetylene were coupled using the Cadiot-Chodleiewitcz method obtaining 4-[4-(5-heptyl-2-pyrimidinyl)-1,3-butadiynyl]benzonitrile. Transition temps. were determined by hot-stage polarizing microscopy at 70.9-129.2°. Melting enthalpy values were determined by DSC at 21.9-39.0 kJ mol-1. Several pyrimidines decomposed at the clearing temps. and become yellow when exposed to light at room temperature
IT 221641-58-3P, 5-(Hept-1-ynyl)-2-hydrazinopyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and palladium-catalyzed hydrogenation of)
RN 221641-58-3 CAPLUS
CN Pyrimidine, 5-(1-heptyn-1-yl)-2-hydrazinyl- (CA INDEX NAME)



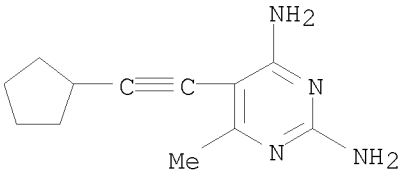
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 69

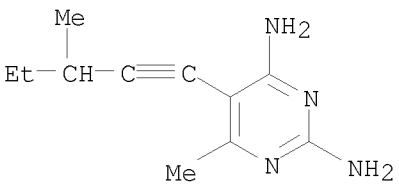
L4 ANSWER 69 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:216714 CAPLUS
DOCUMENT NUMBER: 130:311761
TITLE: Inhibitors of dihydrofolate reductase: design, synthesis and antimicrobial activities of 2,4-diamino-6-methyl-5-ethynylpyrimidines
AUTHOR(S): Jones, Michael L.; Baccanari, David P.; Tansik, Robert L.; Boytos, Christine M.; Rudolph, Sharon K.; Kuyper, Lee F.
CORPORATE SOURCE: Glaxo Wellcome Inc., Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Heterocyclic Chemistry (1999), 36(1), 145-148
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Novel 2,4-diamino-6-methyl-5-ethynylpyrimidines were prepared via palladium catalyzed coupling of 2,4-diamino-5-iodo-6-methylpyrimidine with terminal acetylenes. The compds. were inhibitors of dihydrofolate reductase and showed in vitro activity against several species of opportunistic fungi and the protozoan Toxoplasma gondii.
IT 223672-33-1P 223672-35-3P 223672-37-5P
223672-39-7P 223672-41-1P 223672-43-3P
223672-45-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of diaminomethylethynylpyrimidines and their inhibition of dihydrofolate reductase)
RN 223672-33-1 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-(3-methyl-1-butyn-1-yl)- (CA INDEX NAME)



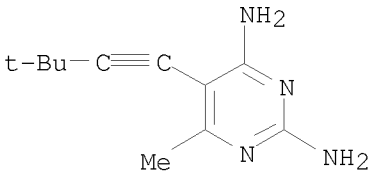
RN 223672-35-3 CAPLUS
CN 2,4-Pyrimidinediamine, 5-(2-cyclopentylethynyl)-6-methyl- (CA INDEX NAME)



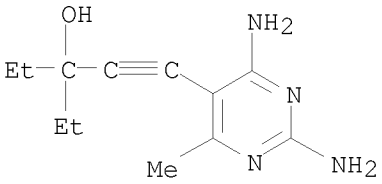
RN 223672-37-5 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-(3-methyl-1-pentyn-1-yl)- (CA INDEX NAME)



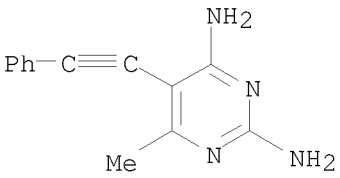
RN 223672-39-7 CAPLUS
CN 2,4-Pyrimidinediamine, 5-(3,3-dimethyl-1-butyn-1-yl)-6-methyl- (CA INDEX NAME)



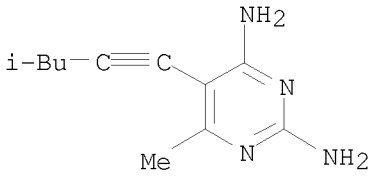
RN 223672-41-1 CAPLUS
CN 1-Pentyn-3-ol, 1-(2,4-diamino-6-methyl-5-pyrimidinyl)-3-ethyl- (CA INDEX NAME)



RN 223672-43-3 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-(2-phenylethynyl)- (CA INDEX NAME)



RN 223672-45-5 CAPLUS
CN 2,4-Pyrimidinediamine, 6-methyl-5-(4-methyl-1-pentyn-1-yl)- (CA INDEX NAME)

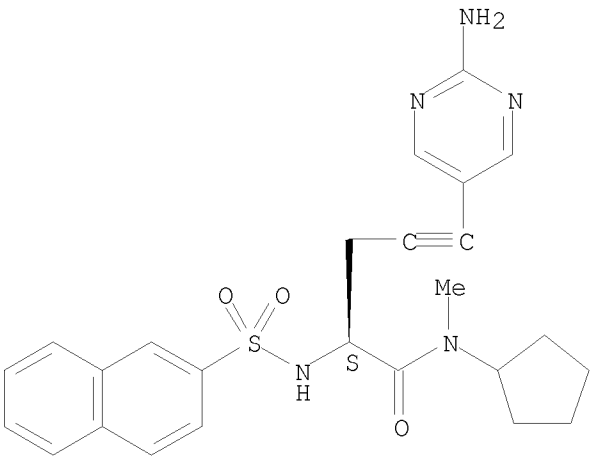


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 68

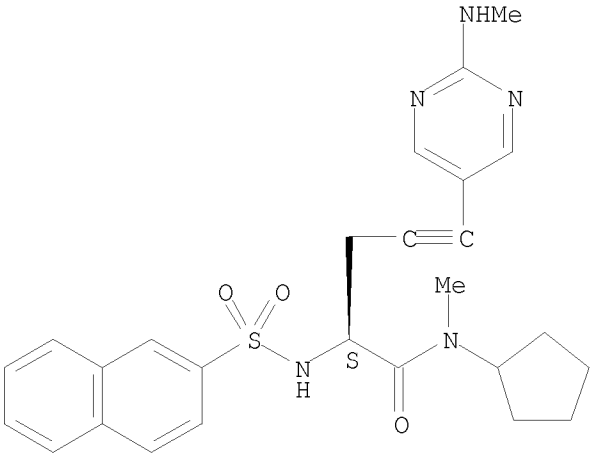
L4 ANSWER 68 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:295944 CAPLUS
DOCUMENT NUMBER: 131:67654
TITLE: Thrombin inhibitors based on a propar-gylglycine template
AUTHOR(S): Lee, Koo; Hwang, Sang Yeul; Park, Cheol Won
CORPORATE SOURCE: Biotech Research Institute, LG Chemical Ltd/Research Park, Taejon, 305-380, S. Korea
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(7), 1013-1018
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of novel arylsulfonylpropargylglycinamide derivs. was investigated as thrombin inhibitors in which the SAR was focused on substituents at the acetylenic terminus. Several compds. in this series were identified as potent thrombin inhibitors (Ki up to 5 nM) that are highly selective over trypsin and other serine proteases as well.
IT 228567-11-1P 228567-18-8P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(thrombin inhibitors based on a propar-gylglycine template)
RN 228567-11-1 CAPLUS
CN 4-Pentynamide, 5-(2-amino-5-pyrimidinyl)-N-cyclopentyl-N-methyl-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 228567-18-8 CAPLUS
CN 4-Pentynamide, N-cyclopentyl-N-methyl-5-[2-(methylamino)-5-pyrimidinyl]-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



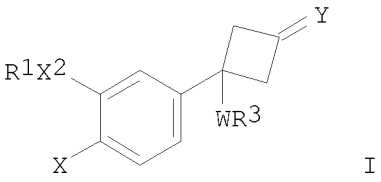
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 67

L4 ANSWER 67 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:672715 CAPLUS
DOCUMENT NUMBER: 131:286202
TITLE: Preparation of ketones, alcohols, and amines as phosphodiesterase isoenzyme denominated 4 (PDE 4) inhibiting compounds
INVENTOR(S): Christensen, Siegfried Benjamin, IV; Forster, Cornelia Jutta
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9952847	A1	19991021	WO 1999-US7995		19990413
W: CA, JP, US					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2328250	A1	19991021	CA 1999-2328250		19990413
EP 1071645	A1	20010131	EP 1999-919814		19990413
R: BE, CH, DE, ES, FR, GB, IT, LI, NL					
JP 2002511438	T	20020416	JP 2000-543410		19990413
PRIORITY APPLN. INFO.:			US 1998-81702P	P	19980414
			WO 1999-US7995	W	19990413

OTHER SOURCE(S): MARPAT 131:286202
GI



I

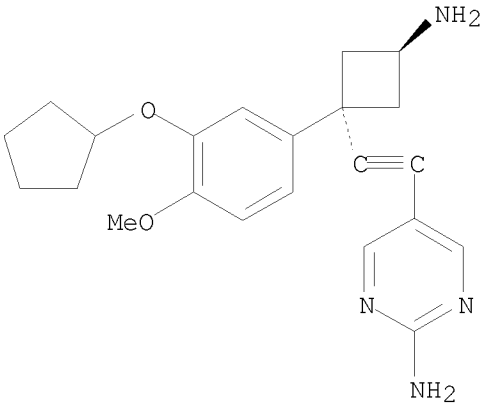
AB This invention relates to ketones, alcs. and amines I [R1 = (CR4R5)nCO2(CR4R5)mR6, (CR4R5)nCONR4(CR4R5)mR6, etc.; X = VR2, halo, NO2, NR4R5 and V = O, S(O)m'; X2 = O, NR8; R3 = CO2R14, CONR4R14, R7; Y = O, NR7, etc.; W = alkyl, alkenyl, alkynyl], represented by the likes of 3-(3-cyclopentyloxy-4-methoxyphenyl)-3-phenylethynylcyclobutan-1-one. They are useful as PDE 4 antagonists (no data).

IT 246858-72-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ketones, alcs., and amines as PDE 4 inhibiting compds.)

RN 246858-72-0 CAPLUS

CN 2-Pyrimidinamine, 5-[2-[trans-3-amino-1-[3-(cyclopentyloxy)-4-methoxyphenyl]cyclobutyl]ethynyl]- (CA INDEX NAME)

Relative stereochemistry.

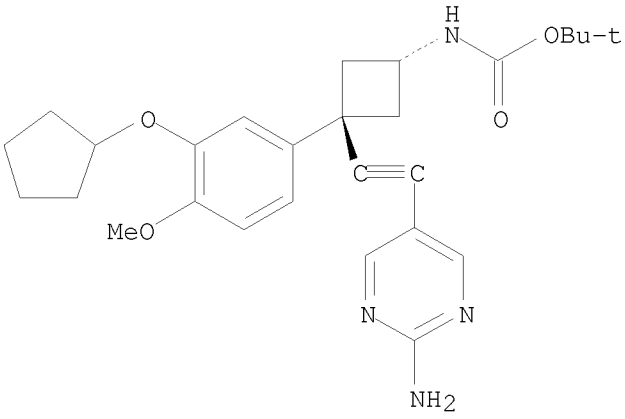


IT 246858-89-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ketones, alcs., and amines as PDE 4 inhibiting compds.)

RN 246858-89-9 CAPLUS

CN Carbamic acid, [trans-3-[(2-amino-5-pyrimidinyl)ethynyl]-3-[3-(cyclopentyloxy)-4-methoxyphenyl]cyclobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

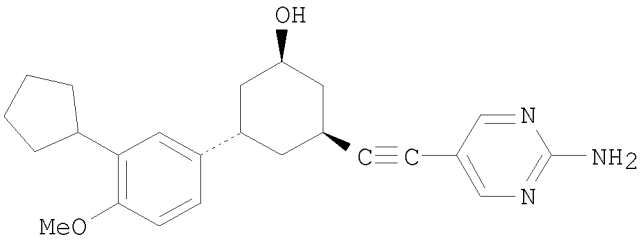
Relative stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 66

L4 ANSWER 66 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:128344 CAPLUS
DOCUMENT NUMBER: 132:308304
TITLE: Synthesis of SB 222618. A potential PDE IV inhibitor
AUTHOR(S): Conde, Jose J.; Mendelson, Wilford
CORPORATE SOURCE: Department of Synthetic Chemistry, SmithKline Beecham Pharmaceuticals, King of Prussia, PA, 19406, USA
SOURCE: Tetrahedron Letters (2000), 41(6), 811-814
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:308304
GI



I

AB SB 222618, 4-[(2-aminopyrimidin-5-yl)ethynyl]cyclohexanol I, was prepared by regioselective SN2' addition of the cuprate derived from 4-bromo-2-cyclopentyloxy-1-methoxybenzene to 4-(bromopropadienylidene)cyclohexanone ethylene ketal followed by a stereoselective borane reduction and a Pd-mediated coupling with 5-halo-2-pyrimidinamine, delivered I in good yield.

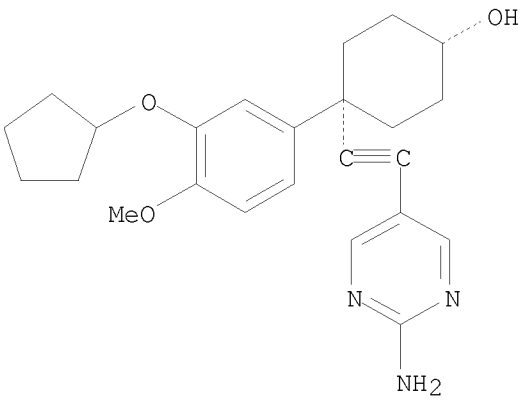
IT 180529-47-9P, SB 222618
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective preparation of SB 222618)

RN 180529-47-9 CAPLUS

CN Cyclohexanol, 4-[(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-

methoxyphenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

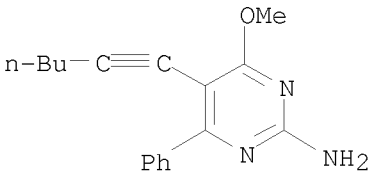


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 65

L4 ANSWER 65 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:220886 CAPLUS
DOCUMENT NUMBER: 133:105004
TITLE: Structural studies on bioactive compounds. Part 29. Palladium catalyzed arylations and alkynylations of sterically hindered immunomodulatory 2-amino-5-halo-4,6-(disubstituted)pyrimidines
AUTHOR(S): Hannah, D. R.; Sherer, E. C.; Davies, R. V.; Titman, R. B.; Laughton, C. A.; Stevens, M. F. G.
CORPORATE SOURCE: School of Pharmaceutical Sciences, Cancer Research Laboratories, University of Nottingham, Nottingham, UK
SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(4), 739-750
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:105004
AB Immunol. agent bropirimine is a tetra-substituted pyrimidine with anticancer and interferon-inducing properties. Synthetic routes to novel 5-aryl analogs of bropirimine have been developed and their potential mol. recognition properties analyzed by mol. modeling methods. Sterically challenged 2-amino-5-halo-6-phenylpyrimidin-4-ones (halo = Br or I) are poor substrates for palladium catalyzed Suzuki cross-coupling reactions with benzeneboronic acid because the basic conditions of the reaction converts the amphoteric pyrimidinones to their unreactive enolic forms. Palladium-mediated reductive dehalogenation of the pyrimidinone substrates effectively competes with cross-coupling. 2-Amino-5-halo-4-methoxy-6-phenylpyrimidines can be converted to a range of 5-aryl derivs. with the 5-iodopyrimidines being the most efficient substrates. Hydrolysis of the 2-amino-5-aryl-4-methoxy-6-phenylpyrimidines affords the required pyrimidin-4-ones in high yields. Semiempirical quantum mech. calcns. show how the nature of the 5-substituent influences the equilibrium between the 1H- and 3H-tautomeric forms, and the rotational freedom about the bond connecting the 6-Ph group and the pyrimidine ring. Both of these factors may influence the biol. properties of these compds.
IT 282543-49-1P

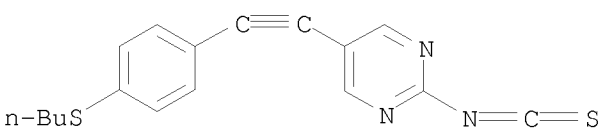
RL: SPN (Synthetic preparation); PREP (Preparation)
(palladium catalyzed arylations and alkynylations of sterically hindered immunomodulatory aminohalopyrimidines)
RN 282543-49-1 CAPLUS
CN 2-Pyrimidinamine, 5-(1-hexyn-1-yl)-4-methoxy-6-phenyl- (CA INDEX NAME)



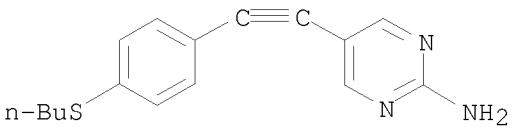
REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 64

L4 ANSWER 64 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:452272 CAPLUS
DOCUMENT NUMBER: 133:259640
TITLE: Synthesis, transition temperatures, and optical properties of compounds with simple phenyl units linked by double bond, triple bond, ester or propiolate linkages
AUTHOR(S): Cross, Gregory J.; Seed, Alexander J.; Toyne, Kenneth J.; Goodby, John W.; Hird, Michael; Carmen Artal, M.
CORPORATE SOURCE: Department of Chemistry, Liquid Crystals and Advanced Organic Materials Research Group, The University Hull, Hull, HU6 7RX, UK
SOURCE: Journal of Materials Chemistry (2000), 10(7), 1555-1563
CODEN: JMACEP; ISSN: 0959-9428
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Compds. were prepared with 4-butylsulfanylphenyl and 4-cyano- or 4-isothiocyanato-Ph units connected by -CH:CH-, -COO-, -C.tplbond.C-, or -C.tplbond.C-COO- linking groups. The synthesis of the novel compds. is presented and the transition temps. and optical parameters of the compds. are discussed and compared with those for related biphenyl reference systems. The ester linking group reduces optical and polarizability anisotropy, but the other linking groups give increased optical anisotropy (up to Δn = 0.50) and polarizability anisotropy [up to $\Delta\alpha$ 45.2Å3 (10-30 m3)].
IT 294895-67-3P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(preparation and liquid crystal properties of)
RN 294895-67-3 CAPLUS
CN Pyrimidine, 5-[2-[4-(butylthio)phenyl]ethynyl]-2-isothiocyanato- (CA INDEX NAME)



IT 294895-76-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with carbon chloride sulfide and calcium carbonate)
RN 294895-76-4 CAPLUS
CN 2-Pyrimidinamine, 5-[2-[4-(butylthio)phenyl]ethynyl]- (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 63

L4 ANSWER 63 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:247156 CAPLUS
DOCUMENT NUMBER: 134:280865
TITLE: Preparation of azinylaminobenzonitriles and related compounds as virucides.
INVENTOR(S): Verreck, Geert; Baert, Lieven
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001022938	A1	20010405	WO 2000-EP8522	20000831
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2384188	A1	20010405	CA 2000-2384188	20000831
CA 2384188	C	20080617		
BR 2000014271	A	20020521	BR 2000-14271	20000831
EP 1225874	A1	20020731	EP 2000-964080	20000831
EP 1225874	B1	20060201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
HU 2002003568	A2	20030228	HU 2002-3568	20000831
HU 2002003568	A3	20060728		
JP 2003510264	T	20030318	JP 2001-526150	20000831
EE 200200151	A	20030415	EE 2002-151	20000831
EE 4991	B1	20080415		
NZ 517025	A	20030725	NZ 2000-517025	20000831

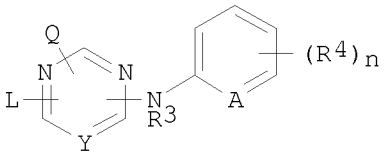
TR 200200763	T2	20030922	TR 2002-763		20000831
AU 775360	B2	20040729	AU 2000-75127		20000831
AT 316781	T	20060215	AT 2000-964080		20000831
PT 1225874	T	20060630	PT 2000-964080		20000831
ES 2258018	T3	20060816	ES 2000-964080		20000831
SK 285240	B6	20060907	SK 2002-376		20000831
IN 2002MN00145	A	20050318	IN 2002-MN145		20020131
KR 785360	B1	20071218	KR 2002-702218		20020220
BG 106521	A	20021229	BG 2002-106521		20020314
ZA 2002002289	A	20030620	ZA 2002-2289		20020320
US 7241458	B1	20070710	US 2002-88805		20020321
NO 2002001443	A	20020322	NO 2002-1443		20020322
MX 2002PA03182	A	20020930	MX 2002-PA3182		20020325
HK 1048768	A1	20060804	HK 2003-100992		20030211
AU 2004224973	A1	20041125	AU 2004-224973		20041029
AU 2004224973	B2	20050825			
US 20060127487	A1	20060615	US 2006-347071		20060203
KR 2007036805	A	20070403	KR 2007-706306		20070319
KR 820605	B1	20080408			
US 20070196478	A1	20070823	US 2007-733507		20070410

PRIORITY APPLN. INFO.:

EP 1999-203128	A	19990924
WO 2000-EP8522	W	20000831
KR 2002-702218	A3	20020220
US 2002-88805	A3	20020321

OTHER SOURCE(S): MARPAT 134:280865

GI



I

AB A particle consisting of a solid dispersion comprising ≥1 pharmaceutically acceptable H2O-soluble polymers and a title compound, e.g., [I; Y = CR5, N; A = CH, CR4, N; n = 0-4; Q = NR1R2, H; R1, R2 = H, OH, (substituted) alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl, aryl, etc.; or R1R2 = atoms to form pyrrolidinyl, piperidinyl, morpholinyl, azido, alkylaminoalkylidene; R3 = H, aryl, alkylcarbonyl, alkyl, alkoxycarbonyl, alkoxycarbonylalkyl; R4 = OH, halo, alkyl, alkoxy, cyano, aminocarbonyl, NO2, amino, trihalomethyl, trihalomethoxy, etc.; R5 = H, alkyl; L = X1R6, X2AR7, etc.; R6, R7 = (substituted) Ph, indanyl, indolyl; X1, X2 = NR3, NHNH, N:N, O, S, SO, SO2; A = C1-4 alkylene; with provisos], is claimed. Thus, 5-bromo-2-chloro-N-(2,4,6-trimethylphenyl)-4-pyrimidineamine (preparation given) was stirred with HCl in Et2O followed by evaporation of solvent, addition of 4-aminobenzonitrile and dioxane, and reflux for 4 days to give 2% 4-[[5-chloro-2-[(2,4,6-trimethylphenyl)amino]-4-pyrimidinyl]amino]benzonitrile. Tested title compds. showed anti-HIV activity with IC50 = 0.0004-0.030 μM. A title compound melt extrudate was prepared using hydroxypropyl methylcellulose with no degradation of the active ingredient.

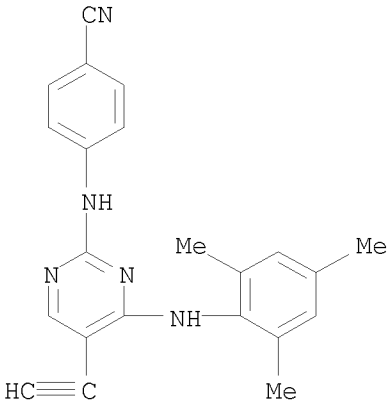
IT 332429-91-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azinylaminobenzonitriles and related compds. as virucides)

RN 332429-91-1 CAPLUS

CN Benzonitrile, 4-[[5-ethynyl-4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 62

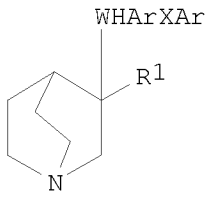
L4 ANSWER 62 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:247333 CAPLUS
DOCUMENT NUMBER: 134:266475
TITLE: Preparation of quinuclidine compounds and drugs containing the same as the active ingredient of squalene synthase inhibitors
INVENTOR(S): Okada, Toshimi; Kurusu, Nobuyuki; Tanaka, Keigo; Miyazaki, Kazuki; Shinmyo, Daisuke; Sugumi, Hiroyuki; Ikuta, Hironori; Hiyoshi, Hironobu; Saeki, Takao; Yanagimachi, Mamoru; Ito, Masashi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 267 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023383	A1	20010405	WO 2000-JP6665	20000927
W: AU, BR, CA, CN, HU, IL, JP, KR, MX, NO, NZ, RU, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2385995	A1	20010405	CA 2000-2385995	20000927
AU 2000074464	A	20010430	AU 2000-74464	20000927
AU 782114	B2	20050707		
EP 1217001	A1	20020626	EP 2000-962889	20000927
EP 1217001	B1	20051207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
HU 2002003514	A2	20030328	HU 2002-3514	20000927
HU 2002003514	A3	20040128		
BR 2000014331	A	20030610	BR 2000-14331	20000927
NZ 517788	A	20031128	NZ 2000-517788	20000927
AT 312100	T	20051215	AT 2000-962889	20000927

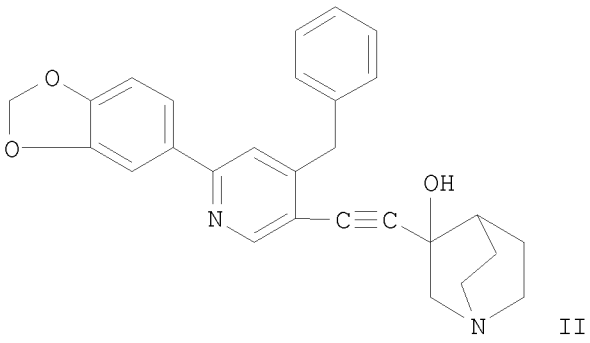
RU 2266905	C2	20051227	RU 2002-111344		20000927
ES 2252063	T3	20060516	ES 2000-962889		20000927
TW 282794	B	20070621	TW 2000-89119958		20000927
ZA 2002002034	A	20030312	ZA 2002-2034		20020312
US 6599917	B1	20030729	US 2002-88554		20020319
NO 2002001528	A	20020528	NO 2002-1528		20020326
MX 2002PA03167	A	20031006	MX 2002-PA3167		20020326
PRIORITY APPLN. INFO.:			JP 1999-273905	A	19990928
			JP 2000-179352	A	20000615
			WO 2000-JP6665	W	20000927

OTHER SOURCE(S): MARPAT 134:266475

GI



I



II

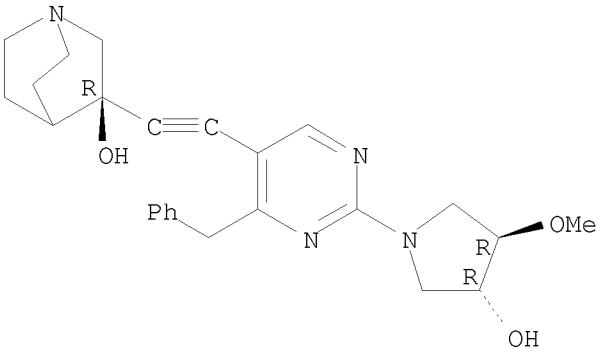
AB Title compds. [I; wherein R1 is hydrogen or hydroxyl; HAr is an optionally substituted aromatic heterocycle; Ar is an optionally substituted aromatic ring;
W is a CH2CH2 group which may be substituted, a CH:CH group which may be substituted, CC, NHCO, or the like; X is a single bond, optionally substituted C1-6 alkylene, Q ;wherein Q is oxygen, sulfur, CO, N(R2) ; wherein R2 is C1-6 alkyl or C1-6 alkoxy, NHCO, or the like], salts thereof, or hydrates of both, are prepared and are useful as excellent squalene synthase inhibitors. Thus, the title compound II was prepared and tested.

IT 332133-42-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinuclidine compds. and drugs containing the same as active ingredient of squalene synthase inhibitors)

RN 332133-42-3 CAPLUS

CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[2-[2-[(3R,4R)-3-hydroxy-4-methoxy-1-pyrrolidinyl]-4-(phenylmethyl)-5-pyrimidinyl]ethynyl]-, (3R)- (CA INDEX NAME)

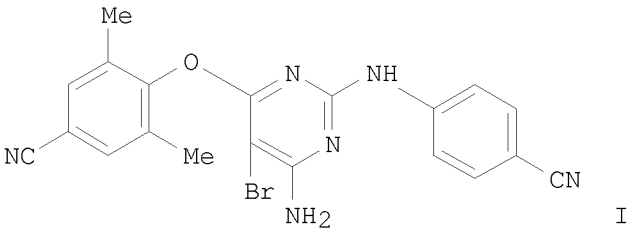
Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 61

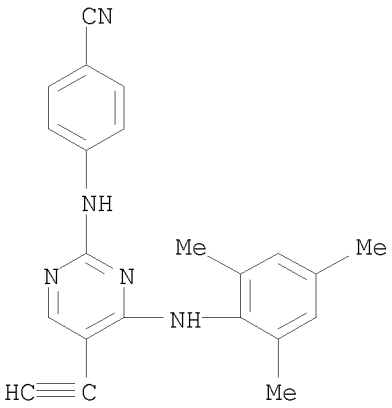
L4 ANSWER 61 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:628977 CAPLUS
DOCUMENT NUMBER: 135:371702
TITLE: Evolution of anti-HIV drug candidates. Part 3: diarylpyrimidine (DAPY) analogues
AUTHOR(S): Ludovici, D. W.; De Corte, B. L.; Kukla, M. J.; Ye, H.; Ho, C. Y.; Lichtenstein, M. A.; Kavash, R. W.; Andries, K.; de Bethune, M.-P.; Azijn, H.; Pauwels, R.; Lewi, P. J.; Heeres, J.; Koymans, L. M. H.; de Jonge, M. R.; Van Aken, K. J. A.; Daeyaert, F. F. D.; Das, K.; Arnold, E.; Janssen, P. A. J.
CORPORATE SOURCE: Janssen Research Foundation, Spring House, PA, 19477, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(17), 2235-2239
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:371702
GI



I

AB The synthesis and anti-HIV-1 activity of a series of diarylpyrimidines (DAPYs) are described. Several members, e.g. (I), of this novel class of non-nucleoside reverse transcriptase inhibitors (NNRTIs) are extremely potent against both wild-type and a panel of clin. significant single- and double-mutant strains of HIV-1.

IT 332429-91-1P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and activity of diarylpyrimidines as non-nucleoside reverse transcriptase inhibitors)
RN 332429-91-1 CAPLUS
CN Benzonitrile, 4-[[5-ethynyl-4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 62

L4 ANSWER 62 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:247333 CAPLUS
DOCUMENT NUMBER: 134:266475
TITLE: Preparation of quinuclidine compounds and drugs containing the same as the active ingredient of squalene synthase inhibitors
INVENTOR(S): Okada, Toshimi; Kurusu, Nobuyuki; Tanaka, Keigo; Miyazaki, Kazuki; Shinmyo, Daisuke; Sugumi, Hiroyuki; Ikuta, Hironori; Hiyoshi, Hironobu; Saeki, Takao; Yanagimachi, Mamoru; Ito, Masashi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 267 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

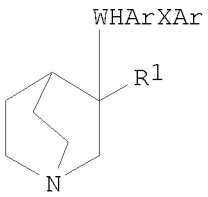
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023383	A1	20010405	WO 2000-JP6665	20000927
W: AU, BR, CA, CN, HU, IL, JP, KR, MX, NO, NZ, RU, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2385995	A1	20010405	CA 2000-2385995	20000927
AU 2000074464	A	20010430	AU 2000-74464	20000927
AU 782114	B2	20050707		
EP 1217001	A1	20020626	EP 2000-962889	20000927
EP 1217001	B1	20051207		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY

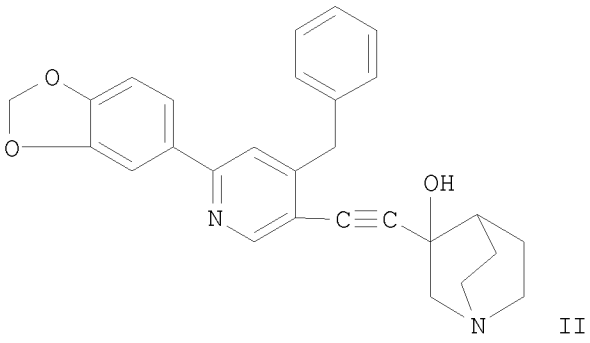
HU 2002003514	A2	20030328	HU 2002-3514		20000927
HU 2002003514	A3	20040128			
BR 2000014331	A	20030610	BR 2000-14331		20000927
NZ 517788	A	20031128	NZ 2000-517788		20000927
AT 312100	T	20051215	AT 2000-962889		20000927
RU 2266905	C2	20051227	RU 2002-111344		20000927
ES 2252063	T3	20060516	ES 2000-962889		20000927
TW 282794	B	20070621	TW 2000-89119958		20000927
ZA 2002002034	A	20030312	ZA 2002-2034		20020312
US 6599917	B1	20030729	US 2002-88554		20020319
NO 2002001528	A	20020528	NO 2002-1528		20020326
MX 2002PA03167	A	20031006	MX 2002-PA3167		20020326
PRIORITY APPLN. INFO.:			JP 1999-273905	A	19990928
			JP 2000-179352	A	20000615
			WO 2000-JP6665	W	20000927

OTHER SOURCE(S): MARPAT 134:266475

GI



I



II

AB Title compds. [I; wherein R1 is hydrogen or hydroxyl; HAr is an optionally substituted aromatic heterocycle; Ar is an optionally substituted aromatic ring; W is a CH2CH2 group which may be substituted, a CH:CH group which may be substituted, CC, NHCO, or the like; X is a single bond, optionally substituted C1-6 alkylene, Q ;wherein Q is oxygen, sulfur, CO, N(R2) ; wherein R2 is C1-6 alkyl or C1-6 alkoxy, NHCO, or the like], salts thereof, or hydrates of both, are prepared and are useful as excellent squalene synthase inhibitors. Thus, the title compound II was prepared and tested.

IT 332133-42-3P

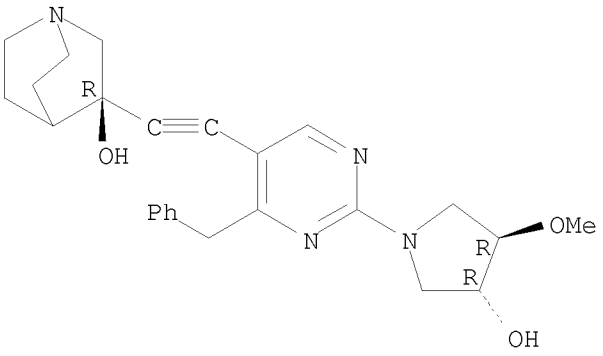
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinuclidine compds. and drugs containing the same as active ingredient of squalene synthase inhibitors)

RN 332133-42-3 CAPLUS

CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[2-[2-[(3R,4R)-3-hydroxy-4-methoxy-1-pyrrolidinyl]-4-(phenylmethyl)-5-pyrimidinyl]ethynyl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 60

L4 ANSWER 60 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:635876 CAPLUS

DOCUMENT NUMBER: 135:211049

TITLE: Preparation of pyrimidinamines and pyridinamines as adenosine receptor modulators for treatment of CNS disorders

INVENTOR(S): Borroni, Edilio Maurizio; Huber-Trottmann, Gerda; Kilpatrick, Gavin John; Norcross, Roger David

PATENT ASSIGNEE(S): F. Hoffmann La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

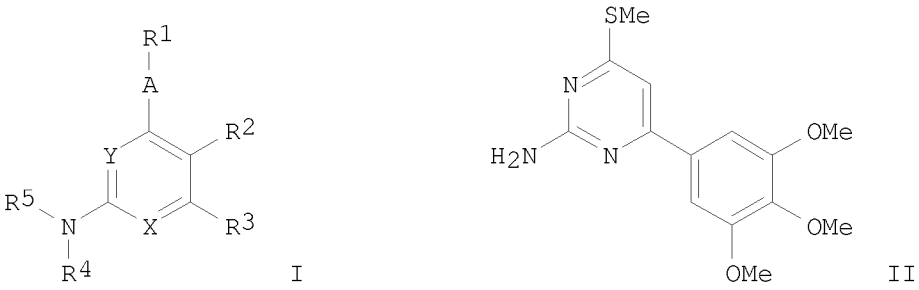
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062233	A2	20010830	WO 2001-EP1679	20010215
WO 2001062233	A3	20020103		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2398274	A1	20010830	CA 2001-2398274	20010215
EP 1261327	A2	20021204	EP 2001-927670	20010215
EP 1261327	B1	20050427		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2001008611	A	20030506	BR 2001-8611		20010215
HU 2003000029	A2	20030528	HU 2003-29		20010215
JP 2003523380	T	20030805	JP 2001-561300		20010215
JP 4064671	B2	20080319			
NZ 520241	A	20040528	NZ 2001-520241		20010215
AU 780527	B2	20050324	AU 2001-54643		20010215
AT 293962	T	20050515	AT 2001-927670		20010215
ES 2240449	T3	20051016	ES 2001-927670		20010215
RU 2277911	C2	20060620	RU 2002-123338		20010215
US 20010027196	A1	20011004	US 2001-788956		20010220
US 6586441	B2	20030701			
ZA 2002006077	A	20031030	ZA 2002-6077		20020730
NO 2002004006	A	20020822	NO 2002-4006		20020822
MX 2002PA08240	A	20021129	MX 2002-PA8240		20020823
PRIORITY APPLN. INFO.:			EP 2000-103432	A	20000225
			WO 2001-EP1679	W	20010215

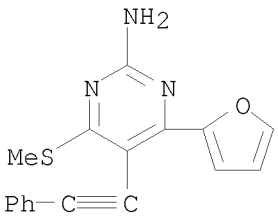
OTHER SOURCE(S): MARPAT 135:211049

GI



AB The title compds. (I) [wherein A = a bond, S, N(R), (CH2)2, CH:CH, C.tplbond.C, or O; X and Y = independently N:, :N, :CH, C(CN):, :C(CN), C(CSNH2):, or :C(CSNH2), wherein at least 1 of X or Y is N; R1 = H, (cyclo)alkyl, alkenyl, alkynyl, halo, CN, (alkyl)carboxylates, (alkyl)carbamates, alkoxy(alkyl), phenoxy(alkyl), phenylamino(alkyl), (un)substituted phenyl(alkyl) or amino(alkyl), morpholinyl(alkyl), piperidinyl(alkyl), pyridinyl(alkyl), piperazinyl(alkyl), etc.; R2 = H, halo, CN, NO2, acyl, carboxylate, (un)substituted alkyl, alkenyl, alkynyl, or Ph; R3 = alkyl or thienyl, (dihydro)furanyl, benzodioxolyl, isoxazolyl, pyridinyl, dihydropyranyl, pyrazinyl, aryl(alkyl)oxy, pyrazolyl, (un)substituted Ph, etc.; R4 and R5 = independently H, benzoyl, or (un)substituted phenacyl; or A and R2 taken together the with the C atoms to which they are attached may form a substituted thienyl group] were prepared as adenosine receptor modulators. For example, treating 3,4,5-trimethoxybenzoylacetonitrile with to NaH in DMSO, followed by addition of CS2 and MeI, gave the bis(methylthio) intermediate. Cycloaddn. with guanidine nitrate in the presence of TEA in DMF afforded the pyrimidinenitrile (II), which exhibited high selectivity toward the A1 and A3 adenosine receptors compared to the A2 receptor with pKi values of 5.88, 5.71 and 7.24, resp. I are useful for the treatment of Alzheimer's disease, Parkinson's disease, neuroprotection, schizophrenia, anxiety, pain, respiration deficits, depression, asthma, allergic responses, hypoxia, ischemia, seizure, substance abuse, and sedation, and they may be active as muscle relaxants, antipsychotics, antiepileptics, anticonvulsants, and cardioprotective agents (no data). The most preferred indications for I are those which include disorders of the central nervous system, such as certain depressive disorders, neuroprotection, and Parkinson's disease.

IT 357285-96-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinamines and pyridinamines as adenosine receptor modulators for treatment of CNS disorders and other diseases)
RN 357285-96-2 CAPLUS
CN 2-Pyrimidinamine, 4-(2-furanyl)-6-(methylthio)-5-(2-phenylethynyl)- (CA INDEX NAME)



=> d ibib abs hitstr 59

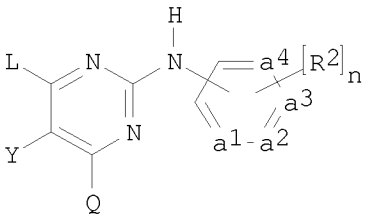
L4 ANSWER 59 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:833289 CAPLUS
DOCUMENT NUMBER: 135:371756
TITLE: Preparation of prodrugs of HIV replication inhibiting pyrimidines
INVENTOR(S): Kukla, Michael Joseph; Ludovici, Donald William; Kavash, Robert W.; De Corte, Bart Lieven Daniel; Heeres, Jan; Janssen, Paul Adriaan Jan; Koymans, Lucien Maria Henricus; De Jonge, Marc Rene; Van Aken Koen, Jeanne Alfons; Krief, Alain
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085699	A2	20011115	WO 2001-EP4990	20010503
WO 2001085699	A3	20020228		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2407754	A1	20011115	CA 2001-2407754	20010503
AU 2001060277	A5	20011120	AU 2001-60277	20010503
AU 782948	B2	20050915		
EP 1282607	A2	20030212	EP 2001-933925	20010503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

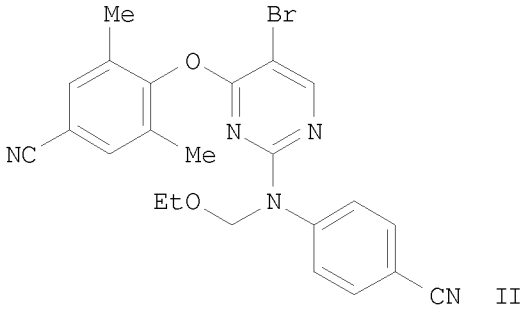
JP 2003532713	T	20031105	JP 2001-582300		20010503
US 20030186990	A1	20031002	US 2002-275333		20021107
US 7034019	B2	20060425			
US 20060009474	A1	20060112	US 2005-225839		20050913
PRIORITY APPLN. INFO.:			US 2000-202471P	P	20000508
			WO 2001-EP4990	W	20010503
			US 2002-275333	A3	20021107

OTHER SOURCE(S): MARPAT 135:371756

GI



I



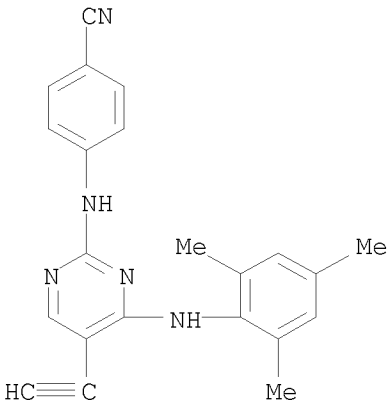
II

AB The title compds. A1A2NR1 [I; R1 = alkyl, SOR8, SO2R8, etc.; R8 = alkyl, (un)substituted Ph, (un)saturated heterocyclyl; A1A2N- is the covalently bonded form of the corresponding intermediate of the formula A1A2NH, which is a HIV replication inhibiting pyrimidine II (wherein a1:a2a3:a4 = CH:CHCH:CH, N:CHCH:CH, N:CHN:CH, N:CHCH:N, N:NCH:CH; n = 0-5; R2 = OH, halo, alkyl, etc.; L = alkyl, alkenyl, cycloalkyl, etc.; Q = H, alkyl, halo, etc.; Y = H, OH, halo, etc.)], were prepared Thus, reacting 4-{[5-bromo-4-(4-cyano-2,6-dimethylphenoxy)-2-pyrimidinyl]amino}benzonitrile (preparation given) with (chloromethoxy)ethane in the presence of NaH in THF afforded 19% III. Anti-HIV activity of compds. I was tested and results were given.

IT 332429-91-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of prodrugs of HIV replication inhibiting pyrimidines)

RN 332429-91-1 CAPLUS

CN Benzonitrile, 4-[[5-ethynyl-4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]- (CA INDEX NAME)



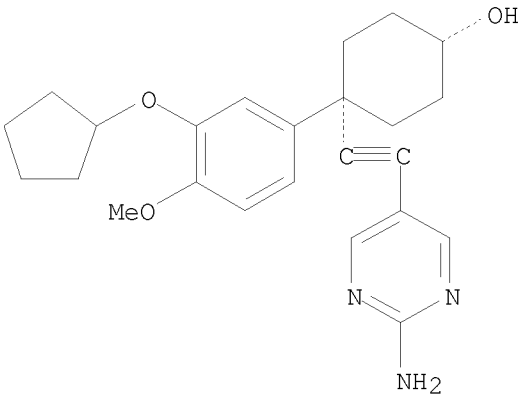
=> d ibib abs hitstr 58

L4 ANSWER 58 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:850920 CAPLUS
DOCUMENT NUMBER: 135:366766
TITLE: Method for enhancing cognitive function with phosphodiesterase-4 inhibitors
INVENTOR(S): Hagan, James
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087281	A2	20011122	WO 2001-GB2134	20010515
WO 2001087281	A3	20020328		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1292287	A2	20030319	EP 2001-929824	20010515
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003533473	T	20031111	JP 2001-583749	20010515
US 20030187006	A1	20031002	US 2003-275853	20030314
PRIORITY APPLN. INFO.:			GB 2000-11802 A	20000516
			WO 2001-GB2134 W	20010515

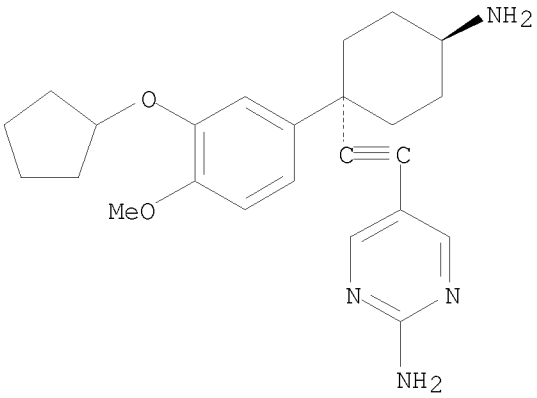
AB A method for enhancing cognitive function by administering to a patient in need thereof an effective amount of a PDE4 inhibitor.
IT 180529-47-9 180529-65-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(enhancing cognitive function with phosphodiesterase-4 inhibitors)
RN 180529-47-9 CAPLUS
CN Cyclohexanol, 4-[(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 180529-65-1 CAPLUS
CN 2-Pyrimidinamine, 5-[[trans-4-amino-1-[3-(cyclopentyloxy)-4-methoxyphenyl]cyclohexyl]ethynyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



=> d ibib abs hitstr 57

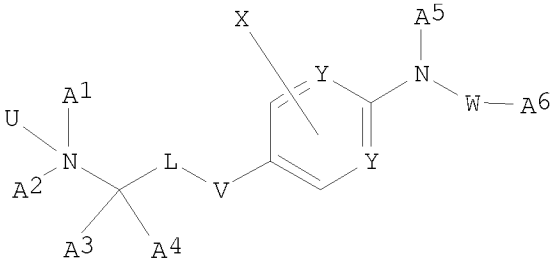
L4 ANSWER 57 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:72007 CAPLUS
DOCUMENT NUMBER: 136:134332
TITLE: Preparation of novel aniline derivatives and their use in treatment of 2,3-oxidosqualene-lanosterol cyclase associated diseases
INVENTOR(S): Ackermann, Jean; Aebi, Johannes; Chucholowski, Alexander; Dehmlow, Henrietta; Morand, Olivier; Wallbaum, Sabine; Weller, Thomas
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002006189		A2	20020124	WO 2001-EP7993		20010711
WO 2002006189		A3	20020808			
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW					
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2415551		A1	20020124	CA 2001-2415551		20010711
CA 2415551		C	20070925			
AU 2001089642		A	20020130	AU 2001-89642		20010711
EP 1303503		A2	20030423	EP 2001-969367		20010711
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001012609		A	20030701	BR 2001-12609		20010711
JP 2004504280		T	20040212	JP 2002-512096		20010711
JP 4005911		B2	20071114			
AU 2001289642		B2	20050113	AU 2001-289642		20010711
US 20020038025		A1	20020328	US 2001-906214		20010716
US 6683201		B2	20040127			
ZA 2003000164		A	20040407	ZA 2003-164		20030107
MX 2003PA00429		A	20030624	MX 2003-PA429		20030115
PRIORITY APPLN. INFO.:				EP 2000-115451	A	20000718
				WO 2001-EP7993	W	20010711

OTHER SOURCE(S): MARPAT 136:134332

GI



I

AB Compds. [I; wherein U = O, lone pair; Y = C, N; V = O, S, N(H or alkyl), CH2, CH:CH, C.tplbond.C; W = CO, COO, CON(H or alkyl), CSO, CSN(H or alkyl), SO2, or SO2N(H or alkyl); L = lower alkylene, alkenylene, or single bond; A1 = H, alkyl, alkenyl; A2 = alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkinyl, etc.; A3, A4 = H, alkyl; or A1-A4 are bonded to each other to form a ring which is a hydrocarbon or heterocycle; A5 = alkyl; X = H, halogen; A6 = alkyl, cycloalkyl, heterocycloalkyl, etc.], pharmaceutically acceptable salts and/or pharmaceutically acceptable esters thereof, are described. Thus, a multistep synthesis of {4-[6-(allyl-methyl-amino)-hexyloxy]-phenyl}-methylamine was described. The compds. are useful for the treatment and/or prophylaxis of diseases which are associated with 2,3-oxidosqualene-lanosterol cyclase such as hypercholesterolemia, hyperlipemia, arteriosclerosis, vascular diseases, mycosis, parasite infections, gallstones, tumors and/or hyperproliferative disorders, and/or treatment and/or prophylaxis of impaired glucose tolerance and diabetes. Biol. data are given.

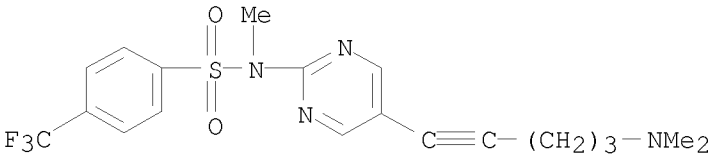
IT 391912-99-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of novel aniline derivs. and use in treatment of 2,3-oxidosqualene-lanosterol cyclase associated diseases)

RN 391912-99-5 CAPLUS

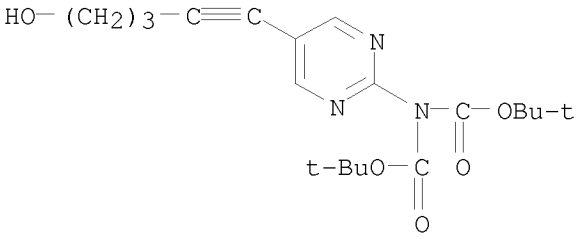
CN Benzenesulfonamide, N-[5-[5-(dimethylamino)-1-pentyn-1-yl]-2-pyrimidinyl]-N-methyl-4-(trifluoromethyl)- (CA INDEX NAME)



IT 391912-94-0P 391912-95-1P 391912-96-2P
391912-97-3P 391912-98-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel aniline derivs. and use in treatment of 2,3-oxidosqualene-lanosterol cyclase associated diseases)

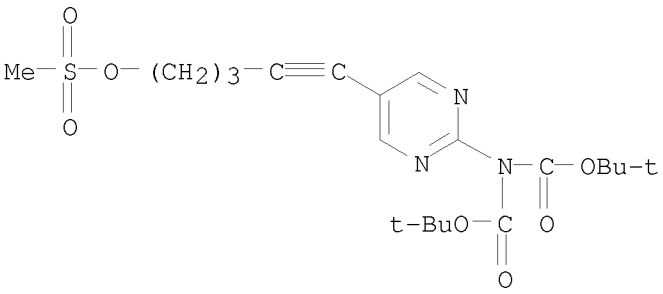
RN 391912-94-0 CAPLUS

CN Imidodicarbonic acid, N-[5-(5-hydroxy-1-pentyn-1-yl)-2-pyrimidinyl]-, C,C'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)



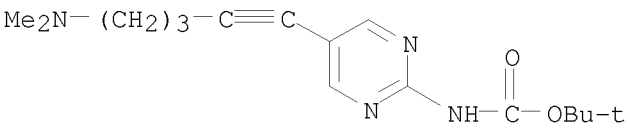
RN 391912-95-1 CAPLUS

CN Imidodicarbonic acid, N-[5-[5-[(methylsulfonyl)oxy]-1-pentyn-1-yl]-2-pyrimidinyl]-, C,C'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)

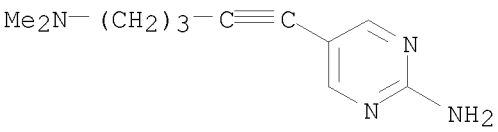


RN 391912-96-2 CAPLUS

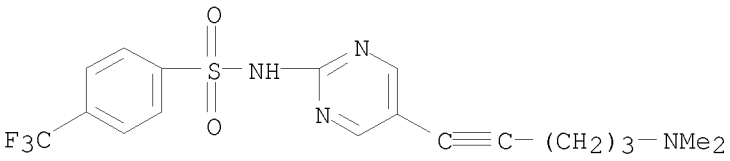
CN Carbamic acid, [5-[5-(dimethylamino)-1-pentynyl]-2-pyrimidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 391912-97-3 CAPLUS
CN 2-Pyrimidinamine, 5-[5-(dimethylamino)-1-pentyn-1-yl]- (CA INDEX NAME)



RN 391912-98-4 CAPLUS
CN Benzenesulfonamide, N-[5-[5-(dimethylamino)-1-pentyn-1-yl]-2-pyrimidinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



=> d ibib abs hitstr 56

L4 ANSWER 56 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:72086 CAPLUS
DOCUMENT NUMBER: 136:134775
TITLE: Pyrimidinylpyrrolidines and related compounds as inhibitors of metalloproteinases
INVENTOR(S): Aebi, Johannes; Bur, Daniel; Chucholowski, Alexander; Dehmlow, Henrietta
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006271	A1	20020124	WO 2001-EP8059	20010712

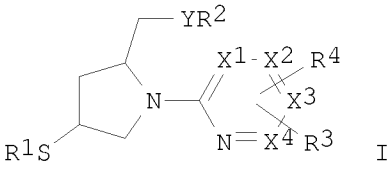
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2415681	A1	20020124	CA 2001-2415681		20010712
CA 2415681	C	20080520			
EP 1303507	A1	20030423	EP 2001-965123		20010712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001012656	A	20030624	BR 2001-12656		20010712
JP 2004504317	T	20040212	JP 2002-512174		20010712
JP 3983662	B2	20070926			
US 20020055632	A1	20020509	US 2001-906983		20010717
US 6660738	B2	20031209			
ZA 2003000161	A	20040407	ZA 2003-161		20030107
MX 2003PA00504	A	20030624	MX 2003-PA504		20030117
PRIORITY APPLN. INFO.:			EP 2000-114950	A	20000719
			WO 2001-EP8059	W	20010712

OTHER SOURCE(S): MARPAT 136:134775

GI



I

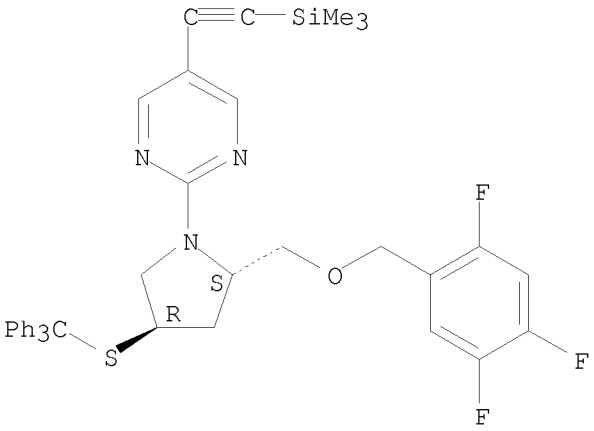
AB Title compds. I [one or two of X1-X4 = N, the others = CH; R1 = H, acyl; R2 = (un)substituted alkyl, alkynyl, cycloalkyl, alkylsulfonyl, aryl, aralkyl, arylaminocarbonyl, acyl, arylsulfonyl, heteroaryl; R3, R4 = H, (un)substituted alkyl, alkylcycloalkyl, alkylthio, cycloalkyl, carbamoyl, carboxy, CN, (un)substituted NH2, alkoxycarbonyl, alkoxycarbonylalkyl, arylalkenyl, aryloxy, halogen, heterocyclic C.tplbond.CSiMe3, CF3; Y = O, (un)substituted NH; YR2 = heterocyclic] were prepared for use as inhibitors of metalloproteases, e.g. zinc proteases, particularly zinc hydrolases, and are effective in treating disease states are associated with vasoconstriction of increasing occurrences. Thus, (3R,5S)-I [X1 = N, X2-X4 = CH, R1, R3, R4 = H, YR2 = OCH2C6H2F3-2,4,5] was obtained from (2S,4R)-4-hydroxypyrrolidine-1,2-dicarboxylic acid 1-tert.-Bu 2-Me ester in 7 steps.

IT 391889-42-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidinylpyrrolidines and related compds. as inhibitors of metalloproteinases)

RN 391889-42-2 CAPLUS

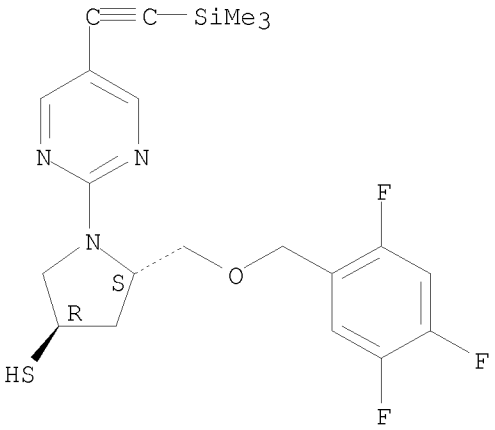
CN Pyrimidine, 2-[(2S,4R)-2-[[(2,4,5-trifluorophenyl)methoxy]methyl]-4-[(triphenylmethyl)thio]-1-pyrrolidinyl]-5-[2-(trimethylsilyl)ethynyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 391889-43-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinylpyrrolidines and related compds. as inhibitors of metalloproteinases)
RN 391889-43-3 CAPLUS
CN 3-Pyrrolidinethiol, 5-[[(2,4,5-trifluorophenyl)methoxy]methyl]-1-[5-[2-(trimethylsilyl)ethynyl]-2-pyrimidinyl]-, (3R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 55

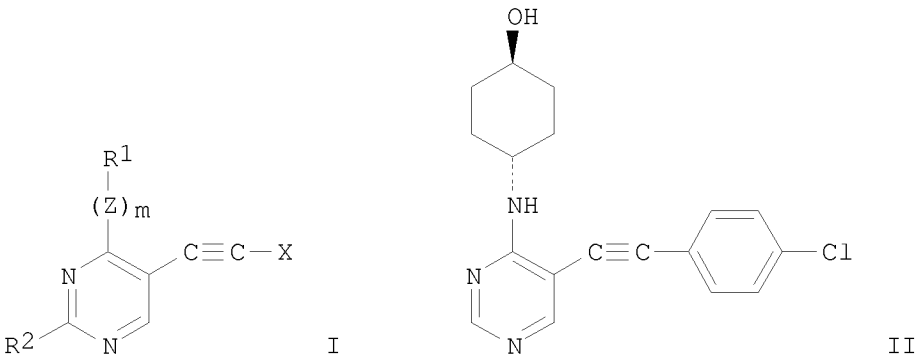
L4 ANSWER 55 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:90025 CAPLUS
DOCUMENT NUMBER: 136:151172
TITLE: Preparation of 5-(arylalkynyl)pyrimidines having neurotrophic activity for the treatment of neurodegerative and other neurological disorders
INVENTOR(S): Beauchamp, Lilia; Krenitsky, Thomas A.; Kelley, James L.
PATENT ASSIGNEE(S): Krenitsky Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008205	A1	20020131	WO 2001-US23088	20010720
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2416442	A1	20020131	CA 2001-2416442	20010720
AU 2001073574	A	20020205	AU 2001-73574	20010720
EP 1303495	A1	20030423	EP 2001-952859	20010720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004504386	T	20040212	JP 2002-514111	20010720
US 20040087789	A1	20040506	US 2003-333447	20030627
US 7205297	B2	20070417		

PRIORITY APPLN. INFO.: US 2000-220348P P 20000724
WO 2001-US23088 W 20010720

OTHER SOURCE(S): MARPAT 136:151172
GI

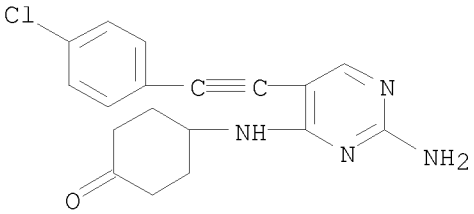


AB Title compds. I [wherein Z = O, NH, or S; m = 0-1; R1 = (un)substituted (alkyl)a((hetero)cycloalkyl or (hetero)aryl)b(alkyl)c; a, b, and c = independently 0-1 and a + b + c ≥ 1, with provisos; R2 = H, NH2, or NHCOR3; R3 = H or alkyl; X = (un)substituted aryl; and pharmaceutically acceptable esters, amides, salts, or solvates thereof] were prepared Pharmaceutical compns. which contain I, methods for their preparation, and their use in therapy, particularly in the treatment of neurodegenerative or other neurol. disorders of the central and peripheral nervous systems, including age related cognitive disorders such as senility and Alzheimer's disease, nerve injuries, peripheral neuropathies, and seizure disorders such as epilepsy, are disclosed. For example, 4-chloro-5-(4-chlorophenylethynyl)pyrimidine (preparation given) was coupled with (trans)-4-aminocyclohexanol•HCl using TEA and MeCN in CH2Cl2 to afford II. The latter increased the choline acetyltransferase (ChAT) activity

relative to nerve growth factor (NGF) alone with EC2x of 0.2 μM.

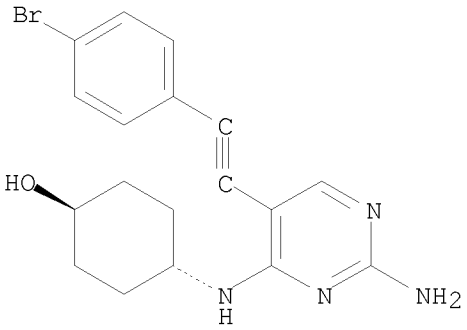
IT 393856-66-1P, 2-Amino-5-(4-chlorophenylethynyl)-4-(4-oxocyclohexylamino)pyrimidine 393856-71-8P, 2-Amino-5-(4-bromophenylethynyl)-4-(4-trans-hydroxycyclohexylamino)pyrimidine 393856-87-6P, 2-Amino-5-(4-chlorophenylethynyl)-4-(trans-4-hydroxycyclohexylamino)pyrimidine 393857-07-3P, 2-Diisopropylaminomethyleneamino-4-(trans-4-hydroxycyclohexylamino)-5-phenylethynylpyrimidine 393857-16-4P, 5-(4-Chlorophenylethynyl)-2-diisopropylaminomethyleneamino-4-morpholinopyrimidine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(CNS agent; preparation of (arylalkynyl)pyrimidines having neurotrophic activity for the treatment of neurodegenerative and other neurol. disorders)

RN 393856-66-1 CAPLUS
CN Cyclohexanone, 4-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



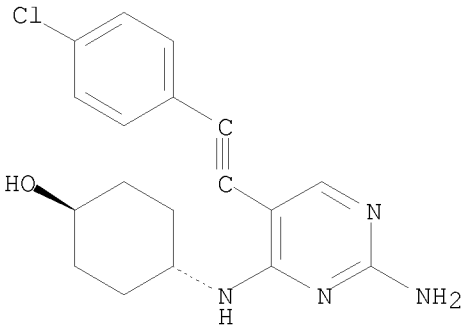
RN 393856-71-8 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-(4-bromophenyl)ethynyl]-4-pyrimidinyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.



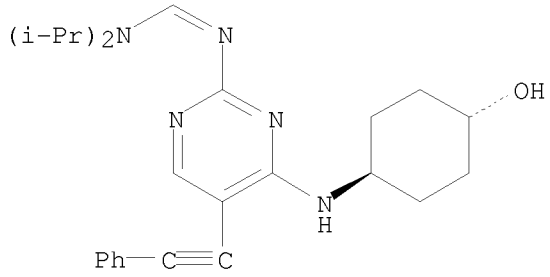
RN 393856-87-6 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.

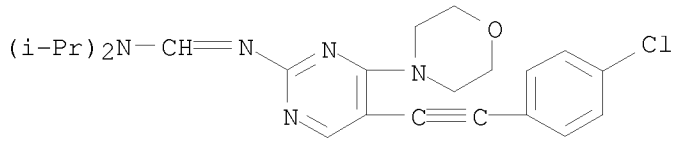


RN 393857-07-3 CAPLUS
CN Methanimidamide, N'-[4-[(trans-4-hydroxycyclohexyl)amino]-5-(2-phenylethynyl)-2-pyrimidinyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



RN 393857-16-4 CAPLUS
CN Methanimidamide, N'-[5-[2-(4-chlorophenyl)ethynyl]-4-(4-morpholinyl)-2-pyrimidinyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

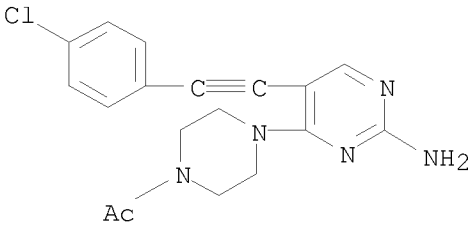


IT 393855-70-4P, 2-Amino-5-(4-chlorophenylethynyl)-4-(4-acetylpiperazino)pyrimidine 393855-81-7P, 2-Amino-5-(4-chlorophenylethynyl)-4-[2-(2-hydroxyethoxy)ethylamino]pyrimidine 393855-87-3P, 2-Amino-4-[4-(2-hydroxyethyl)piperazino]-5-phenylethynylpyrimidine 393855-89-5P, 2-Amino-5-(4-chlorophenylethynyl)-4-[4-(2-hydroxyethyl)piperazino]pyrimidine 393855-96-4P, 2-Amino-4-(4-hydroxypiperidino)-5-phenylethynylpyrimidine 393855-99-7P, 2-Amino-5-(4-chlorophenylethynyl)-4-(4-hydroxypiperidino)pyrimidine 393856-04-7P, 2-Amino-4-(2-hydroxyethylamino)-5-phenylethynylpyrimidine 393856-07-0P, 2-Amino-4-(4-hydroxyanilino)-5-phenylethynylpyrimidine 393856-10-5P, 2-Amino-4-(4-trans-hydroxycyclohexylamino)-5-(4-n-pentylphenylethynyl)pyrimidine 393856-13-8P, 2-Acetamido-4-(4-trans-acetoxycyclohexylamino)-5-(4-chlorophenylethynyl)pyrimidine 393856-17-2P,

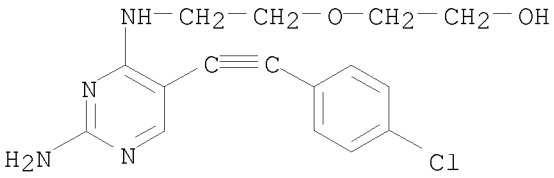
2-Amino-5-(4-tert-butylphenylethynyl)-4-(4-trans-
hydroxycyclohexylamino)pyrimidine 393856-21-8P,
2-Amino-5-(4-chlorophenylethynyl)-4-(4-hydroxyphenylethylamino)pyrimidine
393856-24-1P, 2-Amino-4-(4-hydroxyanilino)-5-(4-
methoxyphenylethynyl)pyrimidine 393856-26-3P,
2-Amino-5-(4-propylphenylethynyl)-4-(4-trans-hydroxycyclohexylamino)pyrimi
dine 393856-29-6P, 2-Amino-4-(4-hydroxy-2-methylanilino)-5-(4-
chlorophenylethynyl)pyrimidine 393856-31-0P,
2-Amino-5-(4-chlorophenylethynyl)-4-(4-hydroxyanilino)pyrimidine
393856-34-3P, 2-Amino-5-(4-chlorophenylethynyl)-4-(4-
oxocyclohexyloxy)pyrimidine 393856-36-5P, 2-Amino-5-(4-
chlorophenylethynyl)-4-[2-(2-hydroxyethoxy)ethoxy]pyrimidine
393856-39-8P, 2-Amino-5-(4-chlorophenylethynyl)-4-(4-
hydroxyphenoxy)pyrimidine 393856-42-3P, 2-Amino-5-(4-
chlorophenylethynyl)-4-(4-hydroxyphenylthio)pyrimidine
393856-45-6P, 5-(4-Chlorophenylethynyl)-2-formamido-4-(4-
hydroxyphenylthio)pyrimidine 393856-54-7P, 2-Amino-4-[2-(2-
hydroxyethoxy)ethylamino]-5-(4-methylphenylethynyl)pyrimidine
393856-57-0P 393856-60-5P, 5-(4-Chlorophenylethynyl)-2-
formamido-4-(4-trans-hydroxycyclohexylamino)pyrimidine
393856-63-8P, 2-Amino-5-(3,4-dichlorophenylethynyl)-4-(4-trans-
hydroxycyclohexylamino)pyrimidine 393856-69-4P,
2-Amino-5-(2-chlorophenylethynyl)-4-(4-trans-hydroxycyclohexylamino)pyrimi
dine 393856-73-0P, 2-Amino-5-(4-chlorophenylethynyl)-4-(4-trans-
hydroxycyclohexylamino)pyrimidine-O-dimethyl phosphate ester
393856-76-3P, 2-Amino-5-(4-chlorophenylethynyl)-4-(3,4-
dimethoxyanilino)pyrimidine 393856-79-6P, 5-(4-
Acetamidophenylethynyl)-2-amino-4-(4-trans-hydroxycyclohexylamino)pyrimidi
ne 393856-85-4P, 2-Amino-4-(trans-4-hydroxycyclohexylamino)-5-
phenylethynylpyrimidine 393856-89-8P, 2-Amino-5-(4-
chlorophenylethynyl)-4-(4-cis-hydroxycyclohexylamino)pyrimidine
393856-91-2P, 2-Amino-4-[2-(2-hydroxyethoxy)ethylamino]-5-
phenylethynylpyrimidine 393856-95-6P, 2-Amino-5-(4-
ethylphenylethynyl)-4-(4-trans-hydroxycyclohexylamino)pyrimidine
393857-11-9P, 5-(4-Chlorophenylethynyl)-2-
diisopropylaminomethyleneamino-4-(trans-4-hydroxycyclohexylamino)pyrimidin
e 393857-13-1P, 2-Amino-5-(4-chlorophenylethynyl)-4-(2-
hydroxyethylamino)pyrimidine 393857-18-6P, 2-Amino-5-(4-
chlorophenylethynyl)-4-morpholinopyrimidine 393857-31-3P,
2-Amino-5-(4-ethylphenylethynyl)-4-(4-trans-hydroxycyclohexylamino)pyrimid
ine hydrochloride 393857-34-6P, 2-Amino-5-(4-bromophenylethynyl)-
4-(4-trans-hydroxycyclohexylamino)pyrimidine hydrochloride
393857-35-7P, 5-(4-Acetamidophenylethynyl)-2-amino-4-(4-trans-
hydroxycyclohexylamino)pyrimidine hydrochloride 393857-41-5P,
2-Amino-4-(4-trans-hydroxycyclohexylamino)-5-(4-
nitrophenylethynyl)pyrimidine hydrochloride 393857-43-7P,
2-Amino-4-(4-trans-hydroxycyclohexylamino)-5-(4-
propylphenylethynyl)pyrimidine hydrochloride 393857-47-1P,
2-Amino-4-(4-hydroxyanilino)-5-(4-methoxyphenylethynyl)pyrimidine
hydrochloride 393857-50-6P, 5-(4-Chlorophenylethynyl)-2-
formamido-4-(4-oxocyclohexyloxy)pyrimidine ethylene ketal
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(CNS agent; preparation of (arylalkynyl)pyrimidines having neurotrophic
activity for the treatment of neurodegenerative and other neurol.
disorders)

RN 393855-70-4 CAPLUS

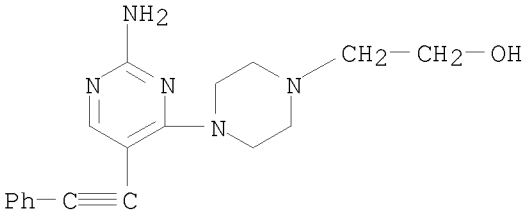
CN Ethanone, 1-[4-[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]-1-
piperazinyl]- (CA INDEX NAME)



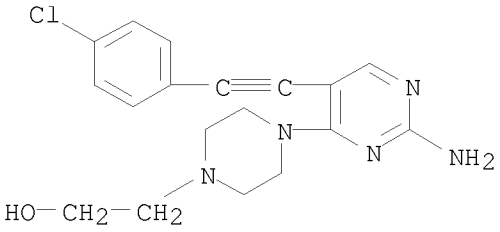
RN 393855-81-7 CAPLUS
CN Ethanol, 2-[2-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]ethoxy]- (CA INDEX NAME)



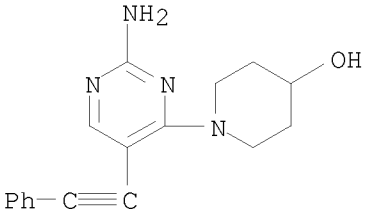
RN 393855-87-3 CAPLUS
CN 1-Piperazineethanol, 4-[2-amino-5-(2-phenylethynyl)-4-pyrimidinyl]- (CA INDEX NAME)



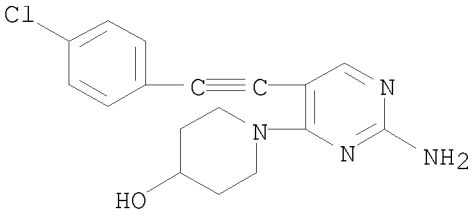
RN 393855-89-5 CAPLUS
CN 1-Piperazineethanol, 4-[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]- (CA INDEX NAME)



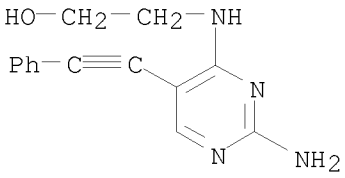
RN 393855-96-4 CAPLUS
CN 4-Piperidinol, 1-[2-amino-5-(2-phenylethynyl)-4-pyrimidinyl]- (CA INDEX NAME)



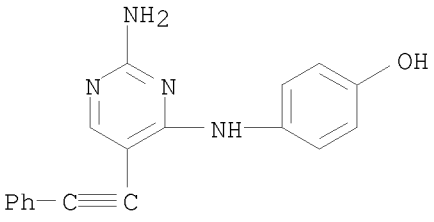
RN 393855-99-7 CAPLUS
CN 4-Piperidinol, 1-[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]- (CA INDEX NAME)



RN 393856-04-7 CAPLUS
CN Ethanol, 2-[[2-amino-5-(2-phenylethynyl)-4-pyrimidinyl]amino]- (CA INDEX NAME)

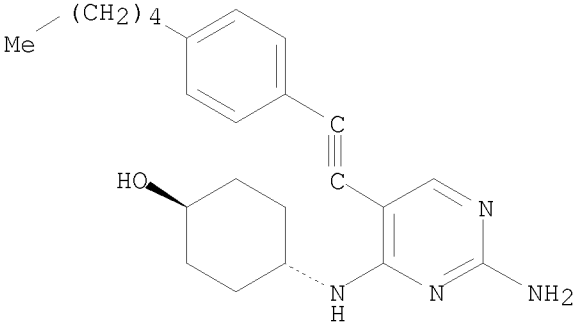


RN 393856-07-0 CAPLUS
CN Phenol, 4-[[2-amino-5-(2-phenylethynyl)-4-pyrimidinyl]amino]- (CA INDEX NAME)



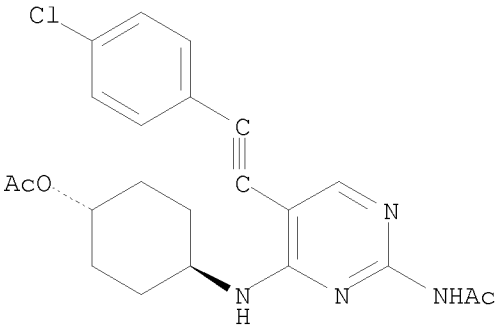
RN 393856-10-5 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-(4-pentylphenyl)ethynyl]-4-pyrimidinyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.



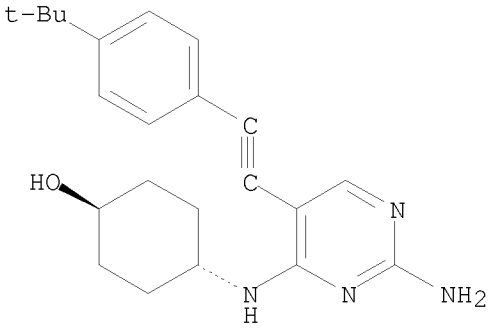
RN 393856-13-8 CAPLUS
CN Acetamide, N-[4-[[trans-4-(acetyloxy)cyclohexyl]amino]-5-[2-(4-chlorophenyl)ethynyl]-2-pyrimidinyl]- (CA INDEX NAME)

Relative stereochemistry.

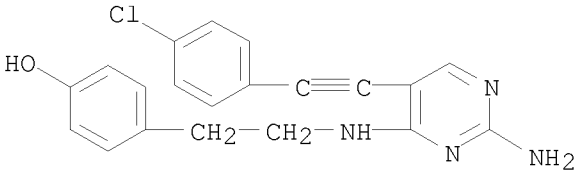


RN 393856-17-2 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-[4-(1,1-dimethylethyl)phenyl]ethynyl]-4-pyrimidinyl]amino]-, trans- (CA INDEX NAME)

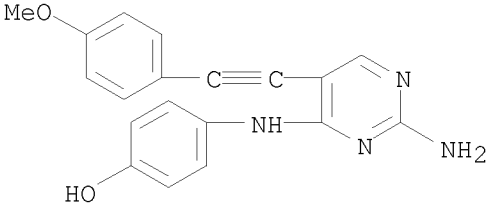
Relative stereochemistry.



RN 393856-21-8 CAPLUS
CN Phenol, 4-[2-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

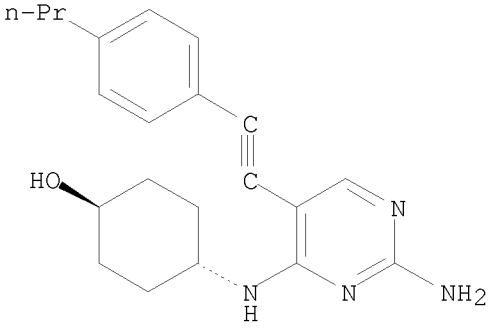


RN 393856-24-1 CAPLUS
CN Phenol, 4-[[2-amino-5-[2-(4-methoxyphenyl)ethynyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

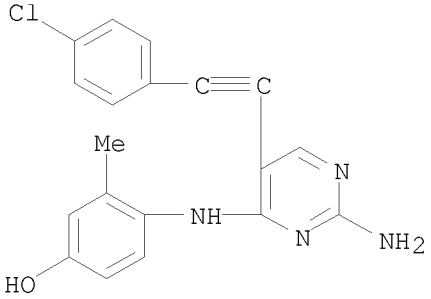


RN 393856-26-3 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-(4-propylphenyl)ethynyl]-4-pyrimidinyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.

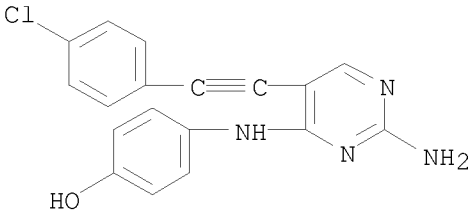


RN 393856-29-6 CAPLUS
CN Phenol, 4-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]-3-methyl- (CA INDEX NAME)

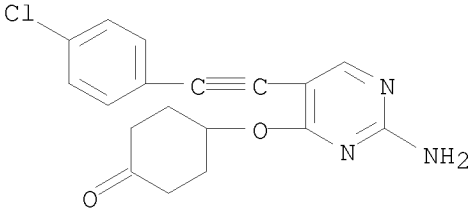


RN 393856-31-0 CAPLUS

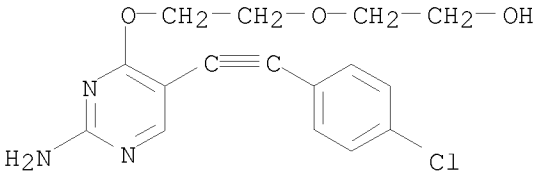
CN Phenol, 4-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



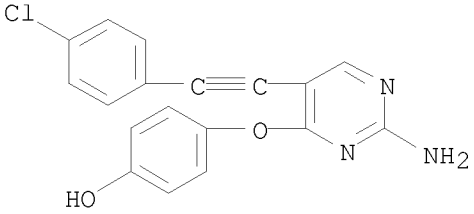
RN 393856-34-3 CAPLUS
CN Cyclohexanone, 4-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]oxy]- (CA INDEX NAME)



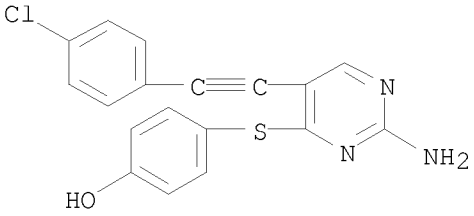
RN 393856-36-5 CAPLUS
CN Ethanol, 2-[2-[[2-amino-5-[(4-chlorophenyl)ethynyl]-4-pyrimidinyl]oxy]ethoxy]- (9CI) (CA INDEX NAME)



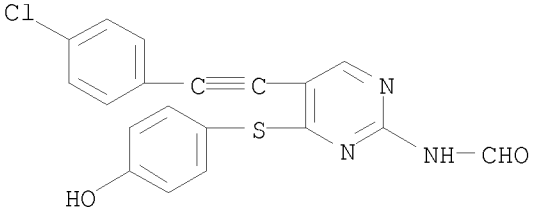
RN 393856-39-8 CAPLUS
CN Phenol, 4-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]oxy]- (CA INDEX NAME)



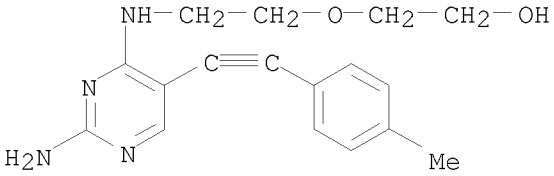
RN 393856-42-3 CAPLUS
CN Phenol, 4-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]thio]- (CA INDEX NAME)



RN 393856-45-6 CAPLUS
CN Formamide, N-[5-[2-(4-chlorophenyl)ethynyl]-4-[(4-hydroxyphenyl)thio]-2-pyrimidinyl]- (CA INDEX NAME)

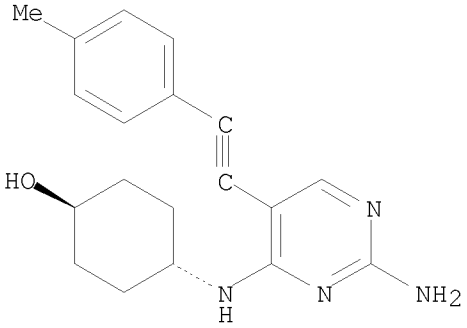


RN 393856-54-7 CAPLUS
CN Ethanol, 2-[2-[[2-amino-5-[2-(4-methylphenyl)ethynyl]-4-pyrimidinyl]amino]ethoxy]- (CA INDEX NAME)



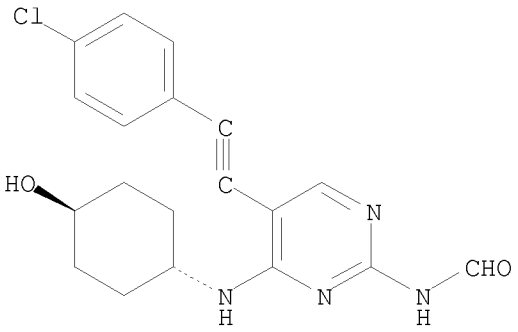
RN 393856-57-0 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-(4-methylphenyl)ethynyl]-4-pyrimidinyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.



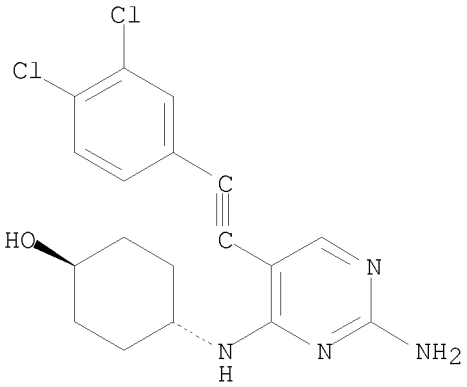
RN 393856-60-5 CAPLUS
CN Formamide, N-[5-[2-(4-chlorophenyl)ethynyl]-4-[(trans-4-hydroxycyclohexyl)amino]-2-pyrimidinyl]- (CA INDEX NAME)

Relative stereochemistry.



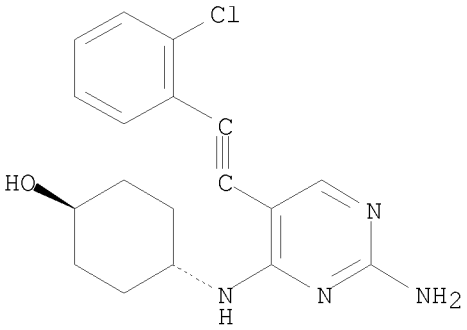
RN 393856-63-8 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-(3,4-dichlorophenyl)ethynyl]-4-pyrimidinyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.



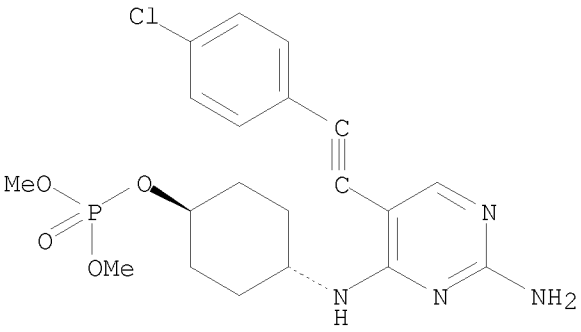
RN 393856-69-4 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-(2-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.

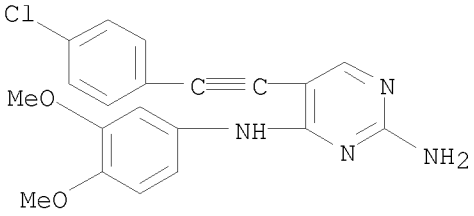


RN 393856-73-0 CAPLUS
CN Phosphoric acid, trans-4-[[2-amino-5-[(4-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]cyclohexyl dimethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

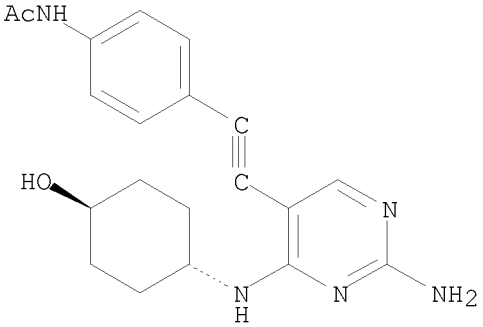


RN 393856-76-3 CAPLUS
CN 2,4-Pyrimidinediamine, 5-[2-(4-chlorophenyl)ethynyl]-N4-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



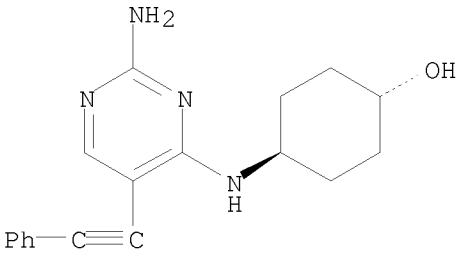
RN 393856-79-6 CAPLUS
CN Acetamide, N-[4-[2-[2-amino-4-[(trans-4-hydroxycyclohexyl)amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

Relative stereochemistry.



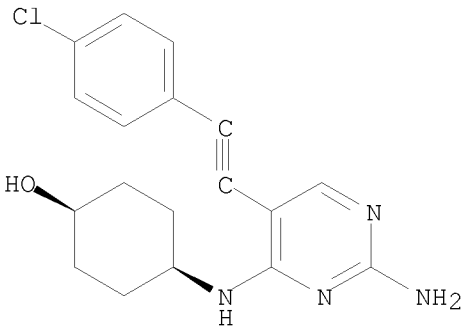
RN 393856-85-4 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-(2-phenylethynyl)-4-pyrimidinyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.

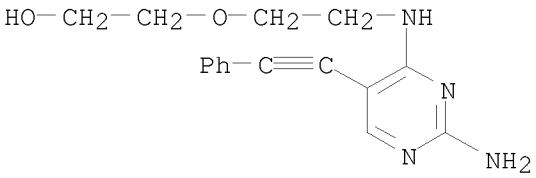


RN 393856-89-8 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]-, cis- (CA INDEX NAME)

Relative stereochemistry.

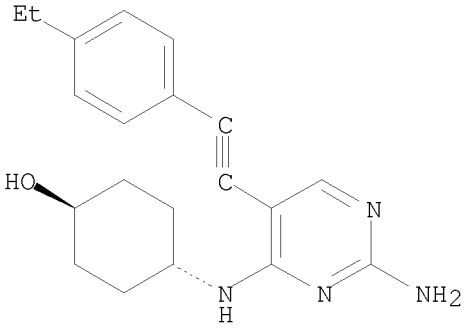


RN 393856-91-2 CAPLUS
CN Ethanol, 2-[2-[[2-amino-5-(2-phenylethynyl)-4-pyrimidinyl]amino]ethoxy]- (CA INDEX NAME)



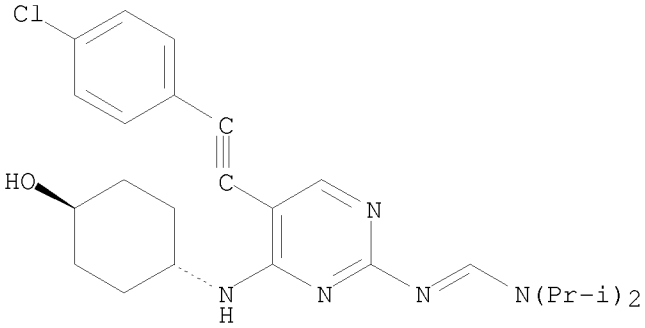
RN 393856-95-6 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-(4-ethylphenyl)ethynyl]-4-pyrimidinyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.

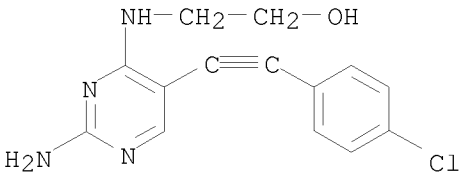


RN 393857-11-9 CAPLUS
CN Methanimidamide, N'-[5-[2-(4-chlorophenyl)ethynyl]-4-[(trans-4-hydroxycyclohexyl)amino]-2-pyrimidinyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

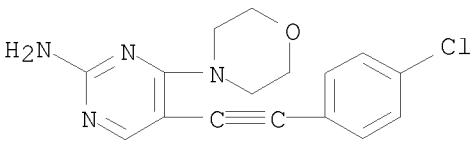
Relative stereochemistry.
Double bond geometry unknown.



RN 393857-13-1 CAPLUS
CN Ethanol, 2-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

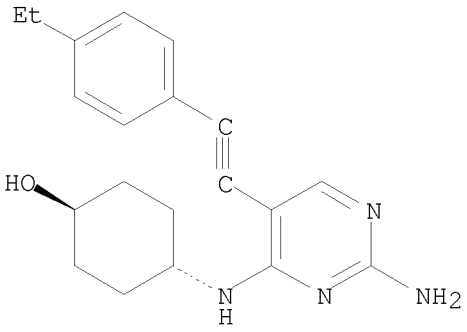


RN 393857-18-6 CAPLUS
CN 2-Pyrimidinamine, 5-[2-(4-chlorophenyl)ethynyl]-4-(4-morpholinyl)- (CA INDEX NAME)



RN 393857-31-3 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-(4-ethylphenyl)ethynyl]-4-pyrimidinyl]amino]-, hydrochloride (1:1), trans- (CA INDEX NAME)

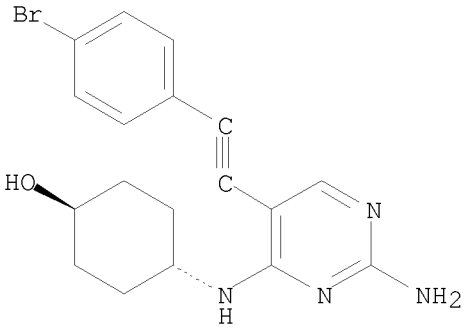
Relative stereochemistry.



● HCl

RN 393857-34-6 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-(4-bromophenyl)ethynyl]-4-pyrimidinyl]amino]-, hydrochloride (1:1), trans- (CA INDEX NAME)

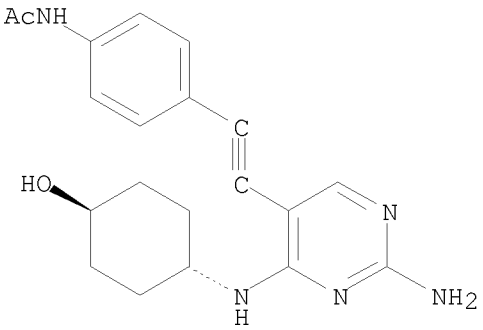
Relative stereochemistry.



● HCl

RN 393857-35-7 CAPLUS
CN Acetamide, N-[4-[2-[2-amino-4-[(trans-4-hydroxycyclohexyl)amino]-5-pyrimidinyl]ethynyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

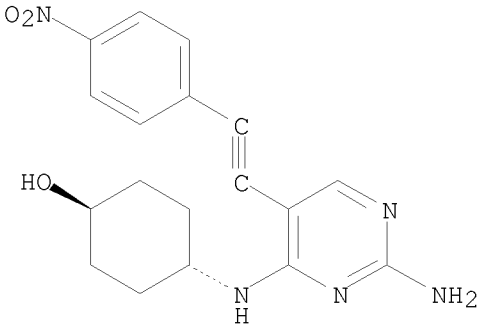
Relative stereochemistry.



● HCl

RN 393857-41-5 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-(4-nitrophenyl)ethynyl]-4-pyrimidinyl]amino]-, hydrochloride (1:1), trans- (CA INDEX NAME)

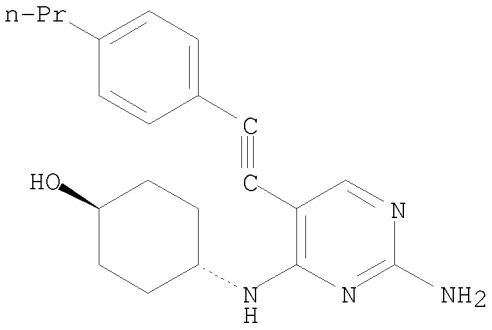
Relative stereochemistry.



● HCl

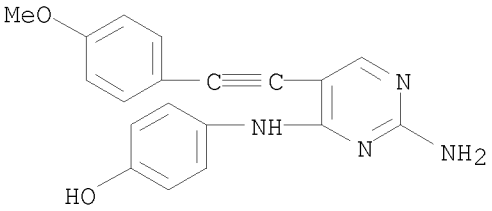
RN 393857-43-7 CAPLUS
CN Cyclohexanol, 4-[[2-amino-5-[2-(4-propylphenyl)ethynyl]-4-pyrimidinyl]amino]-, hydrochloride (1:1), trans- (CA INDEX NAME)

Relative stereochemistry.



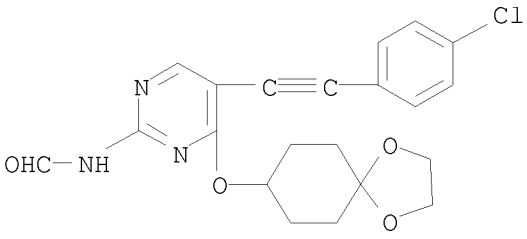
● HCl

RN 393857-47-1 CAPLUS
CN Phenol, 4-[[2-amino-5-[2-(4-methoxyphenyl)ethynyl]-4-pyrimidinyl]amino]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

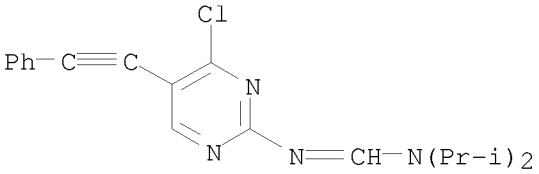
RN 393857-50-6 CAPLUS
CN Formamide, N-[5-[2-(4-chlorophenyl)ethynyl]-4-(1,4-dioxaspiro[4.5]dec-8-yloxy)-2-pyrimidinyl]- (CA INDEX NAME)



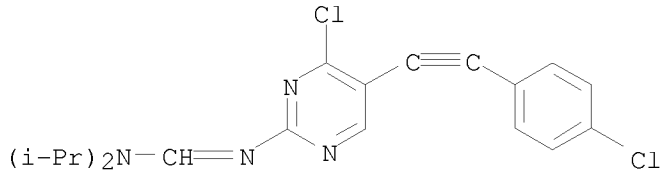
IT 393857-05-1P, 4-Chloro-2-diisopropylaminomethyleneamino-5-phenylethynylpyrimidine 393857-09-5P, 4-Chloro-5-(4-chlorophenylethynyl)-2-diisopropylaminomethyleneaminopyrimidine 393857-14-2P, 5-(4-Chlorophenylethynyl)-2-diisopropylaminomethyleneamino-4-(4-hydroxyanilino)pyrimidine 393857-29-9P, 4-Chloro-2-diisopropylaminomethyleneamino-5-(4-ethylphenylethynyl)pyrimidine 393857-33-5P, 5-(4-Bromophenylethynyl)-4-chloro-2-diisopropylaminomethyleneaminopyrimidine 393857-37-9P, 4-Chloro-5-(4-chlorophenylethynyl)-2-dimethylaminomethyleneaminopyrimidine 393857-39-1P,

4-Chloro-5-(4-chlorophenylethynyl)-2-formamidopyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (arylalkynyl)pyrimidines having neurotrophic activity for the treatment of neurodegenerative and other neurol. disorders)

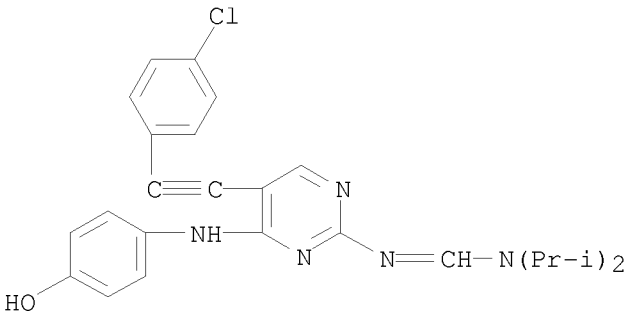
RN 393857-05-1 CAPLUS
CN Methanimidamide, N'-[4-chloro-5-(2-phenylethynyl)-2-pyrimidinyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



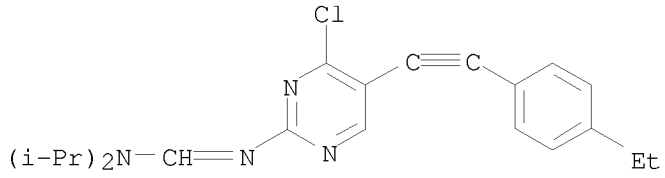
RN 393857-09-5 CAPLUS
CN Methanimidamide, N'-[4-chloro-5-[2-(4-chlorophenyl)ethynyl]-2-pyrimidinyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



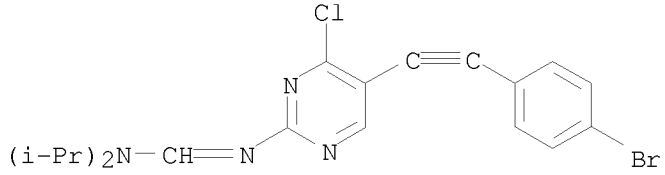
RN 393857-14-2 CAPLUS
CN Methanimidamide, N'-[5-[2-(4-chlorophenyl)ethynyl]-4-[(4-hydroxyphenyl)amino]-2-pyrimidinyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



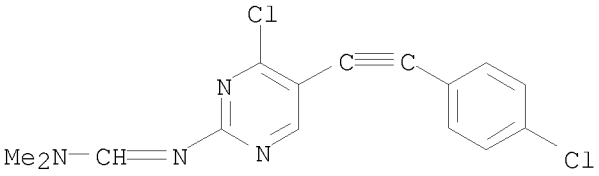
RN 393857-29-9 CAPLUS
CN Methanimidamide, N'-[4-chloro-5-[2-(4-ethylphenyl)ethynyl]-2-pyrimidinyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



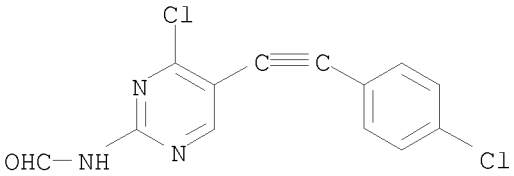
RN 393857-33-5 CAPLUS
CN Methanimidamide, N'-[5-[2-(4-bromophenyl)ethynyl]-4-chloro-2-pyrimidinyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



RN 393857-37-9 CAPLUS
CN Methanimidamide, N'-[4-chloro-5-[2-(4-chlorophenyl)ethynyl]-2-pyrimidinyl]-N,N-dimethyl- (CA INDEX NAME)



RN 393857-39-1 CAPLUS
CN Formamide, N-[4-chloro-5-[2-(4-chlorophenyl)ethynyl]-2-pyrimidinyl]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

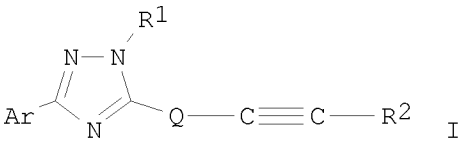
=> d ibib abs hitstr 54

L4 ANSWER 54 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:123597 CAPLUS
DOCUMENT NUMBER: 136:146541
TITLE: Preparation of 1,2,4-triazole derivatives as insecticides or acaricides and processes
INVENTOR(S): Hegde, Vidyadhar Babu; Bis, Scott Jerome; Heo, Emilie Chassat; Hamilton, Christopher Thomas; Johnson, Peter Lee; Karr, Laura Lee; Martin, Timothy Patrick; Neese,

Paul Allen; Orr, Nailah; Tisdell, Francis Eugene; Yap, Maurice Chee Hoong; Zhu, Yuanming
PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA
SOURCE: U.S. Pat. Appl. Publ., 29 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

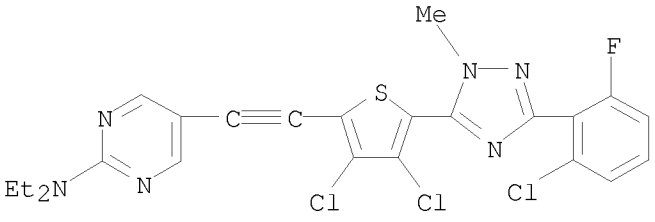
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020019370	A1	20020214	US 2001-834845	20010413
US 6417187	B2	20020709		

PRIORITY APPLN. INFO.: US 2000-197179P P 20000414
OTHER SOURCE(S): MARPAT 136:146541
GI

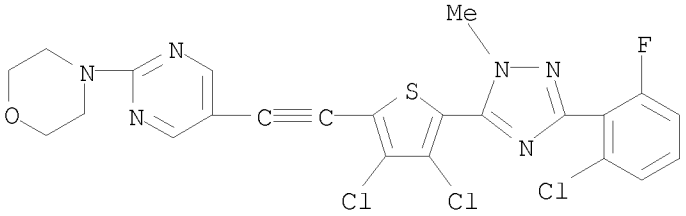


I

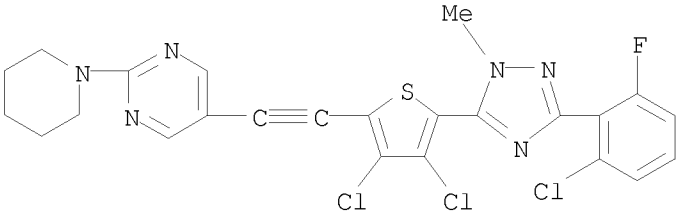
AB 3-(Substituted aryl)-5-{substituted aryl(alkynylaryl)}-[1,2,4]triazole compds. I [Ar = alkyl, (un)substituted Ph or pyridyl; R1 = alkyl, cycloalkyl or substituted Ph; Q = (un)substituted Ph, thienyl or pyridyl; R2 = H, alkyl, alkenyl, etc.] are useful as insecticides and acaricides. New synthetic procedures and intermediates for preparing the compds., pesticide compns. containing the compds., and methods of controlling insects and mites using the compds. are also provided.
IT 395081-91-1P 395082-13-0P 395082-14-1P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as insecticide and acaricide)
RN 395081-91-1 CAPLUS
CN 2-Pyrimidinamine, 5-[2-[3,4-dichloro-5-[3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazol-5-yl]-2-thienyl]ethynyl]-N,N-diethyl- (CA INDEX NAME)



RN 395082-13-0 CAPLUS
CN Morpholine, 4-[5-[2-[3,4-dichloro-5-[3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazol-5-yl]-2-thienyl]ethynyl]-2-pyrimidinyl]- (CA INDEX NAME)



RN 395082-14-1 CAPLUS
CN Pyrimidine, 5-[2-[3,4-dichloro-5-[3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazol-5-yl]-2-thienyl]ethynyl]-2-(1-piperidinyl)- (CA INDEX NAME)



=> d ibib abs hitstr 53

L4 ANSWER 53 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:171869 CAPLUS
DOCUMENT NUMBER: 136:232288
TITLE: Preparation of oxazolidinone chemotherapeutic agents
INVENTOR(S): Sciotti, Richard J.; Djuric, Steven W.; Pliushchev, Marina
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018353	A2	20020307	WO 2001-US26346	20010823
WO 2002018353	A3	20020613		
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 6277868	B1	20010821	US 2000-652504	20000831
US 20020045625	A1	20020418	US 2001-884735	20010619
US 6410728	B2	20020625		
PRIORITY APPLN. INFO.:			US 2000-652504 A	20000831
			US 2001-884735 A	20010619

OTHER SOURCE(S): MARPAT 136:232288
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

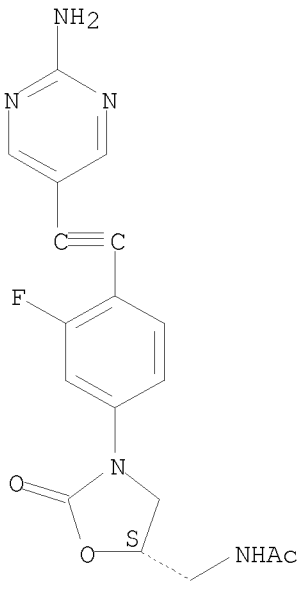
AB Compds. of the formula I [A = Ph, substituted five-membered aromatic ring containing 1 or 2 atoms selected from N, O, and S and the remaining atoms are carbon, or substituted 6-membered aromatic ring containing 1 or 2 nitrogen atoms and the remaining atoms are carbon; R1, R2 = independently H, alkyl, cycloalkyl, hydroxy, amino, halo, haloalkyl, and perfluoroalkyl; R3 = optionally substituted alkyl, alkanoyl, carboxamido, cycloalkyl, cyclothioalkoxy, etc.; R4 = substituted N, O, or S] or therapeutically acceptable salts or prodrugs thereof were prepared Thus, Me 4-((4-((5S)-5-((acetylamino)methyl)-2-oxo-1,3-oxazolidin-3-yl)-2-fluorophenyl)ethynyl)benzoate (II) was synthesized in 6 steps from (5R)-5-(hydroxymethyl)-1,3-oxazolidin-2-one (III). Oxazolidinones of formula I are useful for treating bacterial infections, psoriasis, arthritis, and toxicity due to chemotherapy. Preparation of the compds., compns. containing the compds., and treatment of diseases using the compds. are disclosed.

IT 402960-39-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(asym. synthesis of oxazolidinone chemotherapeutic agents)

RN 402960-39-8 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[2-(2-amino-5-pyrimidinyl)ethynyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



=> d ibib abs hitstr 52

L4 ANSWER 52 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:174786 CAPLUS

DOCUMENT NUMBER: 137:370045

TITLE: Synthesis of isotopically labeled phosphodiesterase type 4 inhibitors, SB 222618 and SB 242126

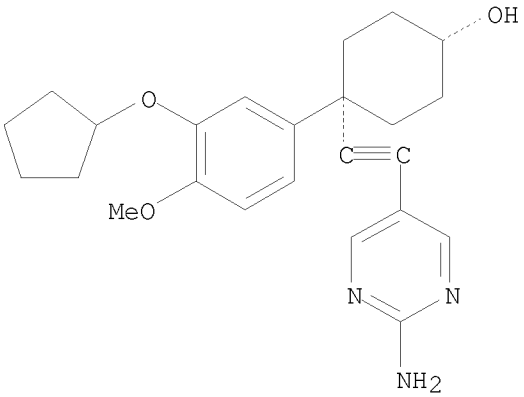
AUTHOR(S): Mokhallalati, Mohamed K.; Shu, Arthur Y. L.; Villani, Anthony J.

CORPORATE SOURCE: Radiochemistry Department, SmithKline Beecham Pharmaceuticals, King of Prussia, PA, 19406, USA
SOURCE: Synthesis and Applications of Isotopically Labelled Compounds, Proceedings of the International Symposium, 7th, Dresden, Germany, June 18-22, 2000 (2001), Meeting Date 2000, 264-267. Editor(s): Pleiss, Ulrich; Voges, Rolf. John Wiley & Sons Ltd.: Chichester, UK.
CODEN: 69CIJC; ISBN: 0-471-49501-8
DOCUMENT TYPE: Conference
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:370045
AB Carbon-14 labeled SB 222618 and SB 242126, which are potential phosphodiesterase type 4 inhibitors for the treatment of asthma, were synthesized. Two routes were proposed for potentially rapid production of SB 222618-[14C]. The first route was based on the use of the readily available [14C]methyl iodide as the carbon-14 source, while the second involved preparation of 5-bromo-2-aminopyrimidine in C-14 labeled form starting from [14C]guanidine. SB 242216-[14C] was obtained by converting SB 222618-[14C] using Mitsunobu type chemical Deuterium labeled SB 222618 and tritium labeled SB 242126 were also prepared

IT 180529-47-9, SB 222618 475290-85-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of isotopically labeled phosphodiesterase type 4 inhibitors, SB 222618 and SB 242126)

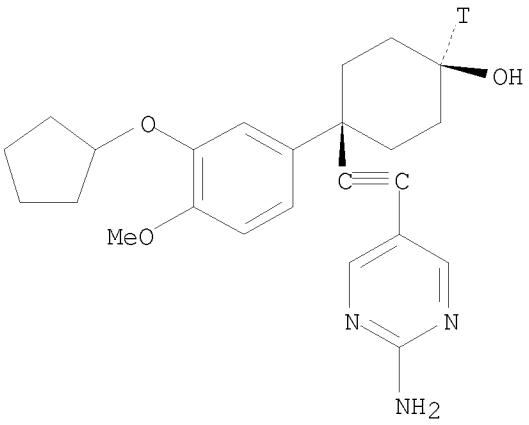
RN 180529-47-9 CAPLUS
CN Cyclohexanol, 4-[(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 475290-85-8 CAPLUS
CN Cyclohexan-1-t-ol, 4-[(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

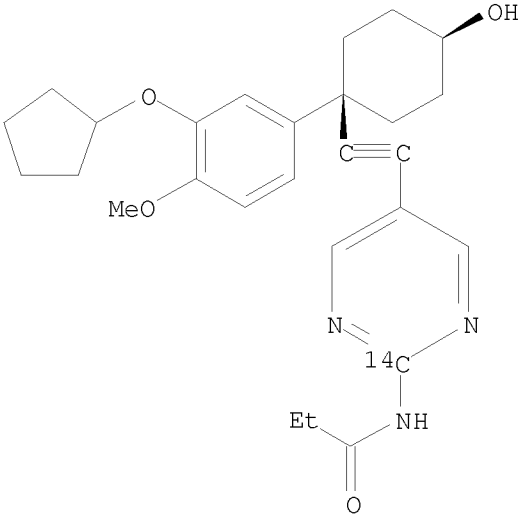


IT 475290-78-9P 475290-79-0P 475290-80-3P
475290-82-5P 475290-83-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of isotopically labeled phosphodiesterase type 4 inhibitors, SB 222618 and SB 242126)

RN 475290-78-9 CAPLUS

CN Propanamide, N-[5-[[cis-1-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-hydroxycyclohexyl]ethynyl]-2-pyrimidinyl-2-14C]- (9CI) (CA INDEX NAME)

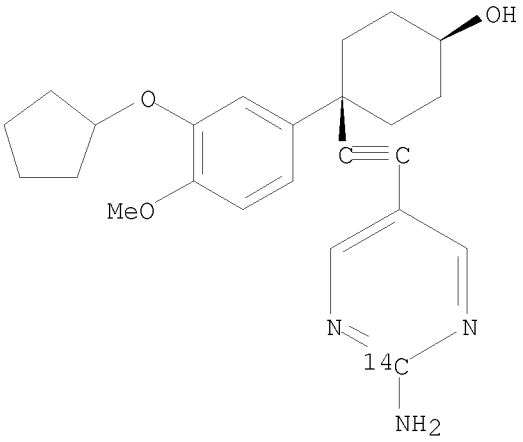
Relative stereochemistry.



RN 475290-79-0 CAPLUS

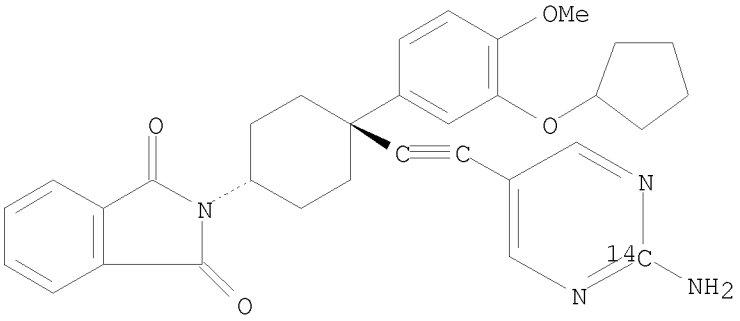
CN Cyclohexanol, 4-[(2-amino-5-pyrimidinyl-2-14C)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

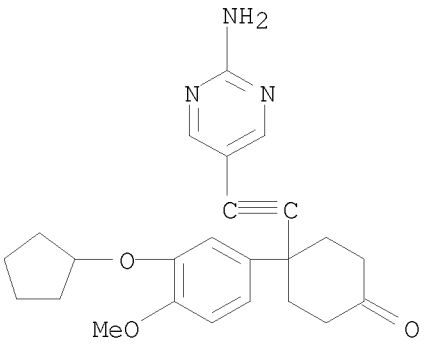


RN 475290-80-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[trans-4-[(2-amino-5-pyrimidinyl-2-14C)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]cyclohexyl]- (9CI) (CA INDEX NAME)

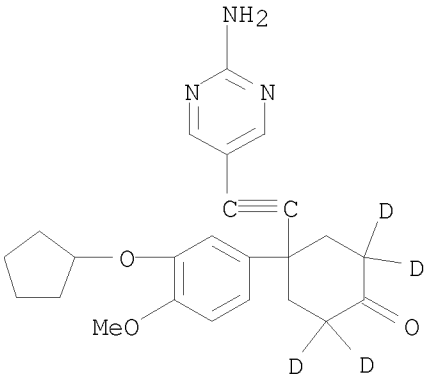
Relative stereochemistry.



RN 475290-82-5 CAPLUS
CN Cyclohexanone, 4-[2-(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]- (CA INDEX NAME)

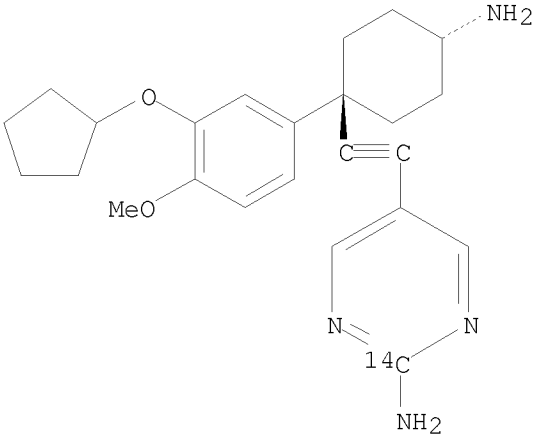


RN 475290-83-6 CAPLUS
CN Cyclohexanone-2,2,6,6-d4, 4-[(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



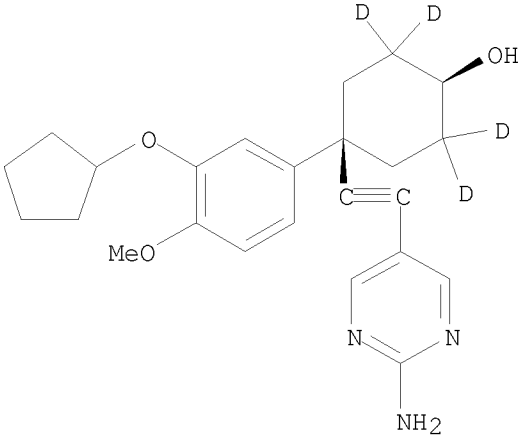
IT 475290-81-4P 475290-84-7P 475290-86-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of isotopically labeled phosphodiesterase type 4 inhibitors, SB 222618 and SB 242126)
RN 475290-81-4 CAPLUS
CN 2-Pyrimidinamine-2-14C, 5-[[trans-4-amino-1-[3-(cyclopentyloxy)-4-methoxyphenyl]cyclohexyl]ethynyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



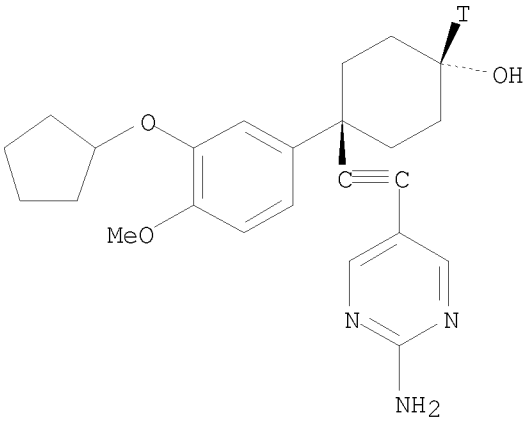
RN 475290-84-7 CAPLUS
CN Cyclohexan-2,2,6,6-d4-ol, 4-[(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 475290-86-9 CAPLUS
CN Cyclohexan-1-t-ol, 4-[(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 51

L4 ANSWER 51 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:676010 CAPLUS
DOCUMENT NUMBER: 137:216875
TITLE: Preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists
INVENTOR(S): Claiborne, Christopher F.; Butcher, John W.; Claremon, David A.; Libby, Brian E.; Liverton, Nigel J.; Munson, Peter M.; Nguyen, Kevin T.; Phillips, Brian; Thompson, Wayne; McCauley, John A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068409	A1	20020906	WO 2002-US5226	20020220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2438895	A1	20020906	CA 2002-2438895	20020220
AU 2002252053	A1	20020912	AU 2002-252053	20020220
AU 2002252053	B2	20060914		
US 20020165241	A1	20021107	US 2002-79452	20020220
US 7053089	B2	20060530		
EE 200300403	A	20031215	EE 2003-403	20020220
EP 1379520	A1	20040114	EP 2002-721105	20020220
EP 1379520	B1	20060426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003003258	A2	20040128	HU 2003-3258	20020220
HU 2003003258	A3	20040628		
BR 2002007526	A	20040309	BR 2002-7526	20020220
CN 1503793	A	20040609	CN 2002-808713	20020220
JP 2004524314	T	20040812	JP 2002-567923	20020220
NZ 527365	A	20050826	NZ 2002-527365	20020220
AT 324371	T	20060515	AT 2002-721105	20020220
PT 1379520	T	20060831	PT 2002-721105	20020220
ES 2261658	T3	20061116	ES 2002-721105	20020220
US 20040209889	A1	20041021	US 2003-470561	20030729
US 7217716	B2	20070515		
ZA 2003006159	A	20040705	ZA 2003-6159	20030808
BG 108113	A	20050430	BG 2003-108113	20030819
NO 2003003732	A	20031022	NO 2003-3732	20030822
MX 2003PA07621	A	20031204	MX 2003-PA7621	20030822
IN 2003CN01316	A	20051125	IN 2003-CN1316	20030822
KR 849839	B1	20080801	KR 2003-711079	20030822
PRIORITY APPLN. INFO.:			US 2001-271100P P	20010223
			WO 2002-US5226 W	20020220

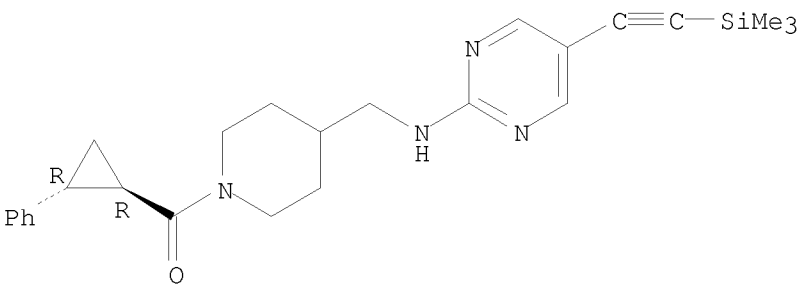
OTHER SOURCE(S): MARPAT 137:216875

AB BQ1(X)ANHQ2 [Q1 = 5-7 membered N-containing nonarom. ring, azabicyclooctyl; Q2 = 5-6 membered (substituted) heteroaryl ring; A = alkylene; B = Ar(CH2)0-3O2C, Ar(CH2)0-3SO2, etc.; Ar = (substituted) aryl, heteroaryl; X = H, OH, F, alkyl, alkoxy, NH2, O], were prepared Thus, 1-[(benzyloxy)carbonyl]-4-piperidinecarboxylic acid, 4-aminopyridine, EDC, and HOAt were kept 4 h in DMF to give the amide, which was reduced with BH3.THF to give benzyl 4-[(4-pyridylamino)methyl]-1-piperidinecarboxylate. Title compds. showed IC50's of <50 μM for inhibition of NR1A/2B NMDA receptor activation.

IT 455266-71-4P 455266-79-2P 455266-80-5P
455266-87-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of N-acyl-4-(heterocyclylaminomethyl)piperidine s as NMDA/NR2B antagonists)

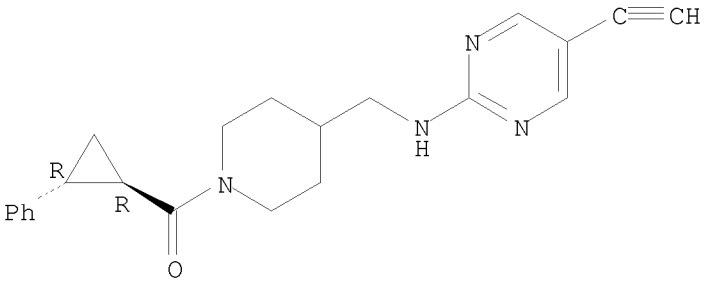
RN 455266-71-4 CAPLUS
CN Methanone, [(1R,2R)-2-phenylcyclopropyl][4-[[[5-[2-(trimethylsilyl)ethynyl]-2-pyrimidinyl]amino]methyl]-1-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



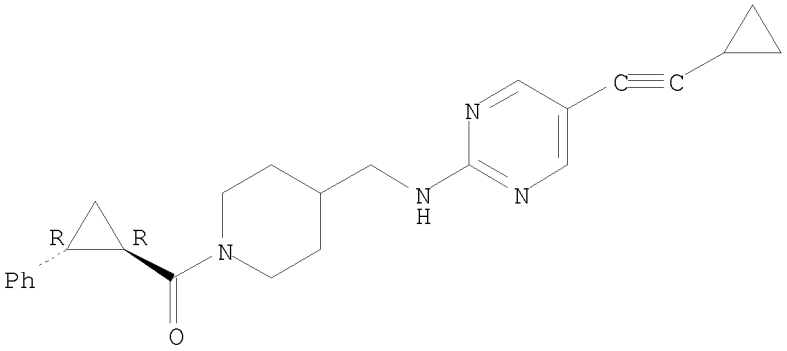
RN 455266-79-2 CAPLUS
CN Methanone, [4-[[(5-ethynyl-2-pyrimidinyl)amino]methyl]-1-piperidinyl][(1R,2R)-2-phenylcyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



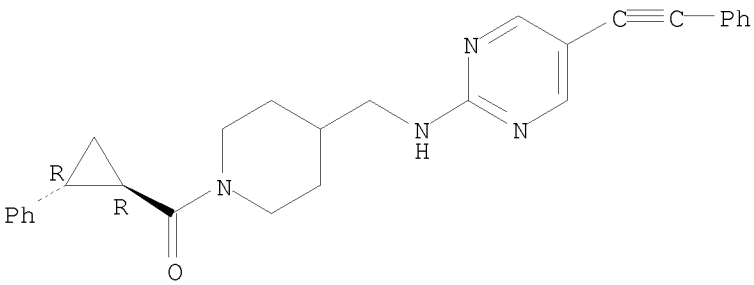
RN 455266-80-5 CAPLUS
CN Methanone, [4-[[[5-(2-cyclopropylethynyl)-2-pyrimidinyl]amino]methyl]-1-piperidinyl][(1R,2R)-2-phenylcyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 455266-87-2 CAPLUS
CN Methanone, [(1R,2R)-2-phenylcyclopropyl][4-[[[5-(2-phenylethynyl)-2-pyrimidinyl]amino]methyl]-1-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

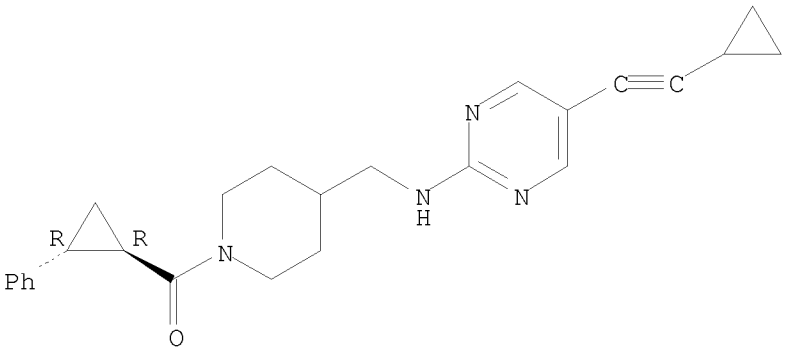


IT 455268-05-0P 455268-06-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)
RN 455268-05-0 CAPLUS
CN Methanone, [4-[[[5-(2-cyclopropylethynyl)-2-pyrimidinyl]amino]methyl]-1-piperidinyl][(1R,2R)-2-phenylcyclopropyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

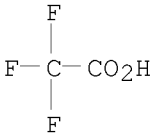
CRN 455266-80-5
CMF C25 H28 N4 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



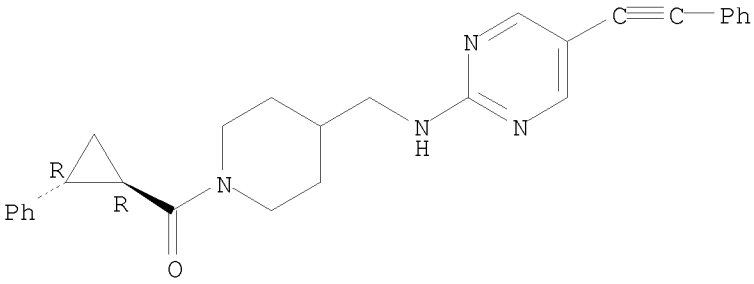
RN 455268-06-1 CAPLUS
CN Methanone, [(1R,2R)-2-phenylcyclopropyl][4-[[[5-(2-phenylethynyl)-2-pyrimidinyl]amino]methyl]-1-piperidinyl]-, 2,2,2-trifluoroacetate (1:1)

(CA INDEX NAME)

CM 1

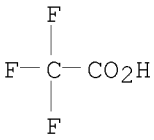
CRN 455266-87-2
CMF C28 H28 N4 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 50

L4 ANSWER 50 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:133264 CAPLUS
DOCUMENT NUMBER: 138:187793
TITLE: Preparation of arylpiperazines and arylpiperidines as metalloproteinase inhibiting agents
INVENTOR(S): Finlay, Raymond; Tucker, Howard; Waterson, David
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

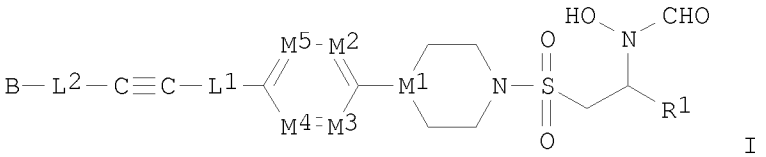
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014111	A1	20030220	WO 2002-SE1436	20020808

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

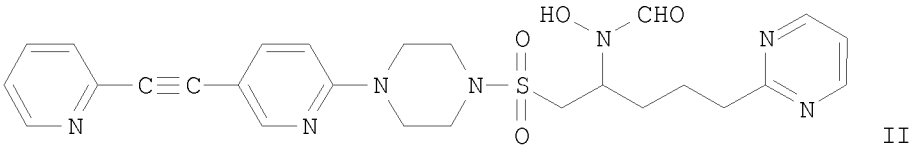
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

AU 2002324395	A1	20030224	AU 2002-324395		20020808
EP 1417201	A1	20040512	EP 2002-759021		20020808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
JP 2005501091	T	20050113	JP 2003-519060		20020808
US 20040220185	A1	20041104	US 2004-485409		20040128
US 7153857	B2	20061226			
US 20060229313	A1	20061012	US 2006-451683		20060612
PRIORITY APPLN. INFO.:			GB 2001-19474	A	20010809
			WO 2002-SE1436	W	20020808
			US 2004-485409	A3	20040128

OTHER SOURCE(S): MARPAT 138:187793
GI



I



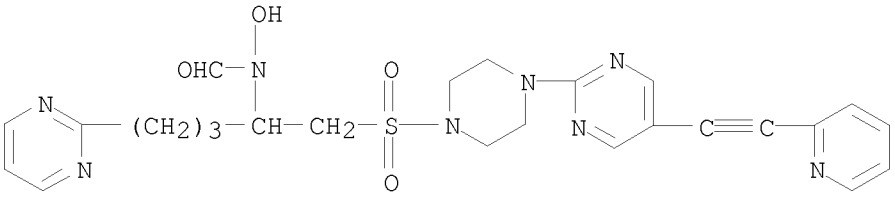
II

AB The title compds. I [B = H, alkyl, cycloalkyl, etc.; L1, L2 = a bond, alkylene; M1-M5 = N, C; R1 = XY; X = alkylene; Y = (un)substituted cycloalkyl, aryl, heteroaryl], useful as metalloproteinase inhibitors, especially as inhibitors of MMP 13, were prepared E.g., a 7-step synthesis of II,
starting from 2-chloro-5-iodopyridine and piperazine, was given. The compds. I are useful in treating arthritis.

IT 497915-33-0P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of arylpiperazines and arylpiperidines as metalloproteinase inhibiting agents)

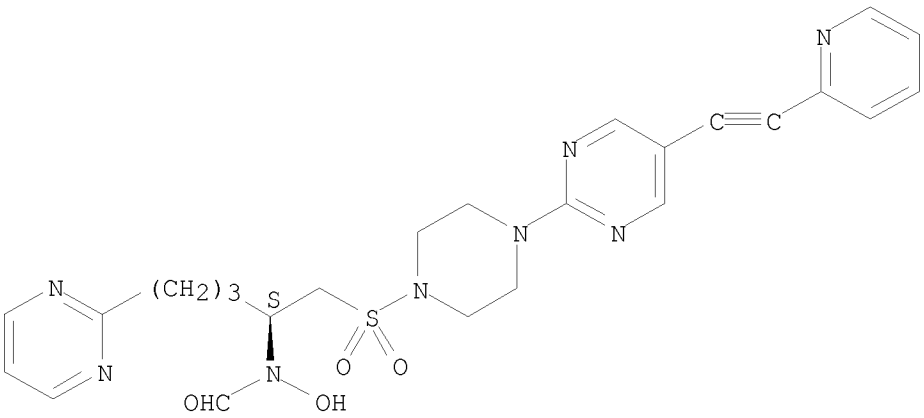
RN 497915-33-0 CAPLUS

CN Formamide, N-hydroxy-N-[1-[[[4-[5-[2-(2-pyridinyl)ethynyl]-2-pyrimidinyl]-1-piperazinyl]sulfonyl]methyl]-4-(2-pyrimidinyl)butyl]- (CA INDEX NAME)

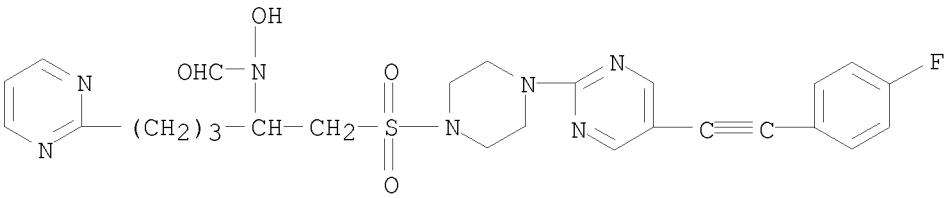


IT 497915-34-1P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylpiperazines and arylpiperidines as metalloproteinase inhibiting agents)
RN 497915-34-1 CAPLUS
CN Formamide, N-hydroxy-N-[(1S)-1-[[[4-[5-[2-(2-pyridinyl)ethynyl]-2-pyrimidinyl]-1-piperazinyl]sulfonyl]methyl]-4-(2-pyrimidinyl)butyl]- (CA INDEX NAME)

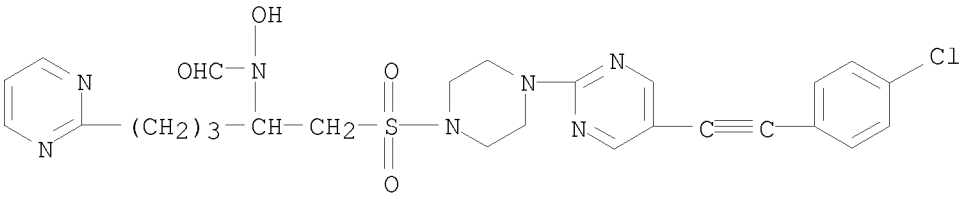
Absolute stereochemistry.



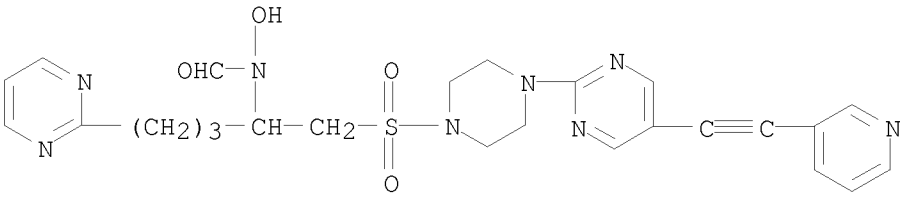
IT 497915-35-2P 497915-36-3P 497915-37-4P
497915-38-5P 497915-39-6P 497915-40-9P
497915-41-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylpiperazines and arylpiperidines as metalloproteinase inhibiting agents)
RN 497915-35-2 CAPLUS
CN Formamide, N-[1-[[[4-[5-[2-(4-fluorophenyl)ethynyl]-2-pyrimidinyl]-1-piperazinyl]sulfonyl]methyl]-4-(2-pyrimidinyl)butyl]-N-hydroxy- (CA INDEX NAME)



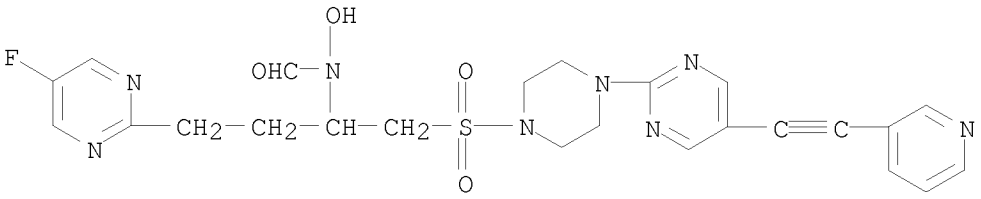
RN 497915-36-3 CAPLUS
CN Formamide, N-[1-[[[4-[5-[2-(4-chlorophenyl)ethynyl]-2-pyrimidinyl]-1-piperazinyl]sulfonyl]methyl]-4-(2-pyrimidinyl)butyl]-N-hydroxy- (CA INDEX NAME)



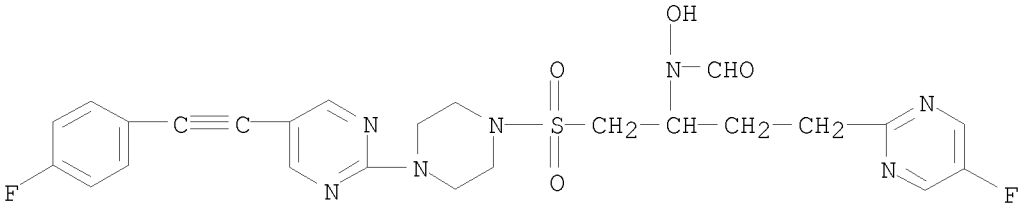
RN 497915-37-4 CAPLUS
CN Formamide, N-hydroxy-N-[1-[[[4-[5-[2-(3-pyridinyl)ethynyl]-2-pyrimidinyl]-1-piperazinyl]sulfonyl]methyl]-4-(2-pyrimidinyl)butyl]- (CA INDEX NAME)



RN 497915-38-5 CAPLUS
CN Formamide, N-[3-(5-fluoro-2-pyrimidinyl)-1-[[[4-[5-[2-(3-pyridinyl)ethynyl]-2-pyrimidinyl]-1-piperazinyl]sulfonyl]methyl]propyl]-N-hydroxy- (CA INDEX NAME)

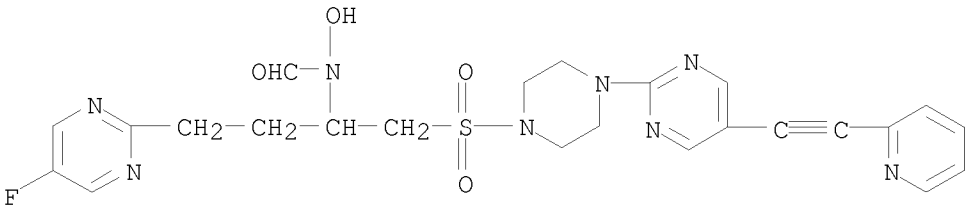


RN 497915-39-6 CAPLUS
CN Formamide, N-[1-[[[4-[5-[2-(4-fluorophenyl)ethynyl]-2-pyrimidinyl]-1-piperazinyl]sulfonyl]methyl]-3-(5-fluoro-2-pyrimidinyl)propyl]-N-hydroxy- (CA INDEX NAME)

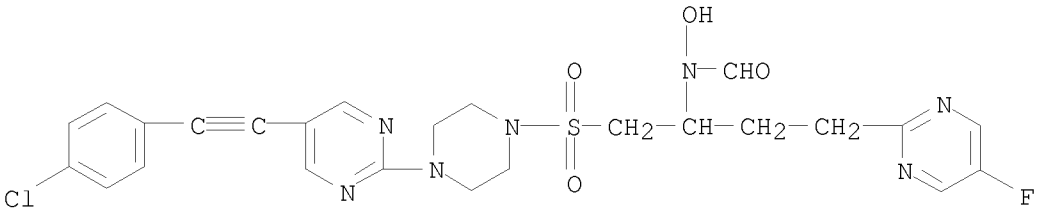


RN 497915-40-9 CAPLUS
CN Formamide, N-[3-(5-fluoro-2-pyrimidinyl)-1-[[[4-[5-[2-(2-

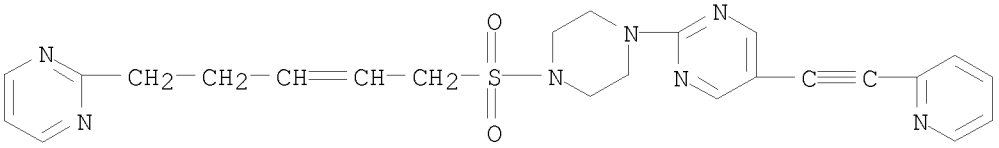
pyridinyl)ethynyl]-2-pyrimidinyl]-1-piperazinyl]sulfonyl]methyl]propyl]-N-hydroxy- (CA INDEX NAME)



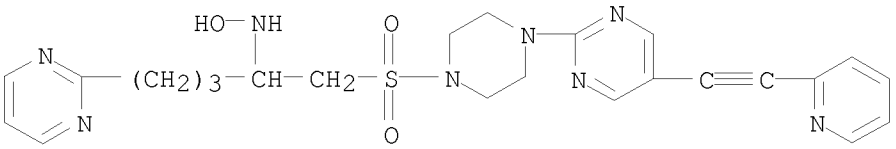
RN 497915-41-0 CAPLUS
CN Formamide, N-[1-[[[4-[5-[2-(4-chlorophenyl)ethynyl]-2-pyrimidinyl]-1-piperazinyl]sulfonyl]methyl]-3-(5-fluoro-2-pyrimidinyl)propyl]-N-hydroxy- (CA INDEX NAME)



IT 497915-67-0P 497915-68-1P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
       (preparation of arylpiperazines and arylpiperidines as metalloproteinase inhibiting agents)
RN 497915-67-0 CAPLUS
CN Pyrimidine, 5-[2-(2-pyridinyl)ethynyl]-2-[4-[[5-(2-pyrimidinyl)-2-penten-1-yl]sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



RN 497915-68-1 CAPLUS
CN 2-Pyrimidinebutanamine, N-hydroxy-α-[[[4-[5-[2-(2-pyridinyl)ethynyl]-2-pyrimidinyl]-1-piperazinyl]sulfonyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 49

L4 ANSWER 49 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:319721 CAPLUS

DOCUMENT NUMBER: 138:321292

TITLE: Preparation of 2,4,5-trisubstituted pyrimidines as cyclin dependent kinase inhibitors

INVENTOR(S): Dahmann, Georg; Himmelsbach, Frank; Wittneben, Helmut; Pautsch, Alexander; Prokopowicz, Anthony S.; Krist, Bernd; Schnapp, Gisela; Steegmaier, Martin; Lenter, Martin; Schoop, Andreas; Steurer, Steffen; Spevak, Walter

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany; Boehringer Ingelheim Pharmaceuticals, Inc.; Boehringer Ingelheim International G.m.b.H.

SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

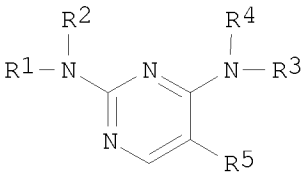
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

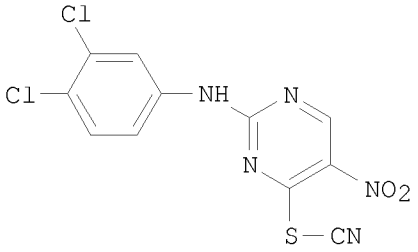
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032997	A1	20030424	WO 2002-EP11453	20021014
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2463989	A1	20030424	CA 2002-2463989	20021014
AU 2002340560	A1	20030428	AU 2002-340560	20021014
EP 1438053	A1	20040721	EP 2002-774710	20021014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005509624	T	20050414	JP 2003-535800	20021014
US 20030171359	A1	20030911	US 2002-271763	20021016
US 7173028	B2	20070206		
US 20060100211	A1	20060511	US 2005-313380	20051221
PRIORITY APPLN. INFO.:			US 2001-330145P	P 20011017
			WO 2002-EP11453	W 20021014
			US 2002-271763	A3 20021016

OTHER SOURCE(S): MARPAT 138:321292

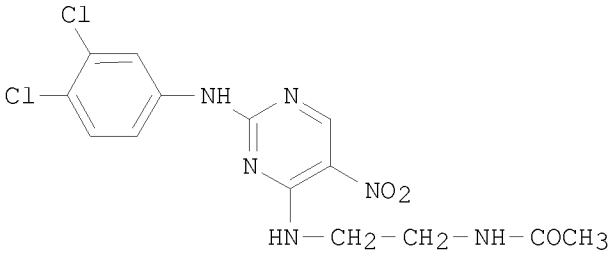
GI



I



II



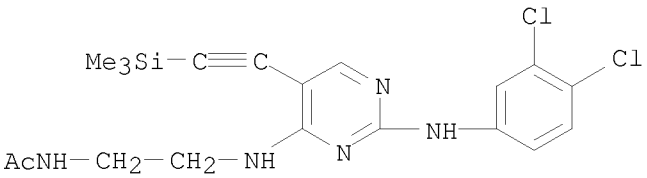
III

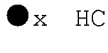
AB Title compds. I [R1 = H, alkyl; R2 = (un)substituted alkyl; R3 = H, alkyl; R4 = (un)substituted alkyl; R5 = halo] and their pharmaceutically acceptable salts were prepared For example, condensation of thiocyanatopyrimide II, e.g., prepared from 3,4-dichloroaniline and 2-chloro-4-thiocyanato-5-nitropyrimidine in one step, and acetylaminoethylamine provided trisubstituted pyrimidine III in 88% yield. In CDK1/CyclinB1 kinase inhibition studies, 88-examples of compds. I exhibited IC50 values more than 100 nM. Compds. I are claimed useful for the treatment of diseases characterized by abnormal cell proliferation.

IT 514840-17-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of trisubstituted pyrimidines as cyclin dependent kinase inhibitors)

RN 514840-17-6 CAPLUS

CN Acetamide, N-[2-[[2-[(3,4-dichlorophenyl)amino]-5-[2-(trimethylsilyl)ethynyl]-4-pyrimidinyl]amino]ethyl]-, hydrochloride (1:?) (CA INDEX NAME)

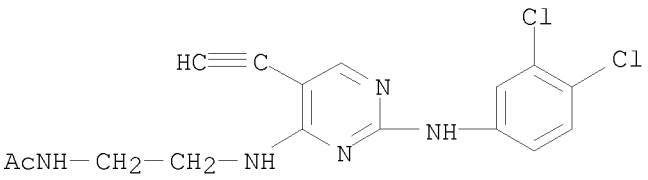




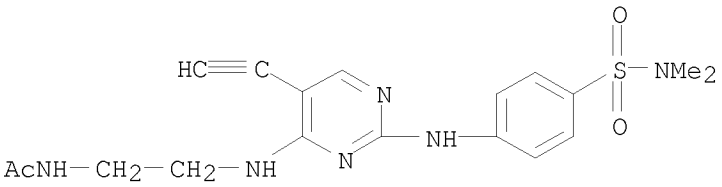
IT 514840-18-7P, N-[2-[2-(3,4-Dichlorophenylamino)-5-ethynylpyrimidin-4-ylamino]ethyl]acetamide 514840-20-1P, N-[2-[2-(4-Dimethylsulfamoylphenylamino)-5-ethynylpyrimidin-4-ylamino]ethyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of trisubstituted pyrimidines as cyclin dependent kinase inhibitors)

RN 514840-18-7 CAPLUS
CN Acetamide, N-[2-[[2-[(3,4-dichlorophenyl)amino]-5-ethynyl-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 514840-20-1 CAPLUS
CN Acetamide, N-[2-[[2-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-5-ethynyl-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

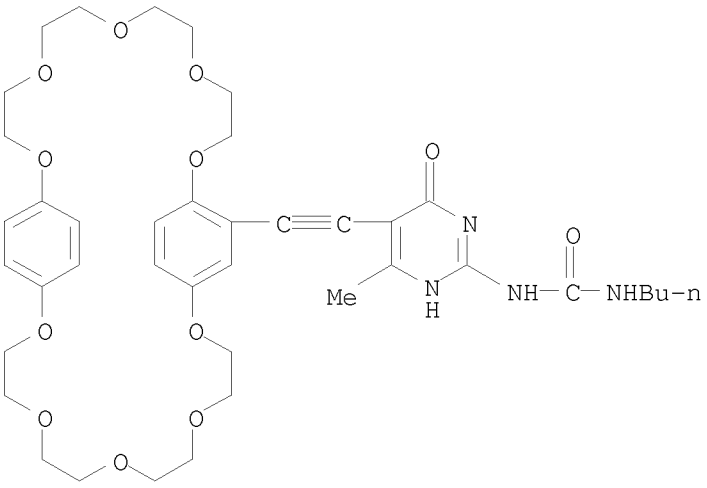
=> d ibib abs hitstr 48

L4 ANSWER 48 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:500203 CAPLUS
DOCUMENT NUMBER: 139:197086
TITLE: Selective rearrangements of quadruply hydrogen-bonded dimer driven by donor-acceptor interaction
AUTHOR(S): Wang, Xiao-Zhong; Li, Xiao-Qiang; Shao, Xue-Bin; Zhao, Xin; Deng, Peng; Jiang, Xi-Kui; Li, Zhan-Ting; Chen, Ying-Qi
CORPORATE SOURCE: Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China
SOURCE: Chemistry--A European Journal (2003), 9(12), 2904-2913
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:197086
AB A general method has been developed to control the selective rearrangement of Meijer's AADD quadruply hydrogen-bonded homodimers by introducing an addnl. donor-acceptor interaction. Therefore, one donor-assembling monomer, 1, in which the electron-rich bis(p-phenylene)-34-crown-10 moiety is connected to the hydrogen-bonding moiety, and two acceptor-assembling monomers, 2 and 3, in which the electron-deficient pyromellitic diimide or naphthalene diimide group is incorporated, resp., are synthesized and characterized. 1H NMR and 2D-NOESY studies show that all these compds.

exist as stable homodimers in chloroform. Mixing 1 equiv of 1 with 1 equiv of 2 in chloroform leads to the formation of heterodimers 1·2 in ≈60% yield, as a result of the electrostatic interaction between the bis(p-phenylene)-34-crown-10 moiety of 1 and the pyromellitic diimide group of 2. Selective formation of heterodimer 1·3 (>97%) was achieved by mixing 1 equiv of 1 with 1 equiv of 3 in chloroform which resulted in a strengthened electrostatic interaction between the bis(p-phenylene)-[34]crown-10 moiety of 1 and the naphthalene diimide group of 3. The structures of heterodimers 1·2 and 1·3, which have been characterized by 1H NMR and UV/Vis expts., reveal a remarkable promoting effect between the donor-acceptor interaction and intermol. hydrogen-bonding. 1H NMR studies also reveal that heterodimers 1·2 and 1·3 can be fully and partially dissociated by addition of heterocycle 29, leading to the formation of new more robust heterodimers 1·29 and 2·29, or 3·29, resp., and partially regenerated by subsequent addition of heterocyclic compound 30 through the formation of a new heterodimer 29·30. Heterodimers 1·2 and 1·3 represent a novel class of pseudo[2]rotaxanes constructed by two different noncovalent interactions.

IT 583040-64-6D, dimer 583040-86-2 583040-90-8
RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)
(selective rearrangements of quadruply hydrogen-bonded dimer driven by donor-acceptor interaction)

RN 583040-64-6 CAPLUS
CN Urea, N-butyl-N'-[5-[2-(2,5,8,11,14,19,22,25,28,31-decaoxatricyclo[30.2.2.215,18]octatriaconta-15,16,17,32,34,35-hexaen-16-yl)ethynyl]-1,6-dihydro-4-methyl-6-oxo-2-pyrimidinyl]- (CA INDEX NAME)

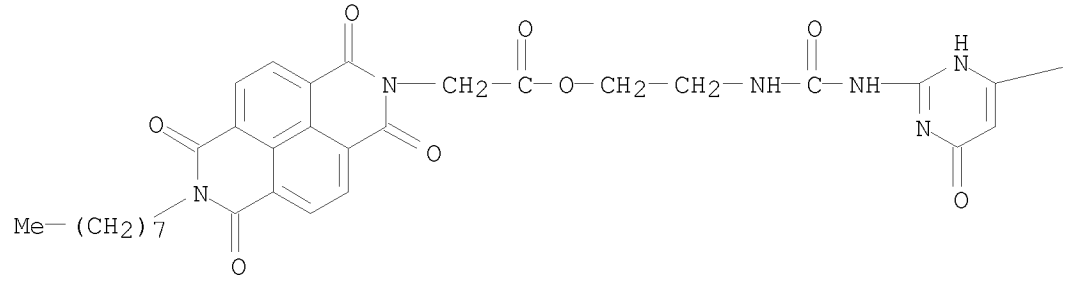


RN 583040-86-2 CAPLUS
CN Benzo[lmn][3,8]phenanthroline-2(1H)-acetic acid, 3,6,7,8-tetrahydro-7-octyl-1,3,6,8-tetraoxo-, 2-[[[(1,6-dihydro-4-nonyl-6-oxo-2-pyrimidinyl)amino]carbonyl]amino]ethyl ester compd. with N-butyl-N'-[5-[2-(2,5,8,11,14,19,22,25,28,31-decaoxatricyclo[30.2.2.215,18]octatriaconta-15,16,17,32,34,35-hexaen-16-yl)ethynyl]-1,6-dihydro-4-methyl-6-oxo-2-pyrimidinyl]urea (1:1) (CA INDEX NAME)

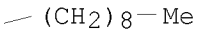
CM 1

CRN 583040-66-8
CMF C40 H50 N6 O8

PAGE 1-A

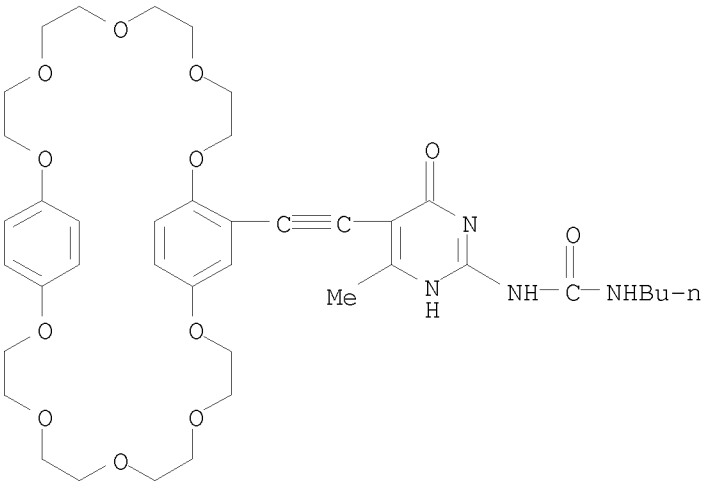


PAGE 1-B



CM 2

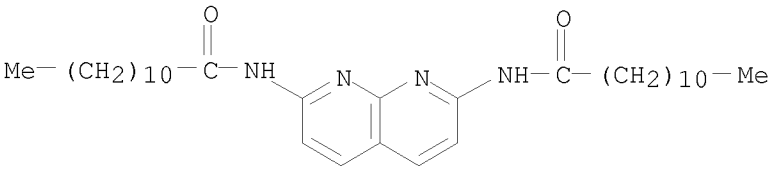
CRN 583040-64-6
CMF C40 H54 N4 O12



RN 583040-90-8 CAPLUS
CN Dodecanamide, N,N'-1,8-naphthyridine-2,7-diylbis-, compd. with N-butyl-N'-[5-(2,5,8,11,14,19,22,25,28,31-decaoxatricyclo[30.2.2.215,18]octatriaconta-15,17,32,34,35,37-hexaen-16-ylethynyl)-1,4-dihydro-6-methyl-4-oxo-2-pyrimidinyl]urea (1:1) (9CI) (CA INDEX NAME)

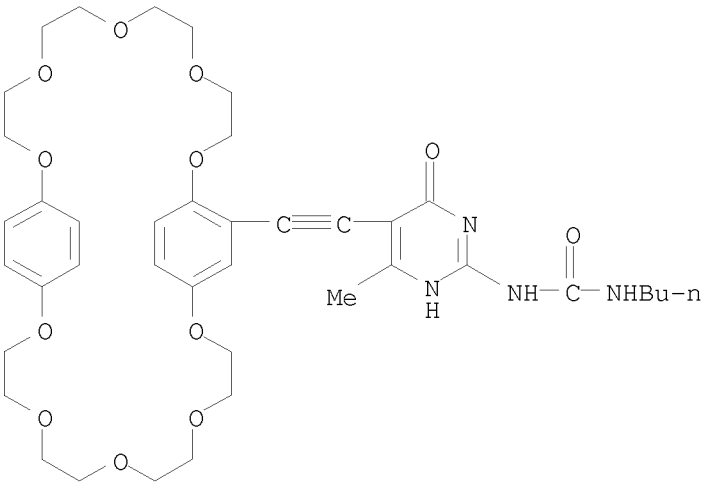
CM 1

CRN 583040-80-6
CMF C32 H52 N4 O2



CM 2

CRN 583040-64-6
CMF C40 H54 N4 O12



IT 583040-84-0
RL: FMU (Formation, unclassified); RCT (Reactant); FORM (Formation, nonpreparative); RACT (Reactant or reagent)
(selective rearrangements of quadruply hydrogen-bonded dimer driven by donor-acceptor interaction)

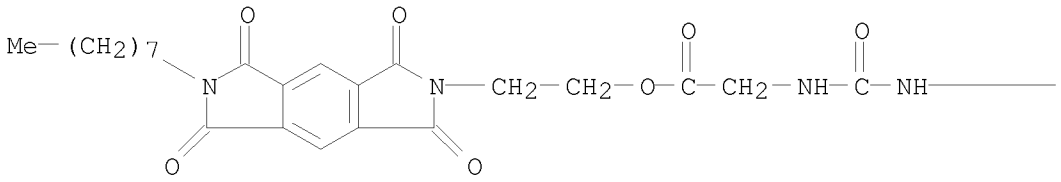
RN 583040-84-0 CAPLUS

CN Glycine, N-[[(1,4-dihydro-6-methyl-4-oxo-2-pyrimidinyl)amino]carbonyl]-, 2-(3,5,6,7-tetrahydro-6-octyl-1,3,5,7-tetraoxobenzo[1,2-c:4,5-c']dipyrrol-2(1H)-yl)ethyl ester, rotaxane compd. with N-butyl-N'-[5-(2,5,8,11,14,19,22,25,28,31-decaoxatricyclo[30.2.2.215,18]octatriaconta-15,17,32,34,35,37-hexaen-16-ylethynyl)-1,4-dihydro-6-methyl-4-oxo-2-pyrimidinyl]urea (1:1) (9CI) (CA INDEX NAME)

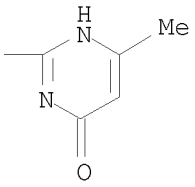
CM 1

CRN 583040-65-7
CMF C28 H32 N6 O8

PAGE 1-A

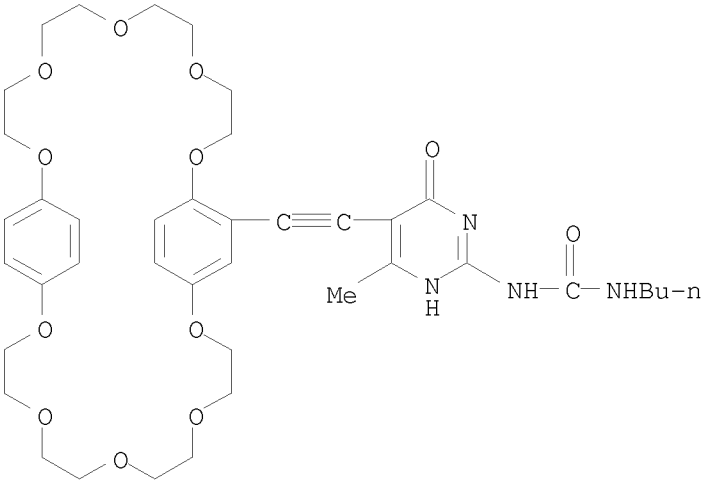


PAGE 1-B

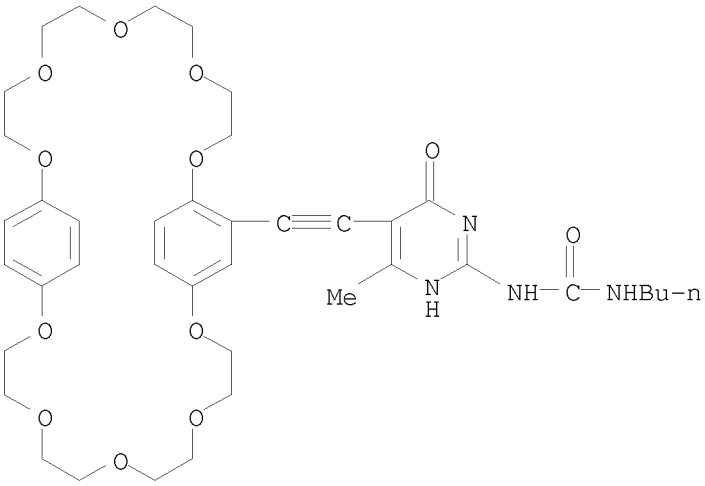


CM 2

CRN 583040-64-6
CMF C40 H54 N4 O12



IT 583040-64-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(selective rearrangements of quadruply hydrogen-bonded dimer driven by donor-acceptor interaction)
RN 583040-64-6 CAPLUS
CN Urea, N-butyl-N'-[5-[2-(2,5,8,11,14,19,22,25,28,31-decaoxatricyclo[30.2.2.215,18]octatriaconta-15,16,17,32,34,35-hexaen-16-yl)ethynyl]-1,6-dihydro-4-methyl-6-oxo-2-pyrimidinyl]- (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 47

L4 ANSWER 47 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:1006815 CAPLUS
DOCUMENT NUMBER: 140:35974
TITLE: Treatment for depression and anxiety by the combination of a PDE IV inhibitor and an antidepressant or an anxiolytic agent
INVENTOR(S): Sobolov-Jaynes, Susan Beth; Schmidt, Christopher Joseph
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105902	A1	20031224	WO 2003-IB2295	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030235631	A1	20031225	US 2003-387060	20030312
CA 2488138	A1	20031224	CA 2003-2488138	20030605
AU 2003233032	A1	20031231	AU 2003-233032	20030605
EP 1517707	A1	20050330	EP 2003-727833	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011903	A	20050607	BR 2003-11903	20030605

JP 2005533788	T	20051110	JP 2004-512802		20030605
MX 2004PA11835	A	20050331	MX 2004-PA11835		20041126
IN 2004CN03177	A	20060303	IN 2004-CN3177		20041213
PRIORITY APPLN. INFO.:			US 2002-389181P	P	20020617
			WO 2003-IB2295	W	20030605

OTHER SOURCE(S): MARPAT 140:35974

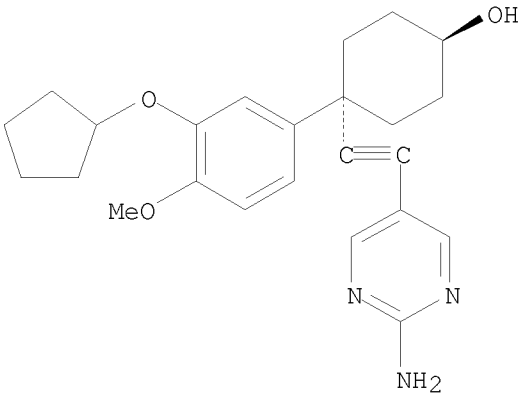
AB The present invention relates to a method of treating depression or anxiety in a mammal, including a human, by administering to the mammal a PDE IV inhibitor in combination with an antidepressant or an anxiolytic agent. It also relates to pharmaceutical compns. containing a pharmaceutically acceptable carrier, a PDE IV inhibitor and an anxiolytic agent or antidepressant.

IT 180529-63-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment for depression and anxiety by combination of a PDE IV inhibitor and an antidepressant or an anxiolytic agent)

RN 180529-63-9 CAPLUS

CN Cyclohexanol, 4-[(2-amino-5-pyrimidinyl)ethynyl]-4-[3-(cyclopentyloxy)-4-methoxyphenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 46

L4 ANSWER 46 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:77908 CAPLUS

DOCUMENT NUMBER: 140:417862

TITLE: Anti-inflammatory and utero-relaxant effects in human myometrium of new generation phosphodiesterase 4 inhibitors

AUTHOR(S): Oger, Stephanie; Mehats, Celine; Barnette, Mary S.; Ferre, Francoise; Cabrol, Dominique; Leroy, Marie-Josephe

CORPORATE SOURCE: INSERM U-361, Maternite Port-Royal-Cochin, Universite Paris V, Rene Descartes, Paris, 75014, Fr.

SOURCE: Biology of Reproduction (2004), 70(2), 458-464
CODEN: BIREBV; ISSN: 0006-3363

PUBLISHER: Society for the Study of Reproduction

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The anti-inflammatory and utero-relaxant effects of two potent

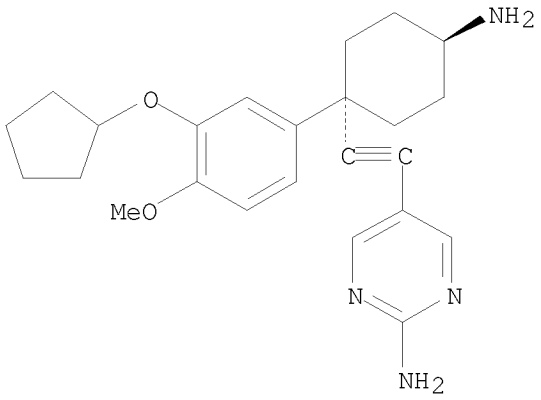
phosphodiesterase 4 (PDE4) inhibitors of the latest generation: cilomilast (one of the most advanced PDE4 inhibitors in clin. development, reportedly more selective for PDE4D) and compound A (which displays 12-fold greater selectivity toward PDE4B and/or PDE4A than toward PDE4D) were evaluated in human uterine smooth muscle. We first established that these compds. exhibit greater efficacy in inhibiting total cAMP-PDE activity in pregnant vs. nonpregnant myometrium (Emax = 78.0% ± 3.6% and 80.3% ± 2.2% in pregnant vs. 57% ± 4.7% and 70.5% ± 5.9% in nonpregnant women for compound A and cilomilast, resp.; P < 0.05 for both compds.), confirming the prominent participation of PDE4 isoforms in cAMP hydrolysis in the near-term pregnant myometrium. Using pregnant myometrial explants, we have shown that both these drugs and also rolipram, the prototype PDE4 inhibitor, produce concentration-dependent inhibition of lipopolysaccharide (LPS)-induced tumor necrosis factor alpha (TNFα) release with similar potency in each case (pD2 = 8.0 ± 0.5, 7.9 ± 0.2, and 7.6 ± 0.2 for compound A, cilomilast, and rolipram, resp.). The maximum inhibition produced is 65%. Pretreatment with forskolin or 8-bromo-cAMP mimics the PDE4 inhibitor effect. Furthermore, compound A and cilomilast both produce concentration-dependent inhibition of the spontaneous contractions of myometrial strips and are more potent in pregnant than in nonpregnant myometrium (pD2 = 7.3 ± 0.7 and 8.1 ± 0.3 in pregnant vs. 6.2 ± 0.9 and 6.6 ± 0.1 in nonpregnant myometrium for compound A and cilomilast, resp.; P < 0.05 for both compds.). This demonstrates that the PDE4 isoforms involved in the mechanism of contraction are different in the pregnant and nonpregnant myometrium. Our study highlights the importance of developing PDE4 inhibitors for the pharmacol. management of infection-induced preterm labor.

IT 180529-65-1
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PDE-4 inhibitors anti-inflammatory and utero-relaxant effects in human myometrium)

RN 180529-65-1 CAPLUS

CN 2-Pyrimidinamine, 5-[[trans-4-amino-1-[3-(cyclopentyloxy)-4-methoxyphenyl]cyclohexyl]ethynyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 45

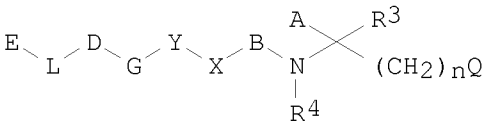
L4 ANSWER 45 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:610055 CAPLUS

DOCUMENT NUMBER: 141:157473
TITLE: Preparation of amino acid derivatives as antibacterial agents
INVENTOR(S): Anderson, Neils H.; Bowman, Jason; Erwin, Alice; Harwood, Eric; Kline, Toni; Mdluli, Khisimuzi; Ng, Simon; Pfister, Keith B.; Shawar, Ribhi; Wagman, Allan; Yabannavar, Asha
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 324 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

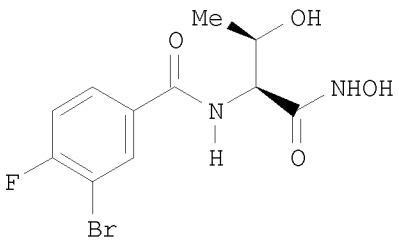
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062601	A2	20040729	WO 2004-US433	20040108
WO 2004062601	A3	20050421		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
AU 2004204760	A1	20040729	AU 2004-204760	20040108
CA 2512582	A1	20040729	CA 2004-2512582	20040108
US 20040229955	A1	20041118	US 2004-754928	20040108
EP 1618087	A2	20060125	EP 2004-700887	20040108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1777577	A	20060524	CN 2004-80005935	20040108
JP 2006519772	T	20060831	JP 2006-500858	20040108
MX 2005PA07394	A	20050912	MX 2005-PA7394	20050707
IN 2005KN01343	A	20060915	IN 2005-KN1343	20050712
US 20060154988	A1	20060713	US 2005-187708	20050722
US 7358359	B2	20080415		
US 20070244197	A1	20071018	US 2006-417346	20060503

PRIORITY APPLN. INFO.:	US 2003-438523P	P	20030108
	US 2003-466974P	P	20030430
	US 2003-520211P	P	20031113
	US 2004-754928	A1	20040108
	WO 2004-US433	W	20040108

OTHER SOURCE(S): MARPAT 141:157473
GI



I



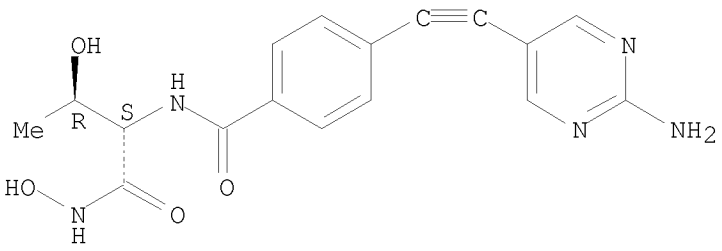
II

AB Title compds. I [E = absent or H, (un)substituted-alkyl, -alkenyl, -aryl, etc.; L = absent or CONH, NHCO, (un)substituted alkyl, etc.; D = absent or (un)substituted-cycloalkyl, -aryl, -heterocyclyl or -heteroaryl; G = absent or alkene, alkyne, CO, etc.; Y = (un)substituted-cycloalkyl, -aryl, -heterocyclyl or -heteroaryl; X = CO, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, methylene, or when B is absent X and A together form heterocyclic ring; B = absent or substituted aminoalkylcarbonyl; R3 = H or (un)substituted alkyl, or R3 and A together form a cycloalkyl or heterocyclic ring; R4 = H or (un)substituted alkyl, or R4 and A together form a heterocyclic ring; n = 0-2; A = H, acetylene, alkyl, etc.; Q = absent or substituted amide, SH, SO2NH2, CO2H, etc.] are disclosed: As well as stereoisomers, pharmaceutically acceptable salts, esters, and prodrugs thereof; pharmaceutical compns. comprising such compds.; methods of treating bacterial infections by the administration of such compds.; and processes for the preparation of the compds. Thus, e.g., II was prepared via
amidation of 3-bromo-4-fluorobenzoic acid with L-threonine Me ester hydrochloride followed by substitution with hydroxylamine hydrochloride. This invention pertains generally to treating infections caused by gram-neg. bacteria. More specifically, the invention described pertains to treating gram-neg. infections by inhibiting activity of UDP-3-O-(R-3-hydroxydecanoyl)-N-acetylglucosamine deacetylase (LpxC). Many of I displayed an IC50 value of less than 10 μM with respect to inhibition of LpxC.

IT 728870-78-8P 728875-61-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of amino acid derivs. as antibacterial agents)

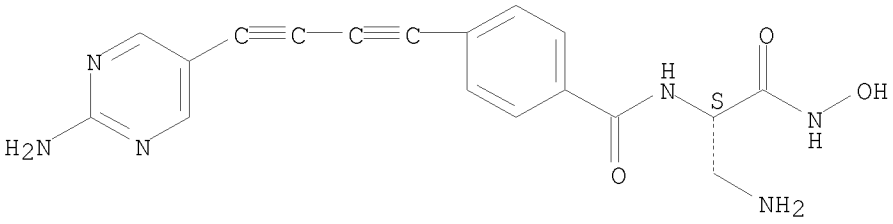
RN 728870-78-8 CAPLUS
CN Benzamide, 4-[2-(2-amino-5-pyrimidinyl)ethynyl]-N-[(1S,2R)-2-hydroxy-1-[(hydroxyamino)carbonyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 728875-61-4 CAPLUS
CN Benzamide, N-[(1S)-1-(aminomethyl)-2-(hydroxyamino)-2-oxoethyl]-4-[4-(2-amino-5-pyrimidinyl)-1,3-butadiyn-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.



=> d ibib abs hitstr 44

L4 ANSWER 44 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:633921 CAPLUS
DOCUMENT NUMBER: 141:174079
TITLE: Preparation of 2-aminopyridines as cdk4 inhibitors
INVENTOR(S): Biwersi, Cathlin Marie; Mcnamara, Dennis Joseph; Repine, Joseph Thomas; Toogood, Peter Laurence; Vanderwel, Scott Norman; Warmus, Joseph Scott
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

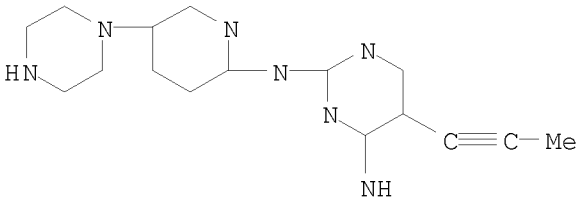
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004065378	A1	20040805	WO 2004-IB91		20040109
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ					
CA 2512646	A1	20040805	CA 2004-2512646		20040109
EP 1590341	A1	20051102	EP 2004-701058		20040109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2004006809	A	20051227	BR 2004-6809		20040109
JP 2006516561	T	20060706	JP 2006-500296		20040109
US 20040236084	A1	20041125	US 2004-759749		20040116
MX 2005PA07503	A	20050921	MX 2005-PA7503		20050712
PRIORITY APPLN. INFO.:			US 2003-440805P	P	20030117
			WO 2004-IB91	W	20040109

OTHER SOURCE(S): MARPAT 141:174079
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A1 = (un)substituted monocyclic or bicyclic heteroaryl; R1 = H, alk(en)yl, acyl, aryloxycarbonyl, alkyloxycarbonyl, trialkylsilyl; X, Y = independently H, halo, CN, alkyl, alkylcarbonyl, alkoxycarbonyl, NO2, OH and derivs., NH2 and derivs., SO2NH2 and derivs., etc; W = H, halo, cyclo/alkoxy/halo/hydroxy/alkyl, alkenyl, alkynyl, CN, NO2, SH and derivs., NH2 and derivs., SO2NH2 and derivs., heteroaryl, etc.; WCCX, or WCCY = (un)substituted aryl ring containing up to three heteroatoms; and their pharmaceutically acceptable salts, esters, amides, or prodrugs] were prepared as cyclin-dependent kinases 4 (cdk4) inhibitors. For example, II was prepared by cyclocondensation of guanidine III with 2-Cyclopentyl-6-hydroxymethylene-3-methoxycyclohex-2-en-1-one, dehydrogenation, and BOC-deprotection. II selectively inhibited cdk4 over cdk2 with IC50 values of 0.004 μM and 1.7 μM, resp. Thus, I and their formulations are useful for treating cell proliferative disorders, such as cancer, atherosclerosis, and restenosis (no data).
IT 733039-73-1P, N-[5-(Piperazin-1-yl)pyridin-2-yl]-5-prop-1-ynylpyrimidine-2,4-diamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cdk4 inhibitor; preparation of 2-aminopyridines as cdk4 inhibitors for treating cell proliferative disorders)
RN 733039-73-1 CAPLUS
CN 2,4-Pyrimidinediamine, N2-[5-(1-piperazinyl)-2-pyridinyl]-5-(1-propyn-1-yl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 43

L4 ANSWER 43 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:984716 CAPLUS
DOCUMENT NUMBER: 142:212157
TITLE: KP544 amplifies the effects of nerve growth factor on cell differentiation and is neuroprotective
AUTHOR(S): Fyfe, James A.; Beauchamp, Lilia M.; Caggiano, Anthony O.; Price, Raymond D.; Yamaji, Takayuki; Matsuoka, Nobuya; Krenitsky, Thomas A.
CORPORATE SOURCE: Krenitsky Pharmaceuticals Inc., Durham, NC, USA
SOURCE: Drug Development Research (2004), 62(1), 49-59
CODEN: DDREDK; ISSN: 0272-4391
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The ability of endogenous neurotrophins, including nerve growth factor (NGF), to promote the survival and development of neurons provides convincing evidence for their therapeutic potential, despite significant barriers to their successful clin. use. Many of these barriers might be surmountable, however, by strategies that enhance endogenous neurotrophin signaling. We evaluated a series of substituted pyrimidines for their ability to enhance the effects of NGF. KP544 [2-amino-5-(4-chlorophenylethynyl)-4-(4-trans-hydroxycyclohexylamino) pyrimidine] amplified NGF-induced neurite outgrowth of PC12 cells approx. 2-fold at 2 μM. KP544 also enhanced choline acetyltransferase activity, a marker of differentiation induced by either NGF or by cAMP, by 3- to 8-fold, with a 2-fold amplification at 0.12-0.3 μM. This amplification occurred at all concns. of NGF used including those that maximally stimulated the cells. KP544 did not increase the levels of phosphorylated mitogen-activated protein kinases (MAPK) above that seen with NGF alone. These studies suggested that KP544 functions within the cell at a site that is downstream from or independent of MAPK signaling. NGF-induced neurite outgrowth in a human cell line (SH-SY5Y neuroblastoma cells) was also enhanced with KP544 treatment. Primary embryonic rat cortical cultures were used to extend the observations beyond the studies with the immortalized cell lines. In addition to effects on neurite outgrowth, KP544 protected these cells from glutamate-induced death. Overall, the data suggest that KP544 can selectively interact in the differentiation pathway

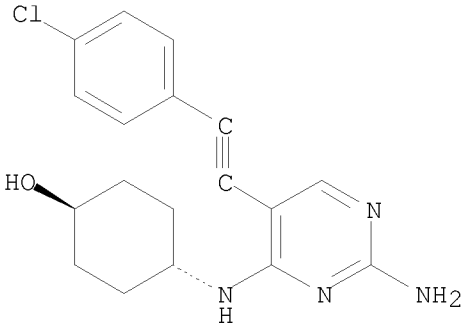
downstream of MAPK in a manner that amplifies nerve growth factor and cAMP effects and is also neuroprotective.

IT 393856-87-6, KP 544
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); BIOL (Biological study)
(KP544 amplifies the effects of nerve growth factor on cell differentiation and is neuroprotective)

RN 393856-87-6 CAPLUS

CN Cyclohexanol, 4-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 42

L4 ANSWER 42 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:984717 CAPLUS

DOCUMENT NUMBER: 142:190983

TITLE: KP544, a nerve growth factor amplifier: pharmacokinetics, safety, and efficacy in the rat

AUTHOR(S): Krenitsky, Thomas A.; Dillberger, John; Zotova, Elena; Arezzo, Joseph C.; Koprich, James B.; Mortazavi, Farzad; Gates, Timothy A.; Dunbar, Gary L.

CORPORATE SOURCE: Krenitsky Pharmaceuticals Inc., Durham, NC, USA

SOURCE: Drug Development Research (2004), 62(1), 60-70
CODEN: DDREDK; ISSN: 0272-4391

PUBLISHER: Wiley-Liss, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In cultured cells, KP544 [2-amino-5-(4-chlorophenylethynyl)-4-(4-trans-hydroxycyclohexyl amino) pyrimidine] amplifies differentiation initiated by nerve growth factor (NGF) or cAMP. This report describes the pharmacokinetics, safety, and neuroprotective efficacy of KP544 in rats. After an oral dose of 10 mg/kg KP544 was 25% bioavailable with a plasma half-life of 1.3 h and brain levels 6-fold higher than plasma levels at 4 and 8 h post-dose. In a safety study, daily oral dosing for 30 days at 10 and 100 mg/kg was well tolerated. The favorable pharmacokinetic and safety profiles, together with its amplification of NGF in vitro, prompted evaluation of KP544 in two models involving NGF deficiencies. In the first model, brains were lesioned with intrastriatal injections of quinolinic acid. KP544 at oral doses of 0.02 to 1.0 mg/kg/day almost completely prevented the resulting learning deficits as evaluated using a radial-arm-water maze. At the lowest dose, there was a slower onset of functional improvement. These effects were accompanied by redns. (16-34%)

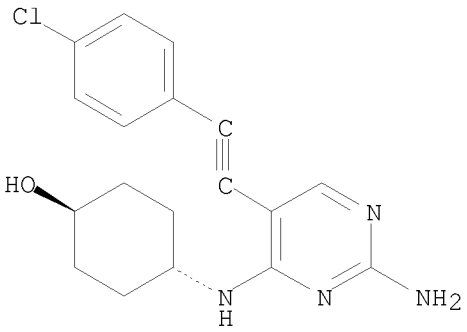
in the striatal lesion size that were greatest at the highest dose and comparable to those seen with NGF therapy. The second model involved a peripheral neuropathy induced by taxol that is associated with decreases in NGF. KP544 at oral doses of 0.1-10 mg/kg/day decreased the severity of the neuropathy as measured by caudal nerve conduction velocities (30-70% return to control values). In both models, KP544 had a large therapeutic index suggesting its potential as a new approach for treating clin. disorders involving deficiencies in NGF.

IT 393856-87-6, KP 544
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nerve growth factor amplifier KP544 pharmacokinetics, safety, and efficacy in rat)

RN 393856-87-6 CAPLUS

CN Cyclohexanol, 4-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.

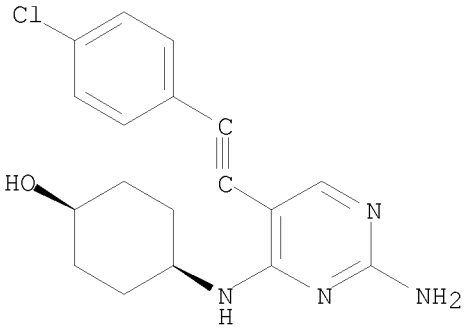


IT 393856-89-8
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(nerve growth factor amplifier KP544 pharmacokinetics, safety, and efficacy in rat)

RN 393856-89-8 CAPLUS

CN Cyclohexanol, 4-[[2-amino-5-[2-(4-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]-, cis- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 41

L4 ANSWER 41 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1156446 CAPLUS
DOCUMENT NUMBER: 142:74603
TITLE: Preparation of thienopyrimidines as inhibitors of ErbB kinases
INVENTOR(S): Badiang, Jennifer G.; Dickerson, Scott Howard; Donaldson, Kelly Horne; Hinkle, Kevin Wayne; Hornberger, Keith Robert; Petrov, Kimberly Glennon; Reno, Michael John; Stevens, Kirk Lawrence; Uehling, David Edward; Waterson, Alex Gregory
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

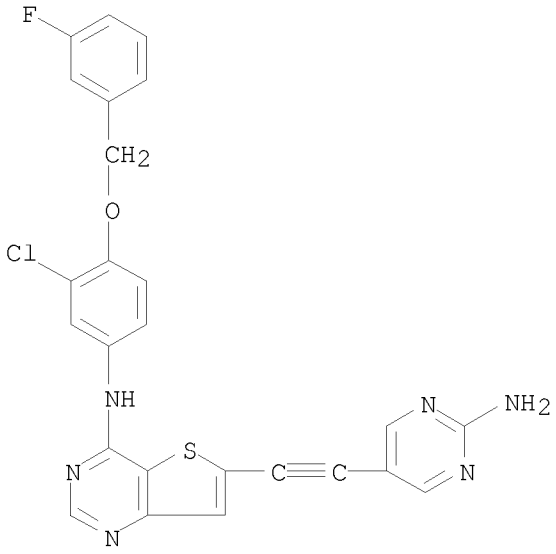
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004112714	A2	20041229	WO 2004-US19388	20040617
WO 2004112714	A3	20050407		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-479567P P 20030618
OTHER SOURCE(S): MARPAT 142:74603
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [one of A1 and A2 = S, CH; R1 = heteroaryl, heteroarylene, arylene; R2 = H, alkyl; R3 = arylene, heteroarylene] are prepared For instance, N-[3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl]-6-((pyridin-2-yl)ethynyl)thieno[2,3-d]pyrimidin-4-amine is prepared from 6-bromo-N-[3-chloro-4-[(3-fluorobenzyl)oxy]phenyl]thieno[2,3-d]pyrimidin-4-amine and 2-iodopyridine. Compds. of the invention have pIC50 of 5.5 or greater for EGFR kinase, ErbB-2 kinase and ErbB-4 kinase. I are useful for the treatment of diseases associated with inappropriate ErbB family kinase activity.
IT 815609-83-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienopyrimidines as inhibitors of ErbB kinases)
RN 815609-83-7 CAPLUS
CN Thieno[3,2-d]pyrimidin-4-amine, 6-[2-(2-amino-5-pyrimidinyl)ethynyl]-N-[3-chloro-4-[(3-fluorophenyl)methoxy]phenyl]- (CA INDEX NAME)



=> d ibib abs hitstr 40

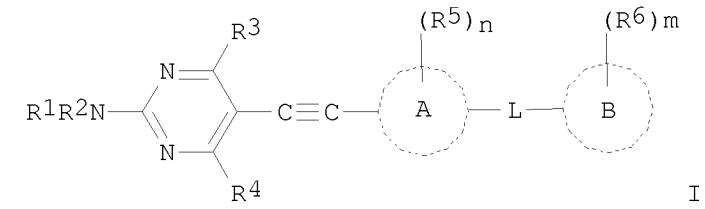
L4 ANSWER 40 OF 83 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:588668 CAPLUS
DOCUMENT NUMBER: 143:115557
TITLE: Preparation of 2-aminopyrimidine derivatives as inhibitors of Tie2 receptor tyrosine kinases
INVENTOR(S): Jones, Clifford David; Luke, Richard William Arthur; McCoull, William
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060970	A1	20050707	WO 2004-GB5337	20041220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1737463	A1	20070103	EP 2004-806139	20041220
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1917879	A	20070221	CN 2004-80041901	20041220
JP 2007517007	T	20070628	JP 2006-546306	20041220
US 20080108608	A1	20080508	US 2006-596745	20060622
IN 2006MN00846	A	20070608	IN 2006-MN846	20060717

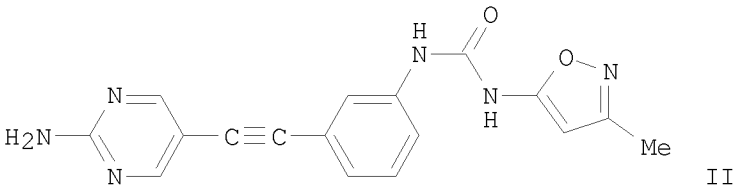
PRIORITY APPLN. INFO.: GB 2003-30000 A 20031224
GB 2004-16849 A 20040729
WO 2004-GB5337 W 20041220

OTHER SOURCE(S): MARPAT 143:115557

GI



I



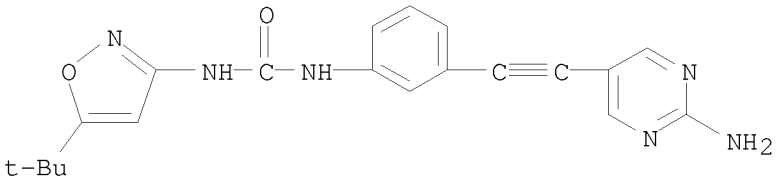
II

AB Title compds. I [wherein R1, R2 = H, alkyl, alkanoyl; R3, R4 = H, alkyl, alkoxy; R5 = cyclopropyl, halo, cyano; m, n = 0-3; R6 = halo, oxo, cyano; etc., or salts thereof] were prepared as inhibitors of Tie2 receptor tyrosine kinases. Processes for the synthesis of I and some intermediates involved are claimed. For example, 2-amino-5-iodopyrimidine underwent Pd-catalyzed coupling with 3-ethynylaniline in the presence of CuI. The resultant substituted aniline was condensed with a carbamate, which was obtained from Ph chloroformate and 5-amino-3-methylisoxazole, to give urea II. This compound showed inhibition against Tie2 receptor tyrosine kinase in vitro and inhibition of autophosphorylation of Tie2 receptor tyrosine kinase with IC50 values of 19.871 μM and 0.337 μM, resp. Therefore, I and their pharmaceutical compns. have potential use in the production of an anti-angiogenic effect in a warm-blooded animal.

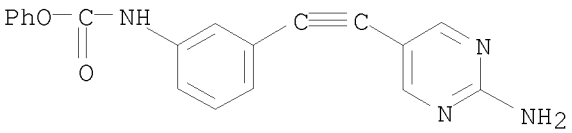
IT 857265-16-8P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(5-tert-butylisoxazol-3-yl)urea 857265-17-9P, Phenyl [3-[(2-aminopyrimidin-5-yl)ethynyl]phenyl]carbamate 857265-31-7P, N-[3-[[2-[(2-Aminoethyl)amino]pyrimidin-5-yl]ethynyl]phenyl]-N'-(5-tert-butylisoxazol-3-yl)urea 857265-32-8P, N-[3-[[2-[(3-Aminopropyl)amino]pyrimidin-5-yl]ethynyl]phenyl]-N'-(5-tert-butylisoxazol-3-yl)urea 857266-46-7P, Phenyl [3-[[2-[[3-(dimethylamino)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]carbamate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(inhibitor; preparation of pyrimidine derivs. as inhibitors of Tie2 receptor tyrosine kinases)

RN 857265-16-8 CAPLUS

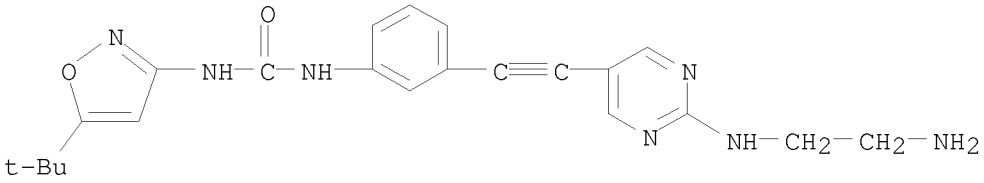
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



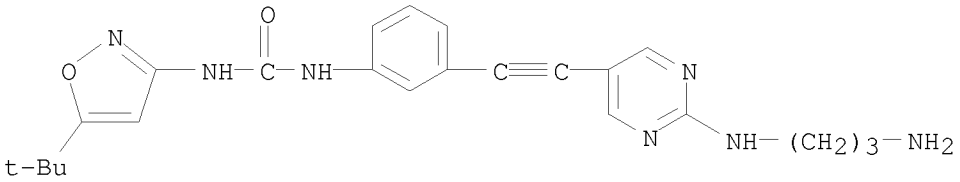
RN 857265-17-9 CAPLUS
CN Carbamic acid, [3-[(2-amino-5-pyrimidinyl)ethynyl]phenyl]-, phenyl ester (9CI) (CA INDEX NAME)



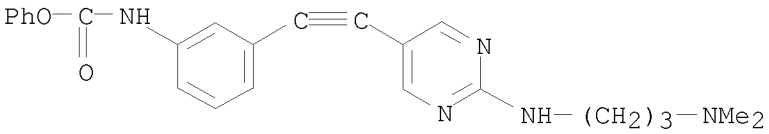
RN 857265-31-7 CAPLUS
CN Urea, N-[3-[2-[2-[(2-aminoethyl)amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



RN 857265-32-8 CAPLUS
CN Urea, N-[3-[2-[2-[(3-aminopropyl)amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



RN 857266-46-7 CAPLUS
CN Carbamic acid, [3-[[2-[[3-(dimethylamino)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-, phenyl ester (9CI) (CA INDEX NAME)



IT 857264-91-6P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]urea 857264-93-8P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[2-(trifluoromethyl)phenyl]urea 857264-94-9P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[4-(trifluoromethyl)phenyl]urea 857264-95-0P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(2-fluorophenyl)urea 857264-96-1P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-fluorophenyl)urea 857264-97-2P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(4-fluorophenyl)urea 857264-98-3P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-methoxyphenyl)urea 857264-99-4P, N-[3-[(2-Aminopyrimidin-5-

yl)ethynyl]phenyl]-N'-(2,5-difluorophenyl)urea 857265-00-0P,
N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(1,3-benzodioxol-5-yl)urea
857265-01-1P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[3-
(trifluoromethyl)phenyl]urea 857265-02-2P, N-[3-[(2-
Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(2-methoxyphenyl)urea
857265-03-3P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(4-
methoxyphenyl)urea 857265-04-4P, N-[3-[(2-Aminopyrimidin-5-
yl)ethynyl]phenyl]-N'-(3,4-difluorophenyl)urea 857265-05-5P,
N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-cyanophenyl)urea
857265-06-6P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-
chlorophenyl)urea 857265-07-7P, N-[3-[(2-Aminopyrimidin-5-
yl)ethynyl]phenyl]-N'-cyclopentylurea 857265-08-8P,
N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3,5-difluorophenyl)urea
857265-09-9P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(5-
tert-butyl-1,3,4-thiadiazol-2-yl)urea 857265-13-5P,
N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-methylisoxazol-5-
yl)urea 857265-14-6P, N-[3-[[[[3-[(2-Aminopyrimidin-5-
yl)ethynyl]phenyl]amino]carbonyl]amino]phenyl]acetamide
857265-15-7P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[4-
(trifluoromethyl)pyridin-2-yl]urea 857265-18-0P,
N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(2-oxopiperidin-3-yl)urea
857265-19-1P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-
(methylamino)pyrimidin-5-yl]ethynyl]phenyl]urea 857265-22-6P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-(dimethylamino)pyrimidin-5-
yl]ethynyl]phenyl]urea 857265-23-7P, N-(5-tert-Butylisoxazol-3-
yl)-N'-[3-[[2-[[2-(morpholin-4-yl)ethyl]amino]pyrimidin-5-
yl]ethynyl]phenyl]urea 857265-24-8P, N-(5-tert-Butylisoxazol-3-
yl)-N'-[3-[[2-[[3-(morpholin-4-yl)propyl]amino]pyrimidin-5-
yl]ethynyl]phenyl]urea 857265-25-9P, N-(5-tert-Butylisoxazol-3-
yl)-N'-[3-[[2-[(2-methoxyethyl)amino]pyrimidin-5-yl]ethynyl]phenyl]urea
857265-26-0P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(1H-
imidazol-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea
857265-27-1P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[(3-
methoxypropyl)amino]pyrimidin-5-yl]ethynyl]phenyl]urea
857265-28-2P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[(2-
hydroxyethyl)amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-29-3P
, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(pyrrolidin-1-
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-30-6P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(pyrrolidin-1-
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-33-9P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(dimethylamino)ethyl]amino]pyr
imidin-5-yl]ethynyl]phenyl]urea 857265-34-0P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(dimethylamino)propyl]amino]py
rimidin-5-yl]ethynyl]phenyl]urea 857265-35-1P,
N-[5-[[3-[[[(5-tert-Butylisoxazol-3-yl)amino]carbonyl]amino]phenyl]ethynyl
]pyrimidin-2-yl]glycinamide 857265-36-2P 857265-37-3P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(1H-imidazol-4-
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-38-4P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(pyridin-2-
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-39-5P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(isopropylamino)propyl]amino]p
yrimidin-5-yl]ethynyl]phenyl]urea 857265-40-8P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(4-methylpiperazin-1-
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-41-9P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(pyridin-4-
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-42-0P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(piperidin-1-
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-43-1P,
N-(5-Methylisoxazol-3-yl)-N'-[3-[[2-[[2-(pyrrolidin-1-
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-47-5P,
N-(5-tert-Butyl-1,3,4-thiadiazol-2-yl)-N'-[3-[[2-[[2-(pyrrolidin-1-
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-48-6P,

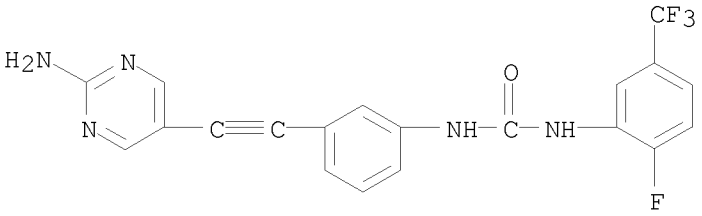
N-(3-Methylisothiazol-5-yl)-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-49-7P, N-(3-Fluorophenyl)-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-50-0P, N-(4-Methoxyphenyl)-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-51-1P, N-(2-Fluorophenyl)-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-52-2P, N-(2,5-Difluorophenyl)-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-53-3P, N-(3,4-Difluorophenyl)-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-54-4P, N-[2-Fluoro-5-(trifluoromethyl)phenyl]-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-55-5P, N-[3-[[2-[[2-(Pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]-N'-[4-(trifluoromethyl)phenyl]urea 857265-56-6P, N-(1,3-Benzodioxol-5-yl)-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-57-7P, N-(4-Fluorophenyl)-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-58-8P, N-(3-Chlorophenyl)-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-59-9P, N-(5-Methylisoxazol-3-yl)-N'-[3-[[2-[[2-(morpholin-4-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-60-2P, N-(5-tert-Butyl-1,3,4-thiadiazol-2-yl)-N'-[3-[[2-[[2-(morpholin-4-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-61-3P, N-[2-Fluoro-5-(trifluoromethyl)phenyl]-N'-[3-[[2-[[2-(morpholin-4-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-62-4P, N-(5-Methylisoxazol-3-yl)-N'-[3-[[2-[[3-(morpholin-4-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-63-5P, N-(5-tert-Butyl-1,3,4-thiadiazol-2-yl)-N'-[3-[[2-[[3-(morpholin-4-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-64-6P, N-[2-Fluoro-5-(trifluoromethyl)phenyl]-N'-[3-[[2-[[3-(morpholin-4-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-65-7P, N-(5-Methylisoxazol-3-yl)-N'-[4-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-68-0P, N-(5-tert-Butylisoxazol-3-yl)-N'-[4-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-69-1P, N-(5-tert-Butyl-1,3,4-thiadiazol-2-yl)-N'-[4-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-70-4P, N-[2-Fluoro-5-(trifluoromethyl)phenyl]-N'-[4-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-71-5P, N-[5-[[3-[[[(5-tert-Butylisoxazol-3-yl)amino]carbonyl]amino]phenyl]ethynyl]pyrimidin-2-yl]-2-(2-methoxyethoxy)acetamide 857265-72-6P, N-[6-[(2-Aminopyrimidin-5-yl)ethynyl]pyridin-2-yl]-N'-(5-tert-butylisoxazol-3-yl)urea 857265-76-0P, N-[2-[(2-Aminopyrimidin-5-yl)ethynyl]pyridin-4-yl]-N'-(5-tert-butylisoxazol-3-yl)urea 857265-78-2P, N-[5-[(2-Aminopyrimidin-5-yl)ethynyl]-1,3-thiazol-2-yl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]urea 857265-80-6P, N-[5-[(2-Aminopyrimidin-5-yl)ethynyl]-1,3,4-thiadiazol-2-yl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]urea 857265-82-8P, N-[5-[(2-Aminopyrimidin-5-yl)ethynyl]-1,3-thiazol-2-yl]-N'-(5-tert-butylisoxazol-3-yl)urea 857265-84-0P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-2-(2-methoxyphenyl)acetamide 857265-85-1P, 2-Phenyl-N-[3-[2-(2-aminopyrimidin-5-yl)ethynyl]phenyl]acetamide 857265-86-2P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-2-(3-methoxyphenyl)acetamide 857265-87-3P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-2-[3-(trifluoromethyl)phenyl]acetamide 857265-88-4P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-2-[4-(trifluoromethyl)phenyl]acetamide 857265-89-5P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-2-(3-methylisoxazol-5-yl)acetamide 857265-91-9P, N-[4-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-2-(2-methoxyphenyl)acetamide 857265-92-0P, N-[4-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-2-(3-methylisoxazol-5-

yl)acetamide 857265-93-1P, N-[3-[(2-Aminopyrimidin-5-
yl)ethynyl]phenyl]-N'-(2,2-dimethyltetrahydro-2H-pyran-4-yl)urea
857265-94-2P, N-[6-[(2-Aminopyrimidin-5-yl)ethynyl]pyrimidin-4-yl]-
N'-(5-tert-butylisoxazol-3-yl)urea 857265-96-4P,
N'-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N-(5-tert-butylisoxazol-3-
yl)-N-methylurea 857265-97-5P, N-[3-[(2-Aminopyrimidin-5-
yl)ethynyl]phenyl]-N'-phenylurea 857265-98-6P,
N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(1-tert-butyl-3-
cyclopropyl-1H-pyrazol-5-yl)urea 857265-99-7P,
N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(5-methyl-1,3,4-thiadiazol-
2-yl)urea 857266-00-3P, N-[3-[(2-Aminopyrimidin-5-
yl)ethynyl]phenyl]-N'-(5-ethyl-1,3,4-thiadiazol-2-yl)urea
857266-01-4P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(5-
isopropyl-1,3,4-thiadiazol-2-yl)urea 857266-02-5P,
N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(4-tert-butyl-1,3-thiazol-
2-yl)urea 857266-03-6P, N-[3-[(2-Aminopyrimidin-5-
yl)ethynyl]phenyl]-N'-(5-methylisoxazol-3-yl)urea 857266-04-7P,
N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[5-(trifluoromethyl)-1,3,4-
thiadiazol-2-yl]urea 857266-05-8P, N'-[3-[(2-Aminopyrimidin-5-
yl)ethynyl]phenyl]-N-methyl-N-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-
yl]urea 857266-06-9P, N-[3-[(2-Aminopyrimidin-5-
yl)ethynyl]phenyl]-N'-(5-cyclopropyl-1,3,4-thiadiazol-2-yl)urea
857266-07-0P, N-Phenyl-N'-[3-[[2-[[3-(piperidin-1-
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-09-2P,
N-(5-Methylisoxazol-3-yl)-N'-[3-[[2-[[3-(piperidin-1-
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-10-5P,
N-[3-[[2-[[3-(Piperidin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]-
N'-[4-(trifluoromethyl)pyridin-2-yl]urea 857266-11-6P,
N-(5-tert-Butyl-1,3,4-thiadiazol-2-yl)-N'-[3-[[2-[[3-(piperidin-1-
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-12-7P,
N-(3-Methylisoxazol-5-yl)-N'-[3-[[2-[[3-(piperidin-1-
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-13-8P,
N-(2-Methoxyphenyl)-N'-[3-[[2-[[3-(piperidin-1-yl)propyl]amino]pyrimidin-5-
yl]ethynyl]phenyl]urea 857266-14-9P, N-(3-Fluorophenyl)-N'-[3-
[[2-[[3-(piperidin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea
857266-15-0P, N-[3-[[2-[(4-Aminobutyl)amino]pyrimidin-5-
yl]ethynyl]phenyl]-N'-(5-tert-butylisoxazol-3-yl)urea 857266-16-1P
, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(piperidin-1-
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-17-2P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(isopropylamino)ethyl]amino]py
rimidin-5-yl]ethynyl]phenyl]urea 857266-18-3P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(2-
hydroxyethoxy)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea
857266-19-4P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[4-
(dimethylamino)butyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea
857266-20-7P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-
(dimethylamino)-1-methylethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea
857266-21-8P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[1-methyl-2-
(morpholin-4-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea
857266-22-9P 857266-25-2P 857266-26-3P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(piperazin-1-
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-27-4P,
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(piperazin-1-
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-29-6P,
N-(5-tert-Butylisoxazol-3-yl)-N-methyl-N'-[3-[[2-[[2-(morpholin-4-
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-32-1P,
N-(5-tert-Butylisoxazol-3-yl)-N-methyl-N'-[3-[[2-[[3-(morpholin-4-
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-33-2P,
N-(5-tert-Butylisoxazol-3-yl)-N-methyl-N'-[3-[[2-[[3-(piperidin-1-
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-34-3P,
N-(3-tert-Butyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[[2-[[3-(piperidin-1-
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-36-5P,

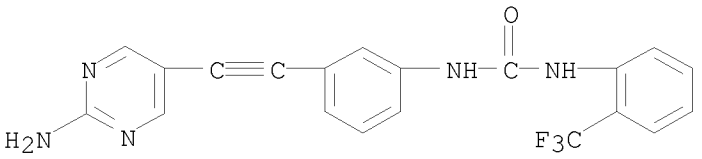
N-(3-tert-Butyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[[2-[[3-(morpholin-4-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-37-6P, N-(3-tert-Butyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[[2-[[2-(morpholin-4-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-38-7P, N-(3-tert-Butyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[[2-[[2-(dimethylamino)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-39-8P, N-(3-tert-Butyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[[2-[[2-(isopropylamino)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-40-1P, N-(3-Cyclopropyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[[2-[[3-(piperidin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-42-3P, N-(3-Cyclopropyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[[2-[[3-(morpholin-4-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-43-4P, N-(3-Cyclopropyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[[2-[[2-(morpholin-4-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-44-5P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-[(2-hydroxy-1-oxoethyl)amino]ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-45-6P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-[(2-hydroxyethyl)amino]propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-48-9P, N-[3-[[2-[[3-(Dimethylamino)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]-N'-phenylurea 857266-49-0P, N-[3-[[2-[[3-(Dimethylamino)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]-N'-(5-methylisoxazol-3-yl)urea 857266-50-3P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(dimethylamino)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]-N-methylurea 857266-51-4P, N'-[4-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N-(5-tert-butylisoxazol-3-yl)-N-methylurea 857266-53-6P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(5-tert-butylisoxazol-3-yl)-N-methylurea 857266-57-0P, N-[5-[(2-Aminopyrimidin-5-yl)ethynyl]pyridin-3-yl]-N'-(5-tert-butylisoxazol-3-yl)urea 857266-61-6P, N-[5-[(2-Aminopyrimidin-5-yl)ethynyl]pyridin-3-yl]-N'-(3-tert-butyl-1-methyl-1H-pyrazol-5-yl)urea 857266-63-8P, N-[5-[(2-Aminopyrimidin-5-yl)ethynyl]pyridin-3-yl]-N'-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)urea 857266-64-9P, N-[5-[(2-Aminopyrimidin-5-yl)ethynyl]-1,3-thiazol-2-yl]-N'-phenylurea 857266-65-0P, N-[5-[(2-Aminopyrimidin-5-yl)ethynyl]-1,3-thiazol-2-yl]-N'-(2,2-dimethyltetrahydro-2H-pyran-4-yl)urea 857266-67-2P, N-[5-[(2-Aminopyrimidin-5-yl)ethynyl]-1,3-thiazol-2-yl]-N'-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)urea 857266-70-7P, N-[5-[(2-Aminopyrimidin-5-yl)ethynyl]-1,3-thiazol-2-yl]-N'-(3-tert-butyl-1-methyl-1H-pyrazol-5-yl)urea 857266-74-1P, N-[5-[(2-Aminopyrimidin-5-yl)ethynyl]-1,3,4-thiadiazol-2-yl]-N'-phenylurea 857266-78-5P, N-[5-[(2-Aminopyrimidin-5-yl)ethynyl]-1,3,4-thiadiazol-2-yl]-N'-(2,2-dimethyltetrahydro-2H-pyran-4-yl)urea 857266-82-1P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(1,3-dimethyl-1H-pyrazol-5-yl)urea 857266-84-3P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[5-(ethylthio)-1,3,4-thiadiazol-2-yl]urea 857266-86-5P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)urea 857266-88-7P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-tert-butyl-1-methyl-1H-pyrazol-5-yl)urea 857266-90-1P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(1-tert-butyl-1H-pyrazol-4-yl)urea 857266-93-4P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-isopropyl-1-methyl-1H-pyrazol-5-yl)urea 857266-96-7P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(5-isopropyl-1,3,4-oxadiazol-2-yl)urea 857266-99-0P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(1-ethyl-1H-pyrazol-3-yl)urea 857267-02-8P , N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(1-isopropyl-1H-pyrazol-3-yl)urea 857267-06-2P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[3-fluoro-5-(4-methylpiperazin-1-yl)phenyl]urea 857267-09-5P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]-4-methylphenyl]-N'-(3-tert-butyl-1-methyl-1H-pyrazol-5-yl)urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitor; preparation of pyrimidine derivs. as inhibitors of Tie2 receptor tyrosine kinases)

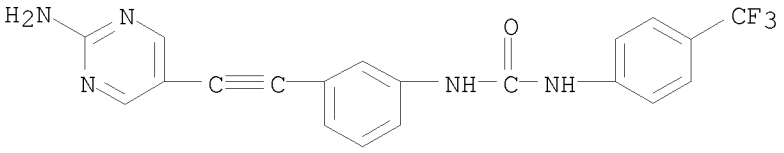
RN 857264-91-6 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



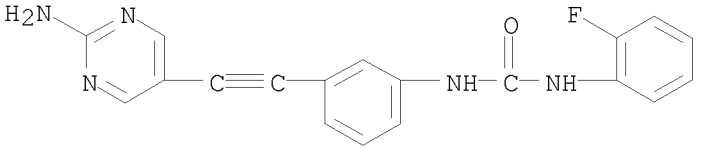
RN 857264-93-8 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



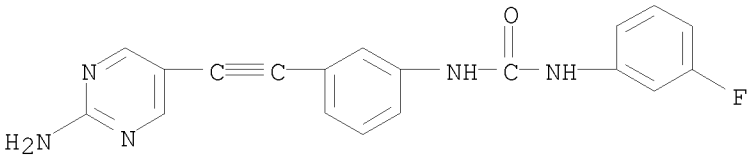
RN 857264-94-9 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



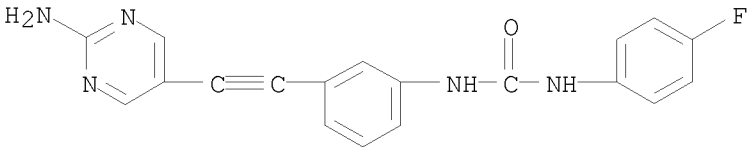
RN 857264-95-0 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



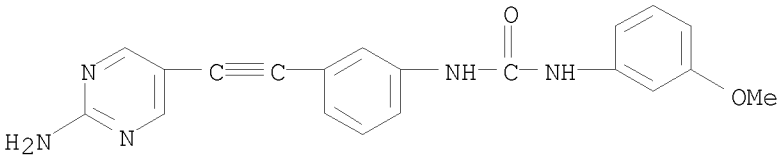
RN 857264-96-1 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(3-fluorophenyl)- (CA INDEX NAME)



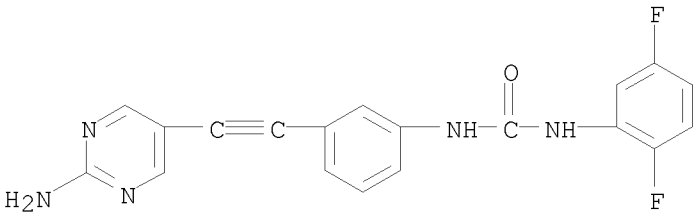
RN 857264-97-2 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(4-fluorophenyl)- (CA INDEX NAME)



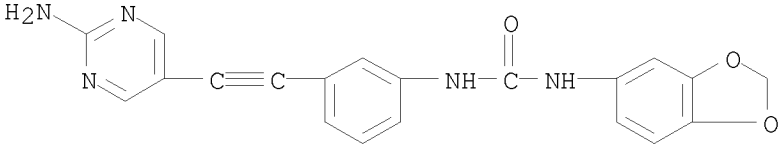
RN 857264-98-3 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(3-methoxyphenyl)- (CA INDEX NAME)



RN 857264-99-4 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(2,5-difluorophenyl)- (CA INDEX NAME)

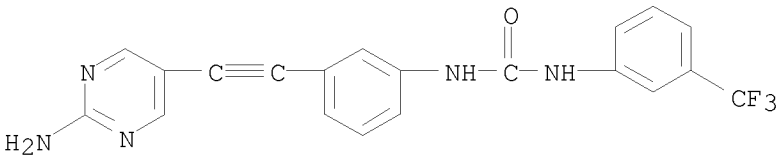


RN 857265-00-0 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-1,3-benzodioxol-5-yl- (CA INDEX NAME)

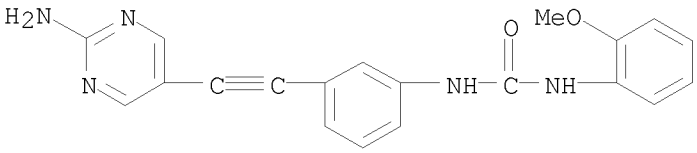


RN 857265-01-1 CAPLUS

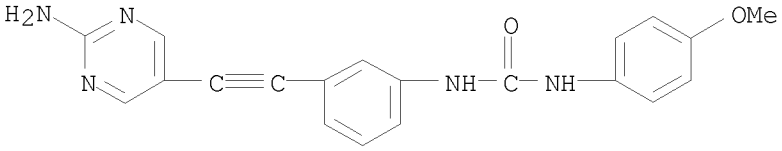
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



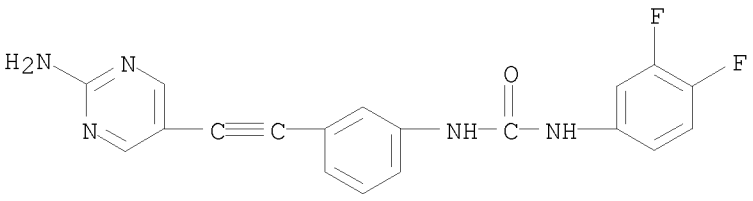
RN 857265-02-2 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(2-methoxyphenyl)- (CA INDEX NAME)



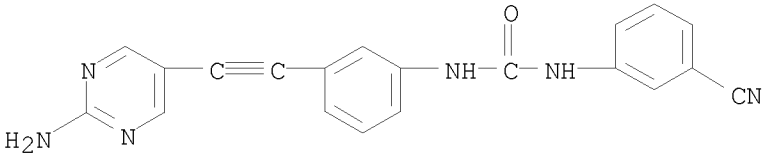
RN 857265-03-3 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(4-methoxyphenyl)- (CA INDEX NAME)



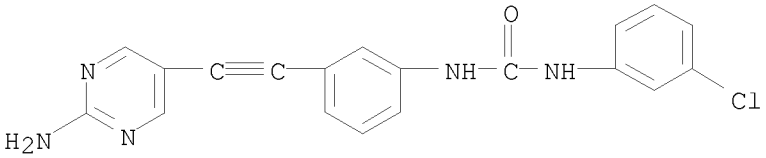
RN 857265-04-4 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(3,4-difluorophenyl)- (CA INDEX NAME)



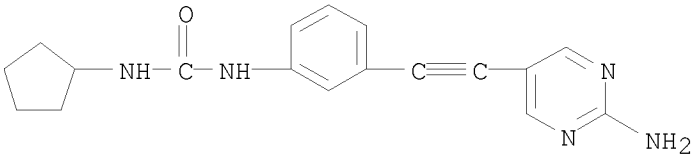
RN 857265-05-5 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(3-cyanophenyl)- (CA INDEX NAME)



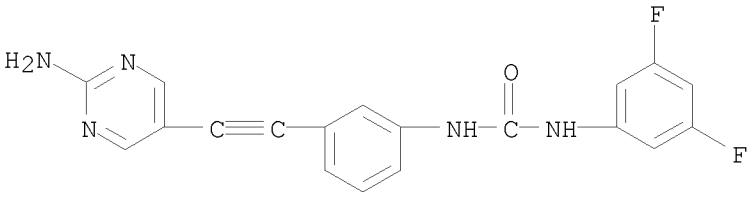
RN 857265-06-6 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(3-chlorophenyl)- (CA INDEX NAME)



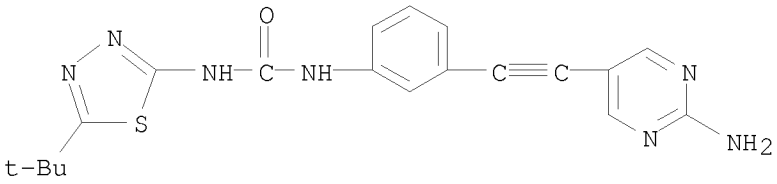
RN 857265-07-7 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-cyclopentyl- (CA INDEX NAME)



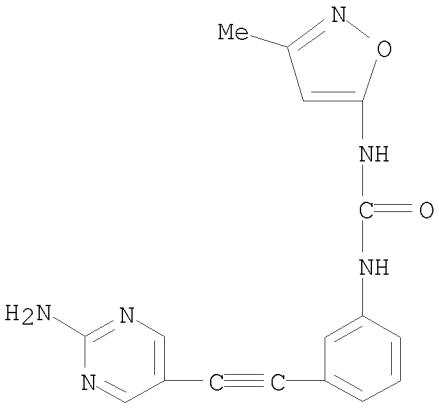
RN 857265-08-8 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(3,5-difluorophenyl)- (CA INDEX NAME)



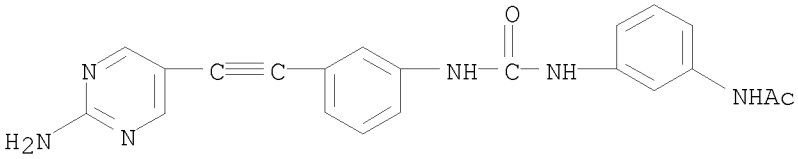
RN 857265-09-9 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-1,3,4-thiadiazol-2-yl]- (CA INDEX NAME)



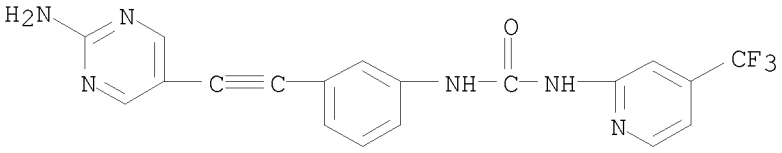
RN 857265-13-5 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(3-methyl-5-isoxazolyl)- (CA INDEX NAME)



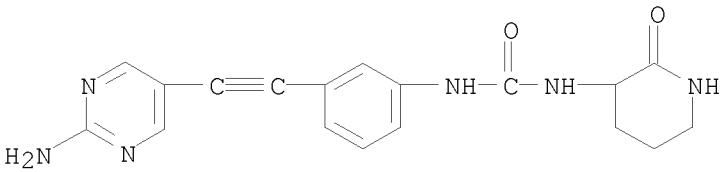
RN 857265-14-6 CAPLUS
CN Acetamide, N-[3-[[[[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



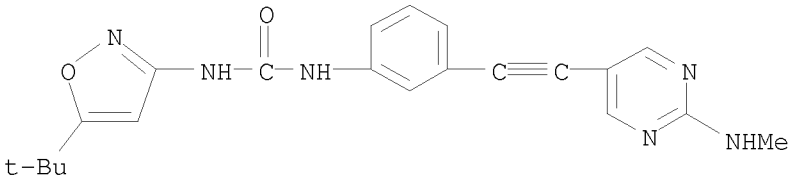
RN 857265-15-7 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[4-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



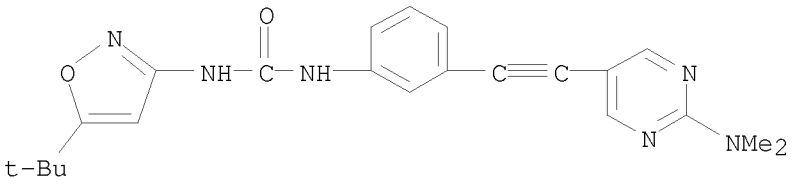
RN 857265-18-0 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(2-oxo-3-piperidinyl)- (CA INDEX NAME)



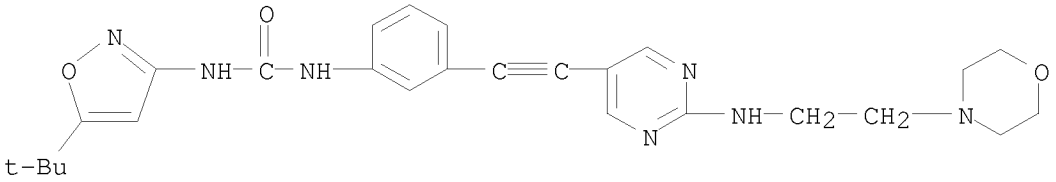
RN 857265-19-1 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-(methylamino)-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



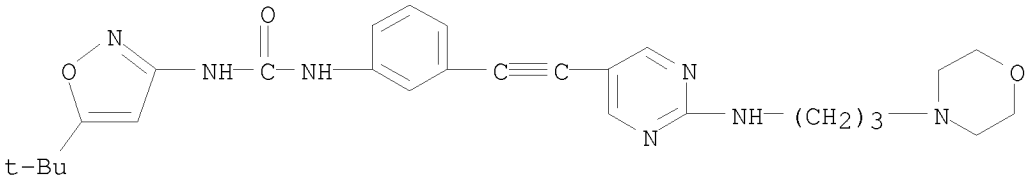
RN 857265-22-6 CAPLUS
CN Urea, N-[3-[2-[2-(dimethylamino)-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



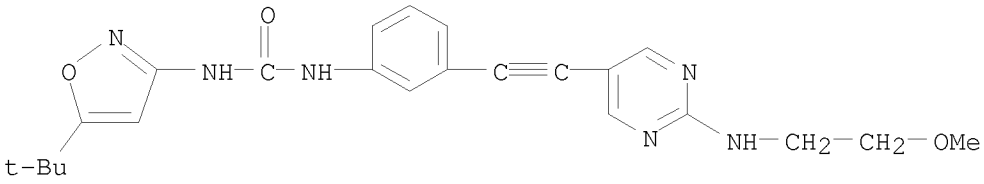
RN 857265-23-7 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857265-24-8 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

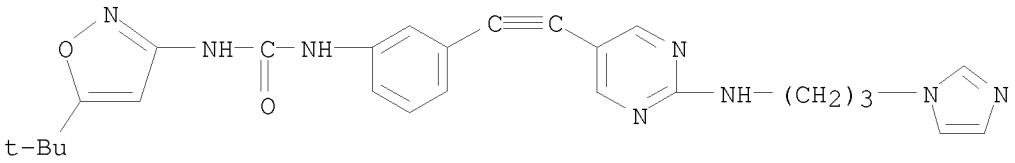


RN 857265-25-9 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[(2-methoxyethyl)amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

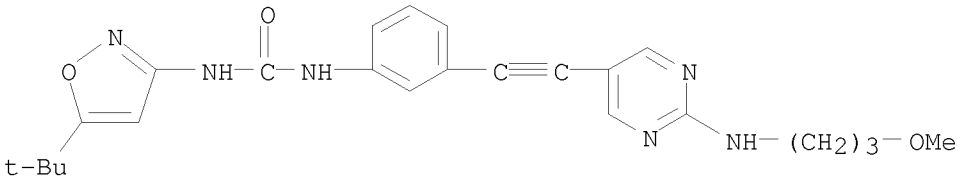


RN 857265-26-0 CAPLUS

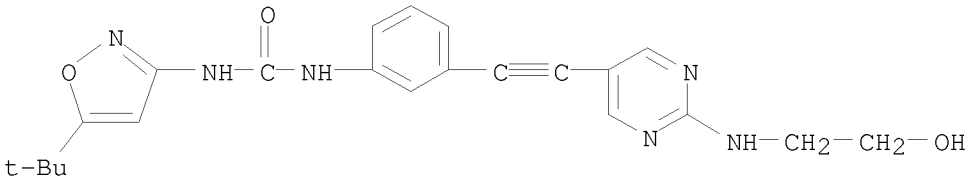
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-(1H-imidazol-1-yl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



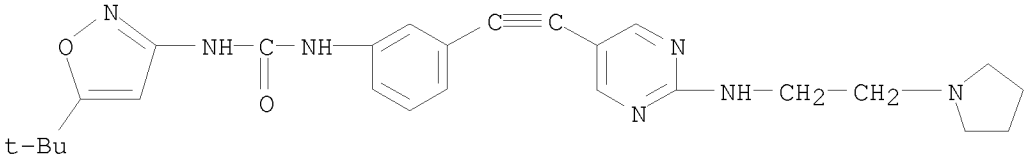
RN 857265-27-1 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[(3-methoxypropyl)amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



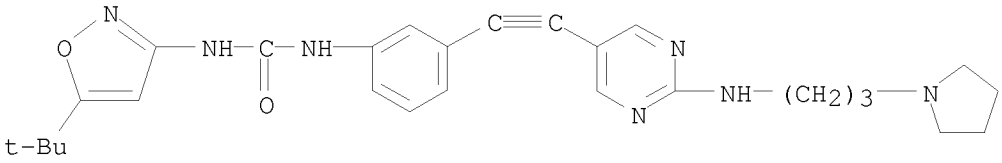
RN 857265-28-2 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[(2-hydroxyethyl)amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



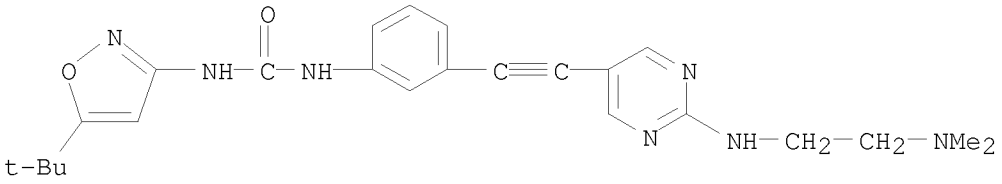
RN 857265-29-3 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



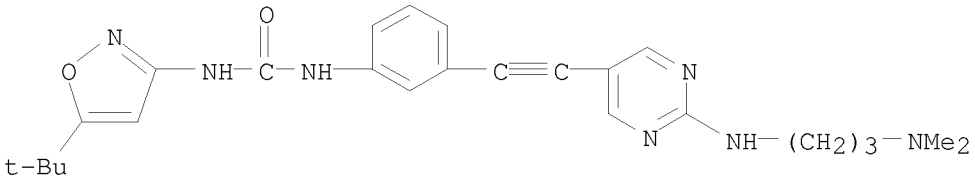
RN 857265-30-6 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-(1-pyrrolidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



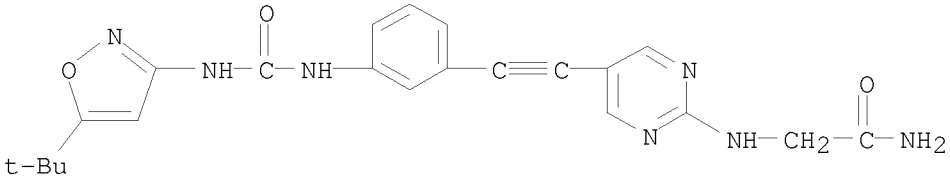
RN 857265-33-9 CAPLUS
CN Urea, N-[3-[2-[2-[[2-(dimethylamino)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



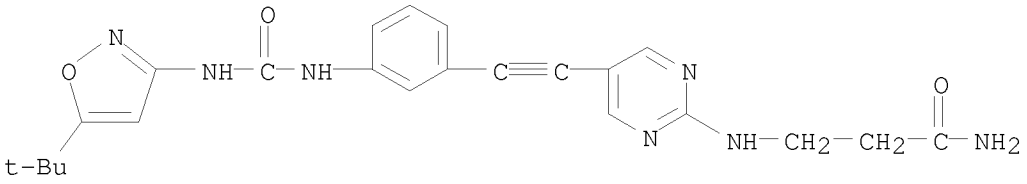
RN 857265-34-0 CAPLUS
CN Urea, N-[3-[2-[2-[[3-(dimethylamino)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



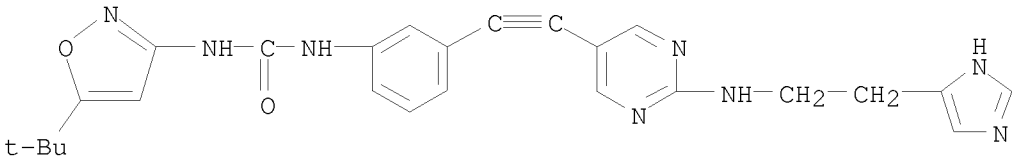
RN 857265-35-1 CAPLUS
CN Acetamide, 2-[[5-[2-[3-[[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]ethynyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)



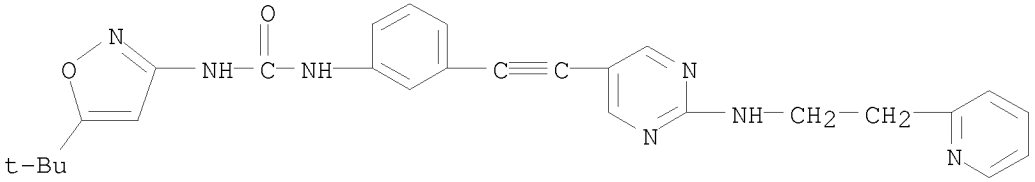
RN 857265-36-2 CAPLUS
CN Propanamide, 3-[[5-[2-[3-[[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]ethynyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)



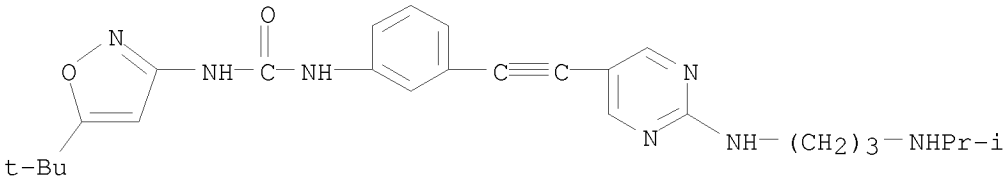
RN 857265-37-3 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(1H-imidazol-5-yl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857265-38-4 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(2-pyridinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

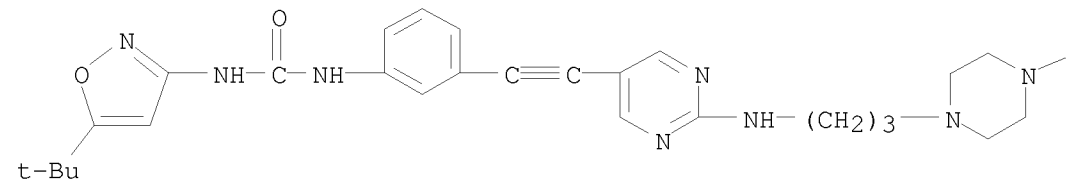


RN 857265-39-5 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-[(1-methylethyl)amino]propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



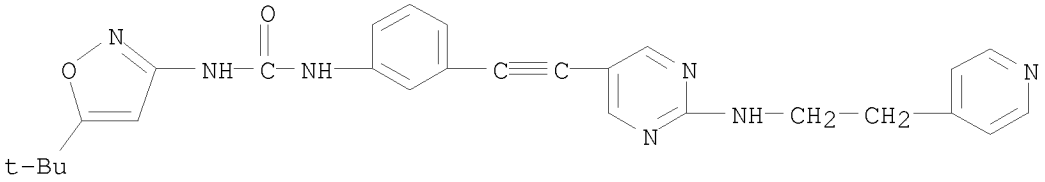
RN 857265-40-8 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

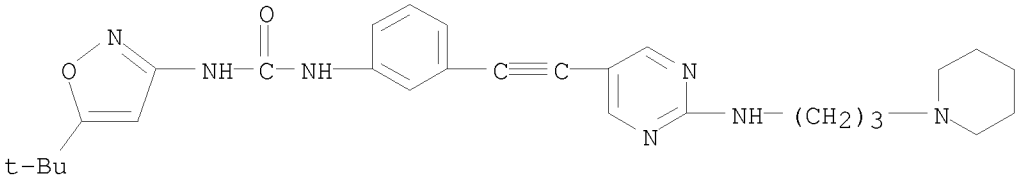


PAGE 1-B

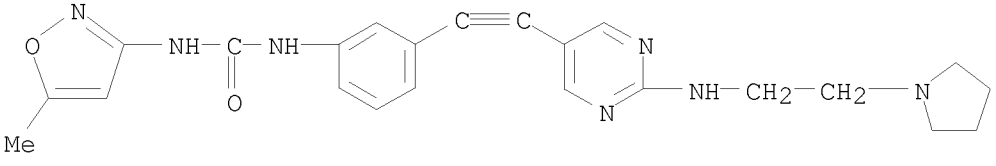
RN 857265-41-9 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(4-pyridinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



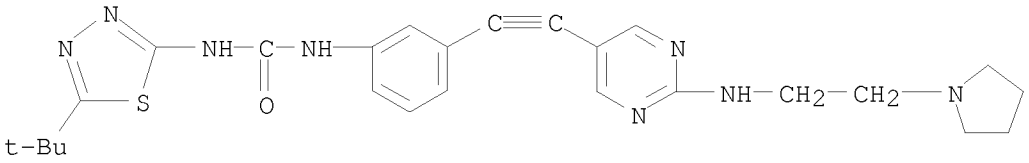
RN 857265-42-0 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



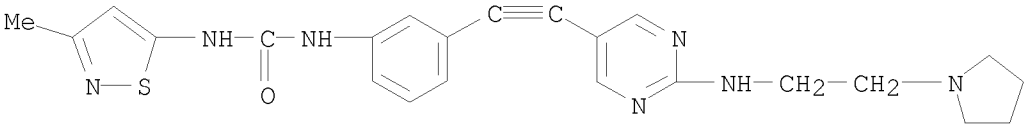
RN 857265-43-1 CAPLUS
CN Urea, N-(5-methyl-3-isoxazolyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



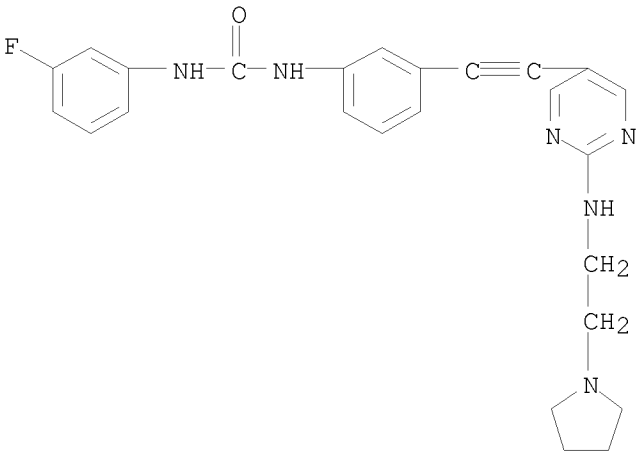
RN 857265-47-5 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-1,3,4-thiadiazol-2-yl]-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



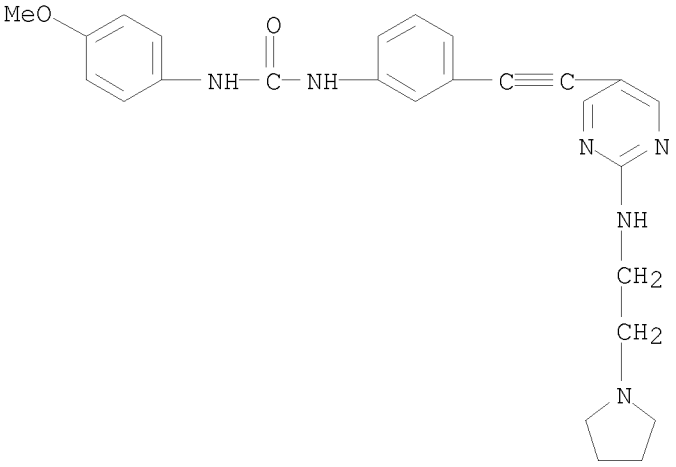
RN 857265-48-6 CAPLUS
CN Urea, N-(3-methyl-5-isothiazolyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



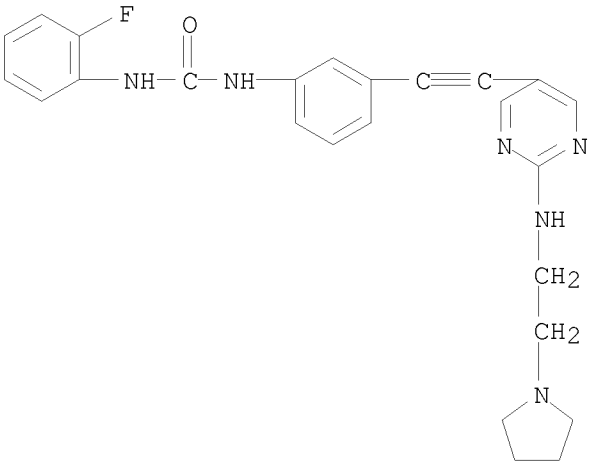
RN 857265-49-7 CAPLUS
CN Urea, N-(3-fluorophenyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



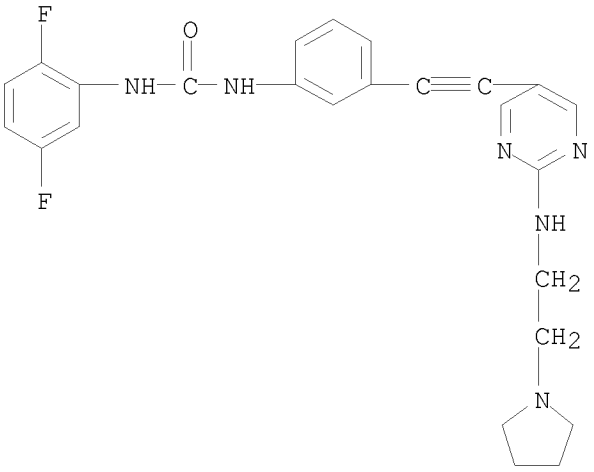
RN 857265-50-0 CAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



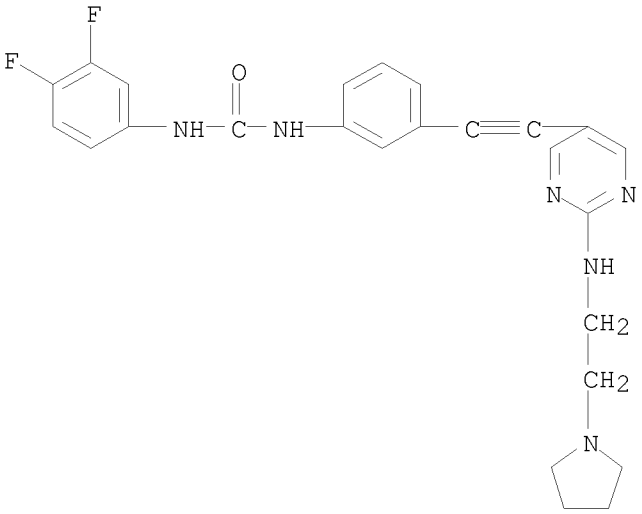
RN 857265-51-1 CAPLUS
CN Urea, N-(2-fluorophenyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



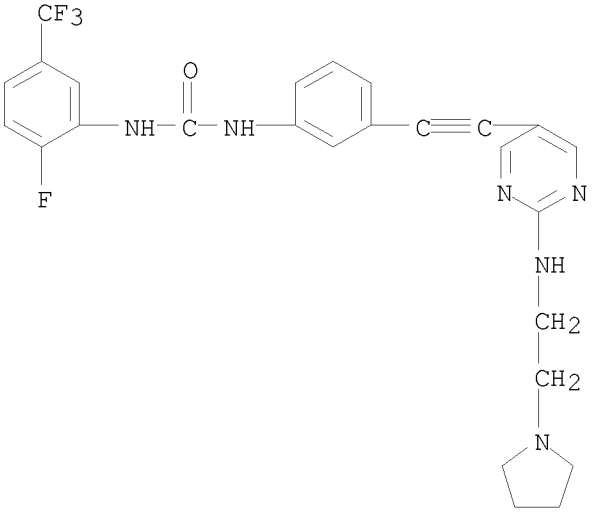
RN 857265-52-2 CAPLUS
CN Urea, N-(2,5-difluorophenyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



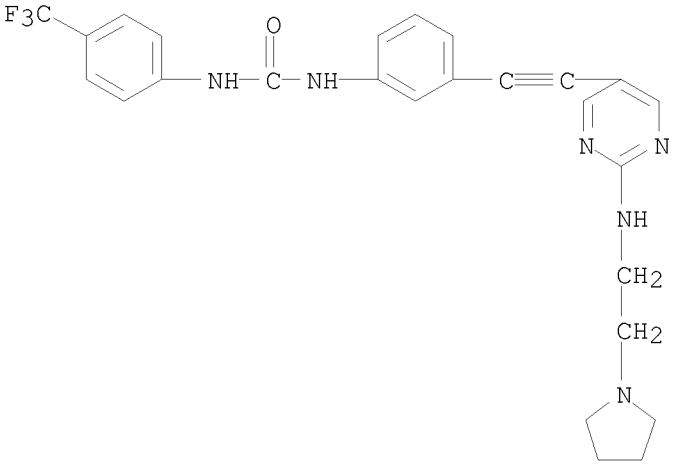
RN 857265-53-3 CAPLUS
CN Urea, N-(3,4-difluorophenyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



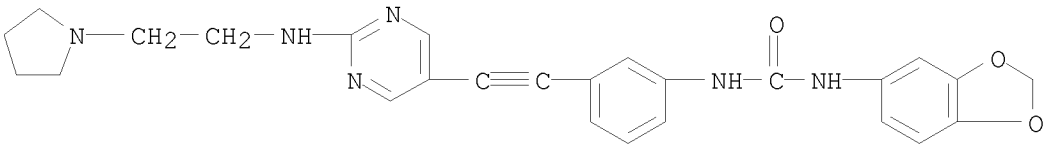
RN 857265-54-4 CAPLUS
CN Urea, N-[2-fluoro-5-(trifluoromethyl)phenyl]-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



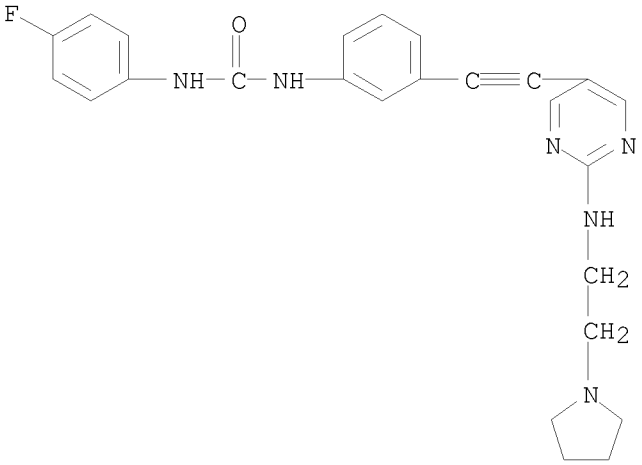
RN 857265-55-5 CAPLUS
CN Urea, N-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



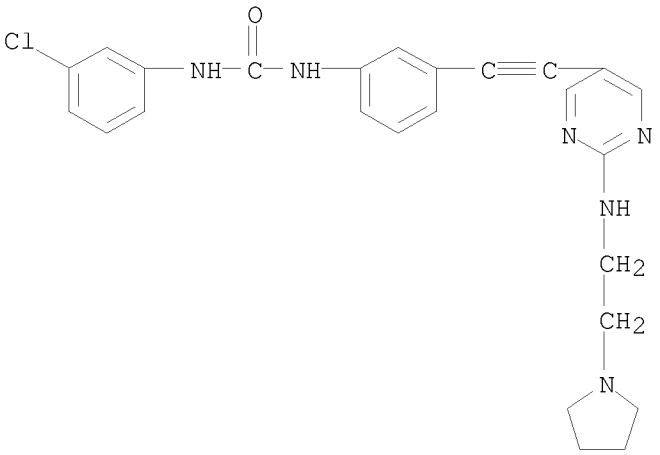
RN 857265-56-6 CAPLUS
CN Urea, N-1,3-benzodioxol-5-yl-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



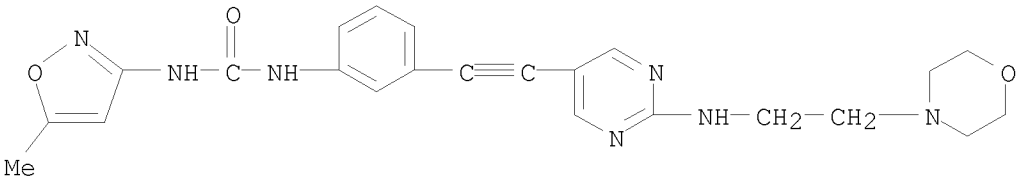
RN 857265-57-7 CAPLUS
CN Urea, N-(4-fluorophenyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



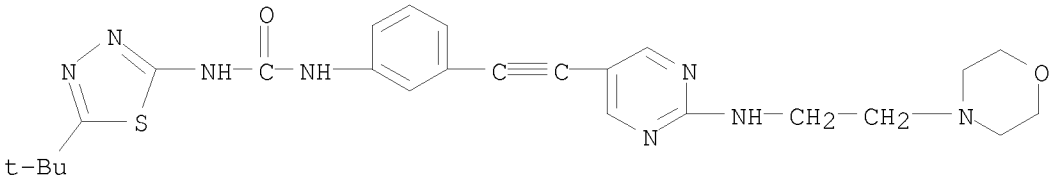
RN 857265-58-8 CAPLUS
CN Urea, N-(3-chlorophenyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



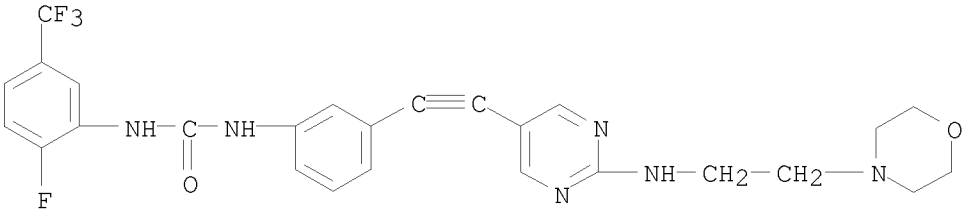
RN 857265-59-9 CAPLUS
CN Urea, N-(5-methyl-3-isoxazolyl)-N'-[3-[2-[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



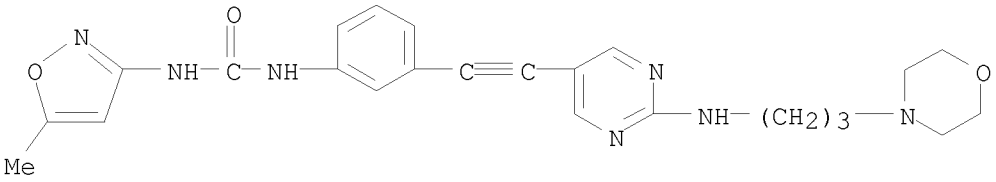
RN 857265-60-2 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-1,3,4-thiadiazol-2-yl]-N'-[3-[2-[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



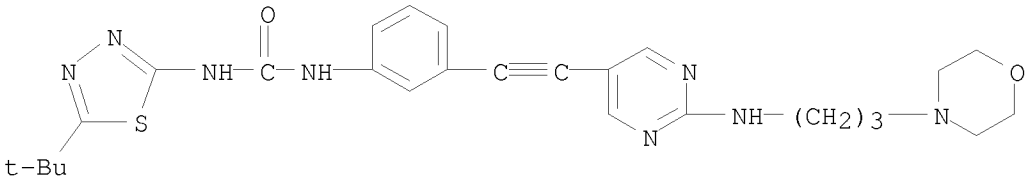
RN 857265-61-3 CAPLUS
CN Urea, N-[2-fluoro-5-(trifluoromethyl)phenyl]-N'-[3-[2-[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



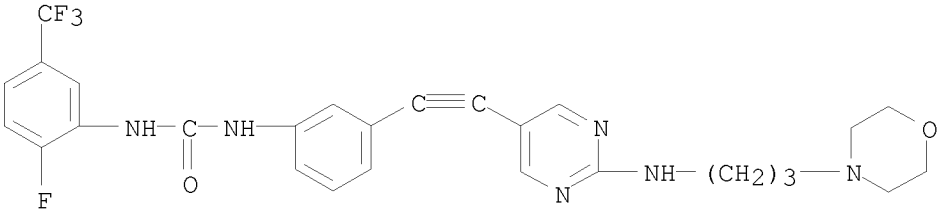
RN 857265-62-4 CAPLUS
CN Urea, N-(5-methyl-3-isoxazolyl)-N'-[3-[2-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



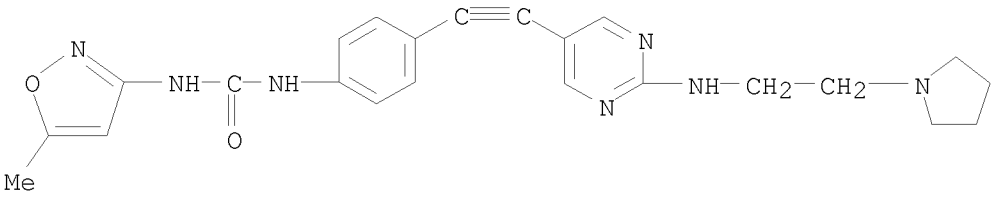
RN 857265-63-5 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-1,3,4-thiadiazol-2-yl]-N'-[3-[2-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



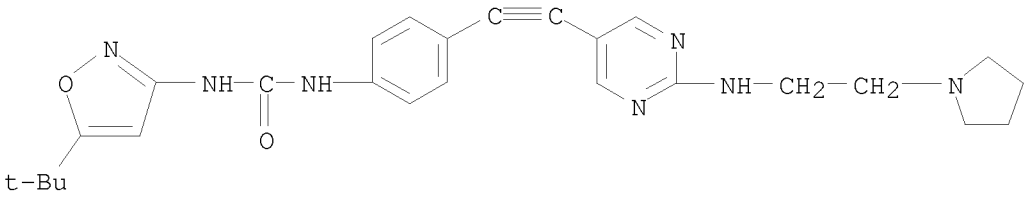
RN 857265-64-6 CAPLUS
CN Urea, N-[2-fluoro-5-(trifluoromethyl)phenyl]-N'-[3-[2-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



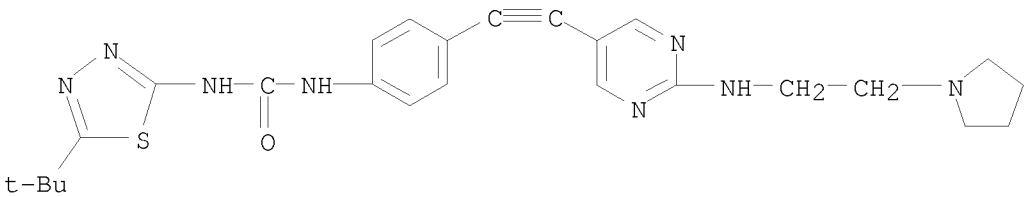
RN 857265-65-7 CAPLUS
CN Urea, N-(5-methyl-3-isoxazolyl)-N'-[4-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



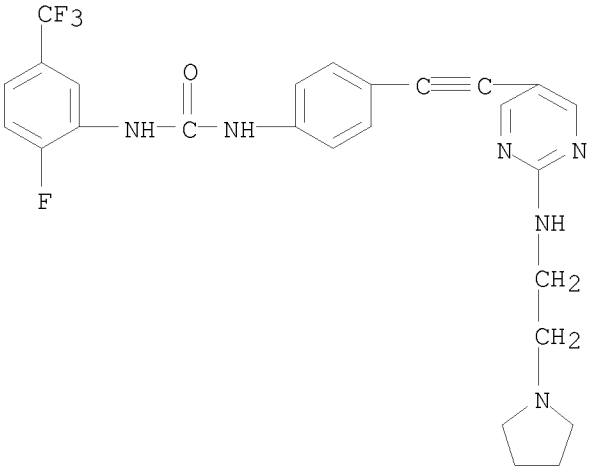
RN 857265-68-0 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[4-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857265-69-1 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-1,3,4-thiadiazol-2-yl]-N'-[4-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

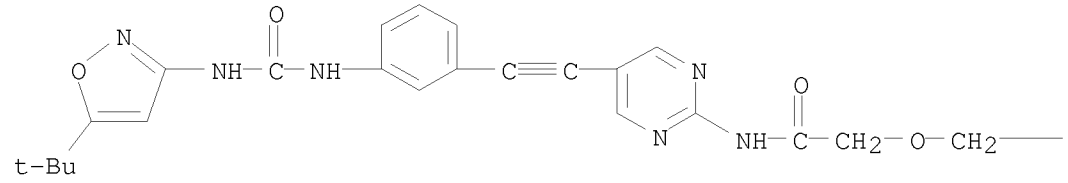


RN 857265-70-4 CAPLUS
CN Urea, N-[2-fluoro-5-(trifluoromethyl)phenyl]-N'-[4-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857265-71-5 CAPLUS
CN Acetamide, N-[5-[2-[3-[[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]ethynyl]-2-pyrimidinyl]-2-(2-methoxyethoxy)- (CA INDEX NAME)

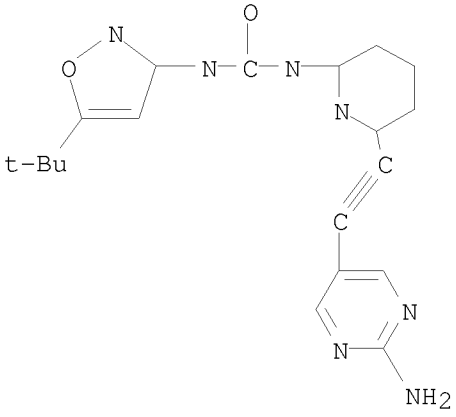
PAGE 1-A



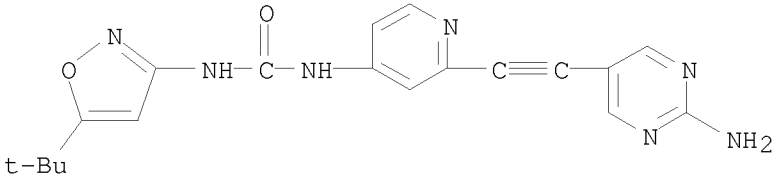
PAGE 1-B

— CH_2 — OMe

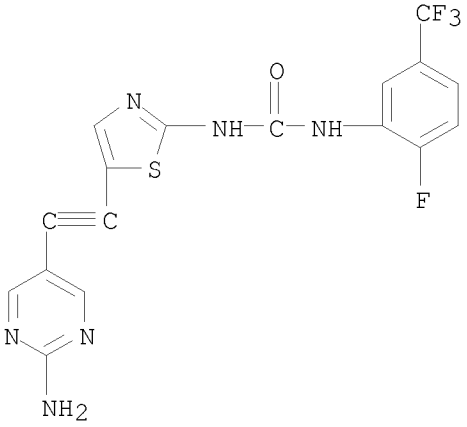
RN 857265-72-6 CAPLUS
CN Urea, N-[6-[2-(2-amino-5-pyrimidinyl)ethynyl]-2-pyridinyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



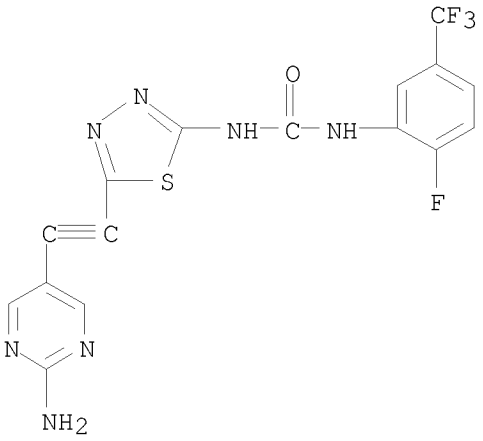
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 857265-76-0 CAPLUS
CN Urea, N-[2-[2-(2-amino-5-pyrimidinyl)ethynyl]-4-pyridinyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



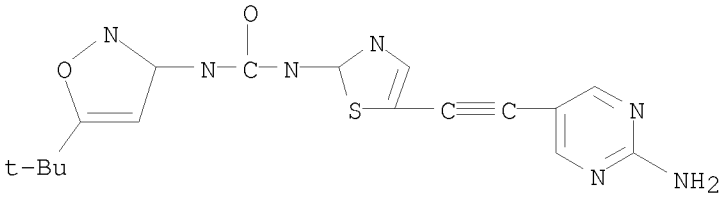
RN 857265-78-2 CAPLUS
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-2-thiazolyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



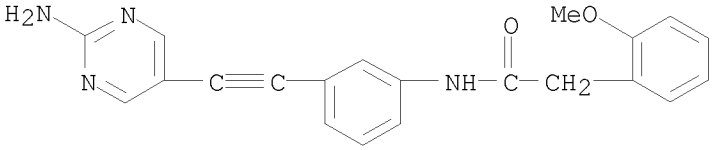
RN 857265-80-6 CAPLUS
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-1,3,4-thiadiazol-2-yl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



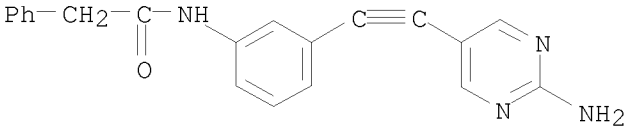
RN 857265-82-8 CAPLUS
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-2-thiazolyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



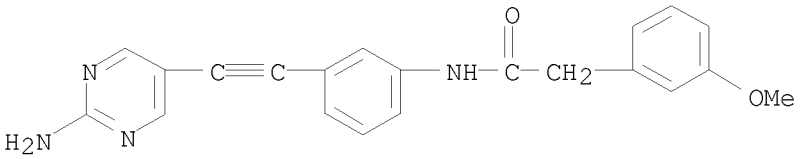
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 857265-84-0 CAPLUS
CN Benzeneacetamide, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-2-methoxy- (CA INDEX NAME)



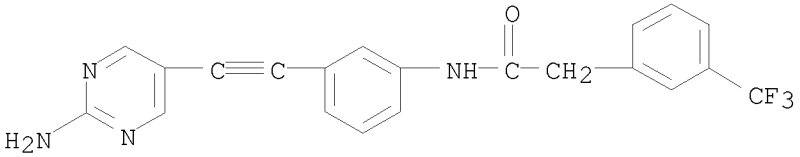
RN 857265-85-1 CAPLUS
CN Benzeneacetamide, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]- (CA INDEX NAME)



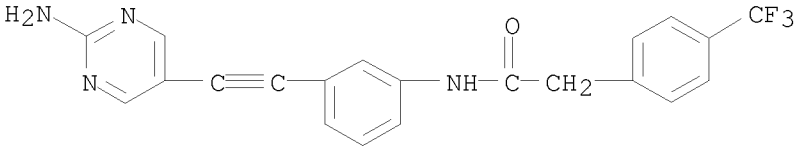
RN 857265-86-2 CAPLUS
CN Benzeneacetamide, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-3-methoxy- (CA INDEX NAME)



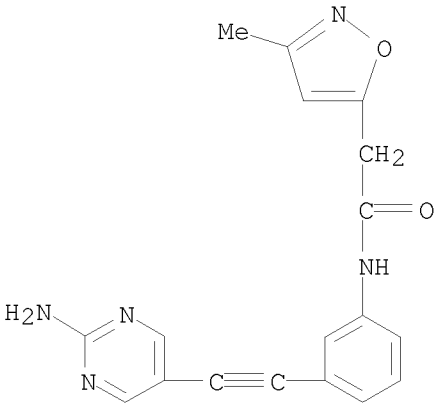
RN 857265-87-3 CAPLUS
CN Benzeneacetamide, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



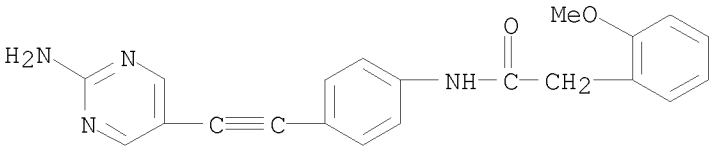
RN 857265-88-4 CAPLUS
CN Benzeneacetamide, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



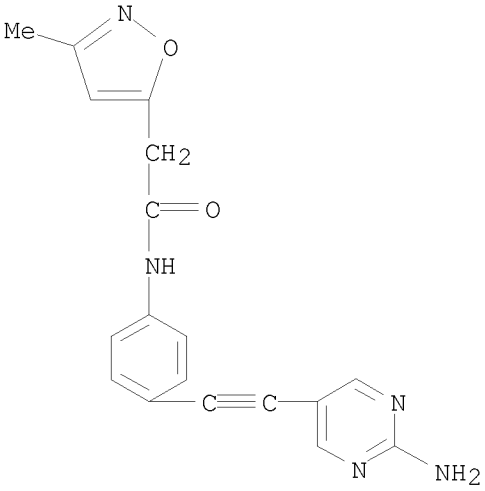
RN 857265-89-5 CAPLUS
CN 5-Isoxazoleacetamide, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-3-methyl- (CA INDEX NAME)



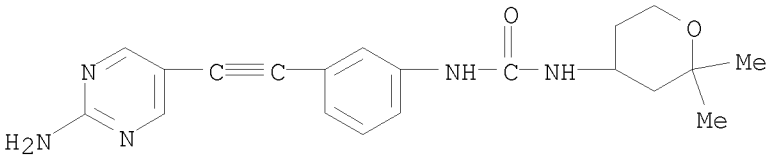
RN 857265-91-9 CAPLUS
CN Benzeneacetamide, N-[4-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-2-methoxy- (CA INDEX NAME)



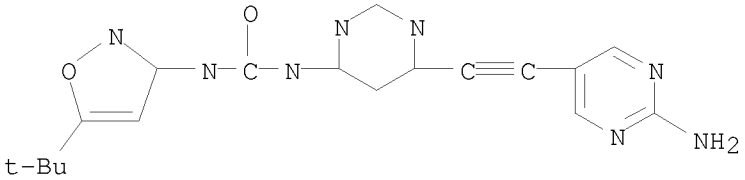
RN 857265-92-0 CAPLUS
CN 5-Isoxazoleacetamide, N-[4-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-3-methyl- (CA INDEX NAME)



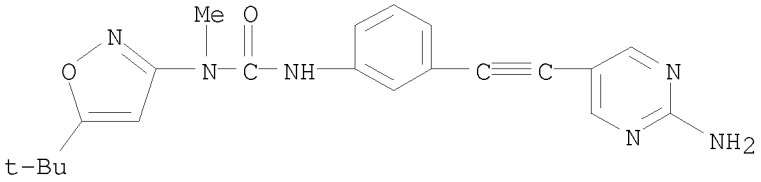
RN 857265-93-1 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)- (CA INDEX NAME)



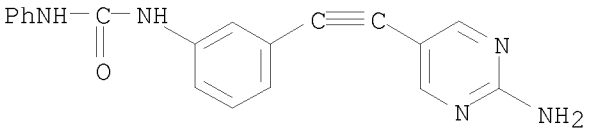
RN 857265-94-2 CAPLUS
CN Urea, N-[6-[2-(2-amino-5-pyrimidinyl)ethynyl]-4-pyrimidinyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



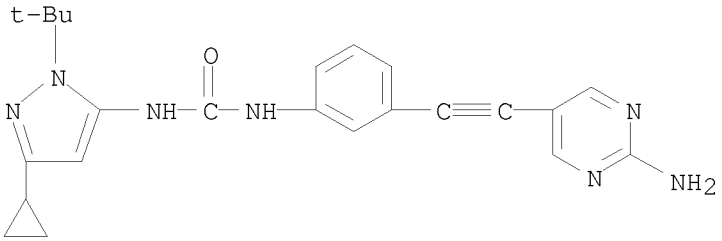
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 857265-96-4 CAPLUS
CN Urea, N'-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N-methyl- (CA INDEX NAME)



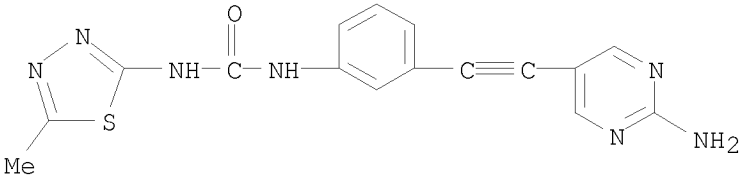
RN 857265-97-5 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-phenyl- (CA INDEX NAME)



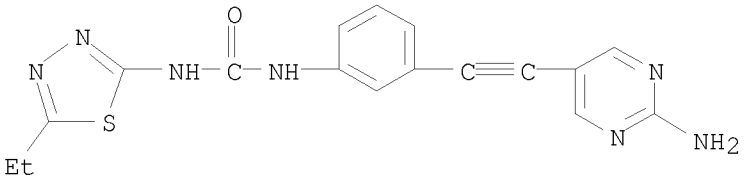
RN 857265-98-6 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[3-cyclopropyl-1-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



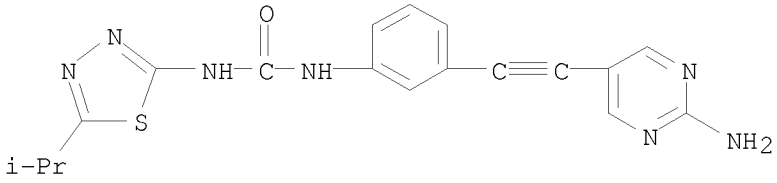
RN 857265-99-7 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(5-methyl-1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)



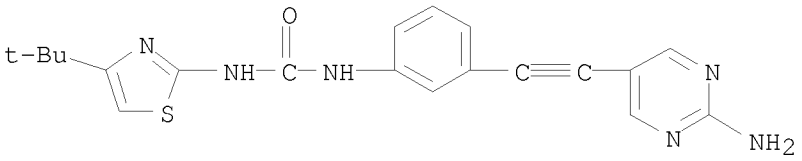
RN 857266-00-3 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(5-ethyl-1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)



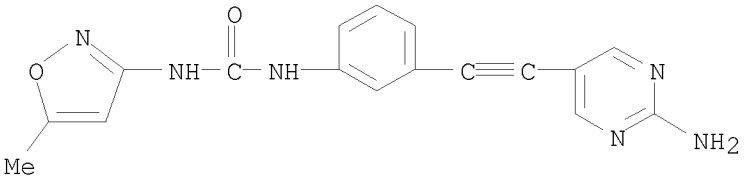
RN 857266-01-4 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[5-(1-methylethyl)-1,3,4-thiadiazol-2-yl]- (CA INDEX NAME)



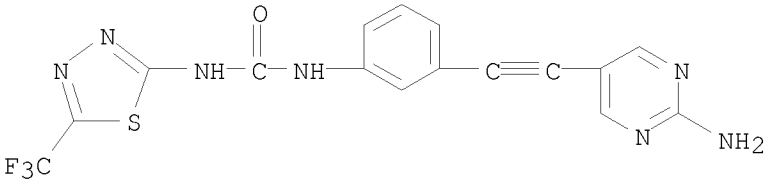
RN 857266-02-5 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[4-(1,1-dimethylethyl)-2-thiazolyl]- (CA INDEX NAME)



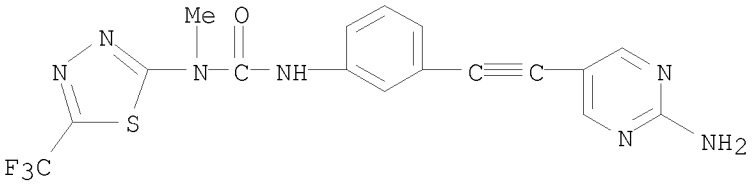
RN 857266-03-6 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(5-methyl-3-isoxazolyl)- (CA INDEX NAME)



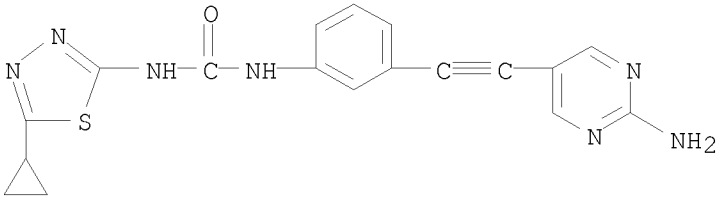
RN 857266-04-7 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]- (CA INDEX NAME)



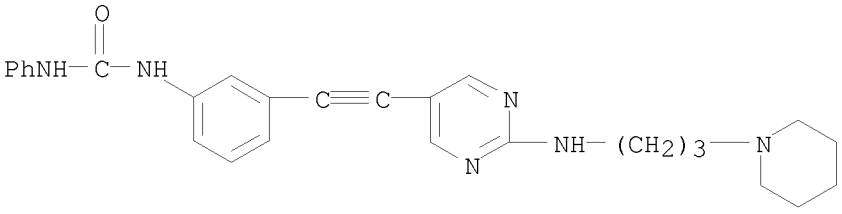
RN 857266-05-8 CAPLUS
CN Urea, N'-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N-methyl-N-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]- (CA INDEX NAME)



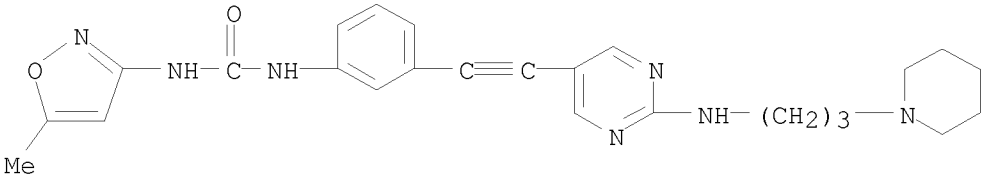
RN 857266-06-9 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(5-cyclopropyl-1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)



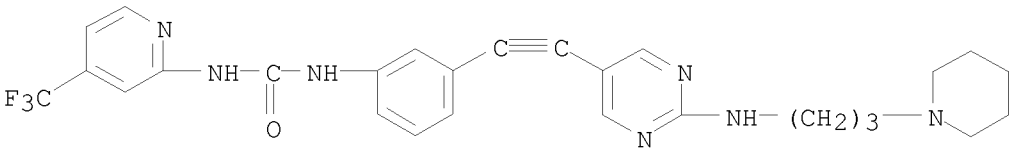
RN 857266-07-0 CAPLUS
CN Urea, N-phenyl-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



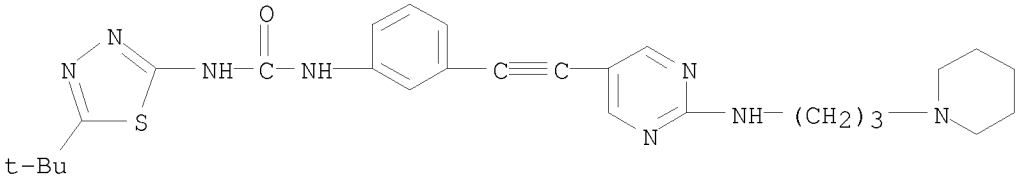
RN 857266-09-2 CAPLUS
CN Urea, N-(5-methyl-3-isoxazolyl)-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



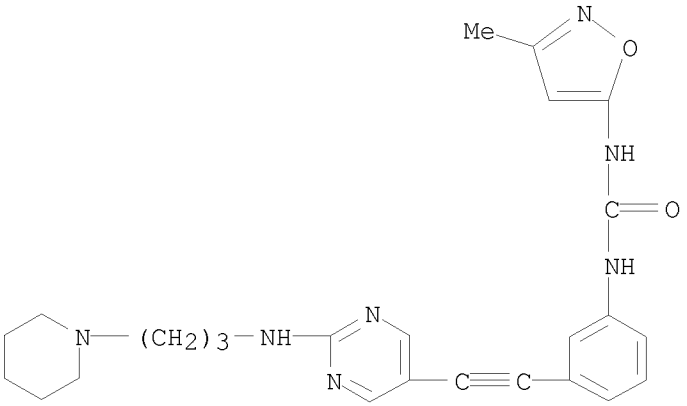
RN 857266-10-5 CAPLUS
CN Urea, N-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[4-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



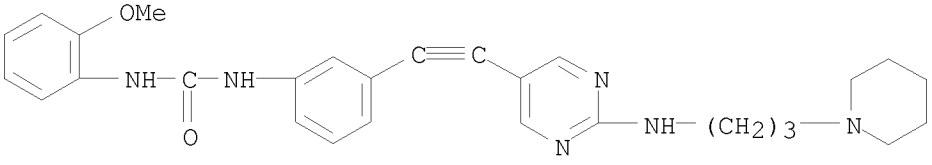
RN 857266-11-6 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-1,3,4-thiadiazol-2-yl]-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



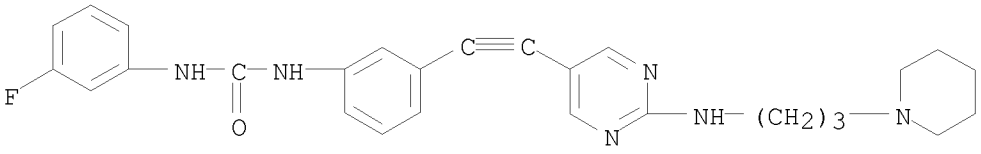
RN 857266-12-7 CAPLUS
CN Urea, N-(3-methyl-5-isoxazolyl)-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



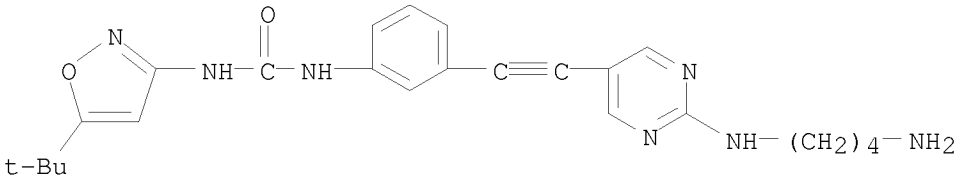
RN 857266-13-8 CAPLUS
CN Urea, N-(2-methoxyphenyl)-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



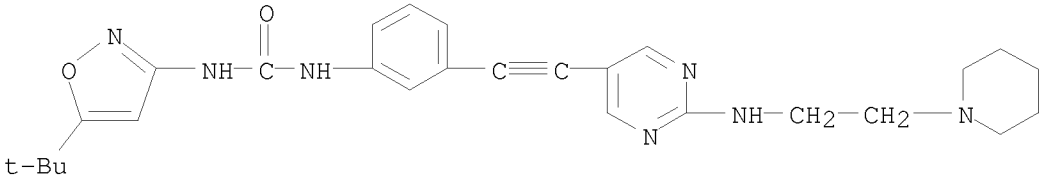
RN 857266-14-9 CAPLUS
CN Urea, N-(3-fluorophenyl)-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



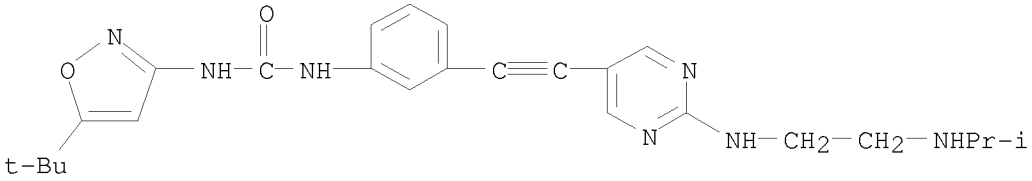
RN 857266-15-0 CAPLUS
CN Urea, N-[3-[2-[2-[(4-aminobutyl)amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



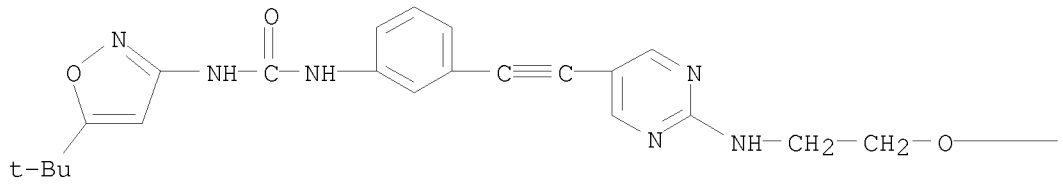
RN 857266-16-1 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(1-piperidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

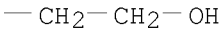


RN 857266-17-2 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-[(1-methylethyl)amino]ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

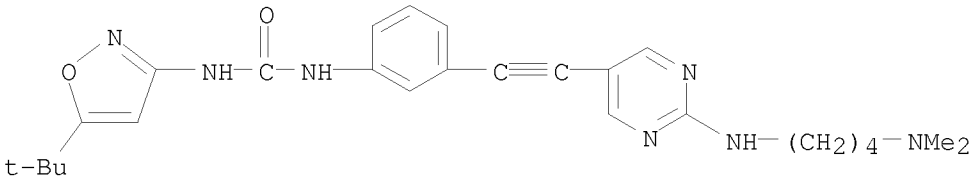


RN 857266-18-3 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(2-hydroxyethoxy)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

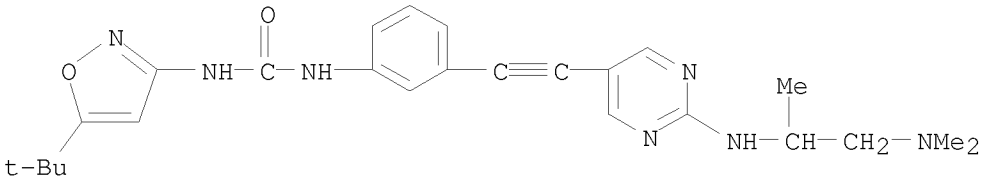




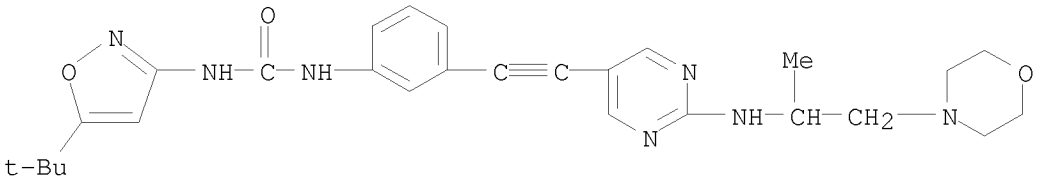
RN 857266-19-4 CAPLUS
CN Urea, N-[3-[2-[2-[[4-(dimethylamino)butyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



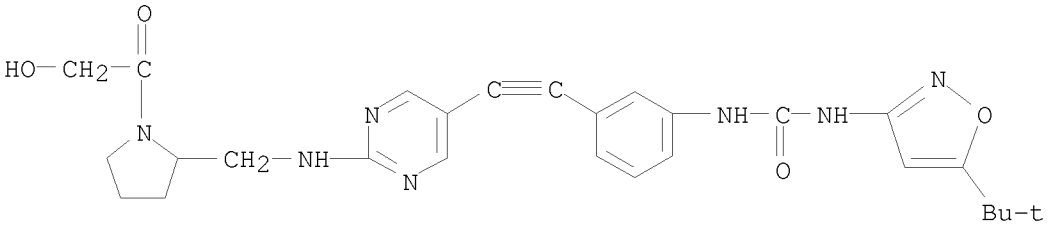
RN 857266-20-7 CAPLUS
CN Urea, N-[3-[2-[2-[[2-(dimethylamino)-1-methylethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



RN 857266-21-8 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[1-methyl-2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

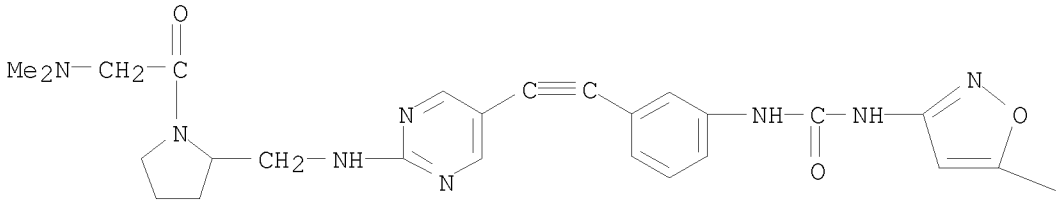


RN 857266-22-9 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[[1-(2-hydroxyacetyl)-2-pyrrolidinyl]methyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

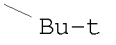


RN 857266-25-2 CAPLUS
CN Urea, N-[3-[2-[2-[[[1-[2-(dimethylamino)acetyl]-2-pyrrolidinyl]methyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)

PAGE 1-A

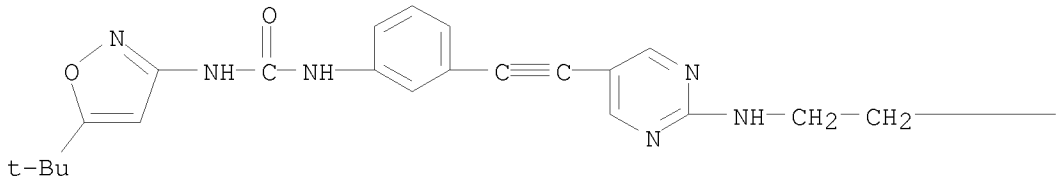


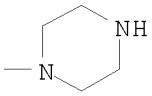
PAGE 1-B



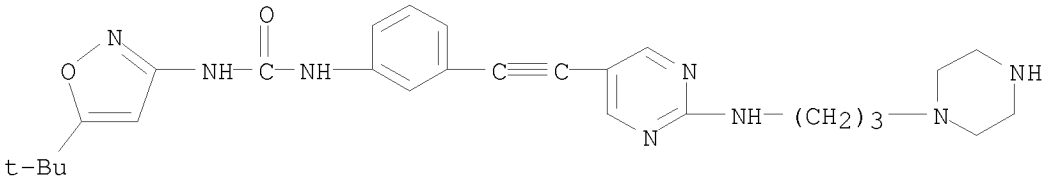
RN 857266-26-3 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(1-piperazinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

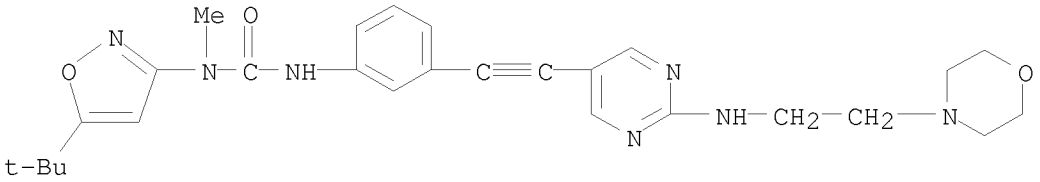




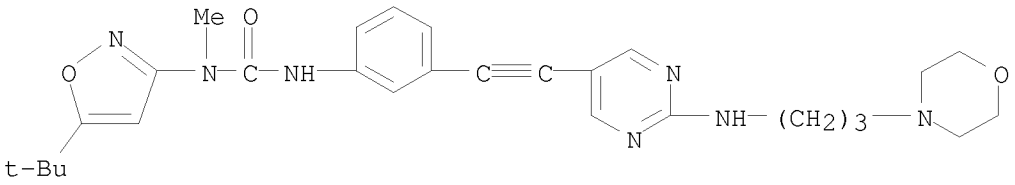
RN 857266-27-4 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-(1-piperazinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



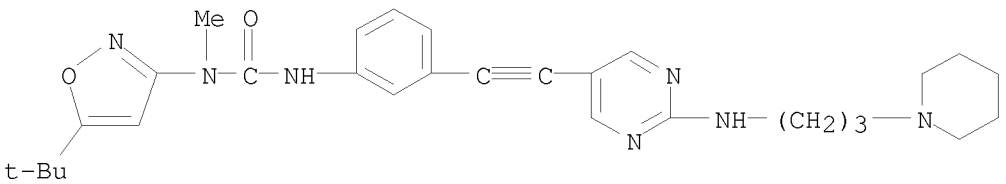
RN 857266-29-6 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N-methyl-N'-[3-[2-[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



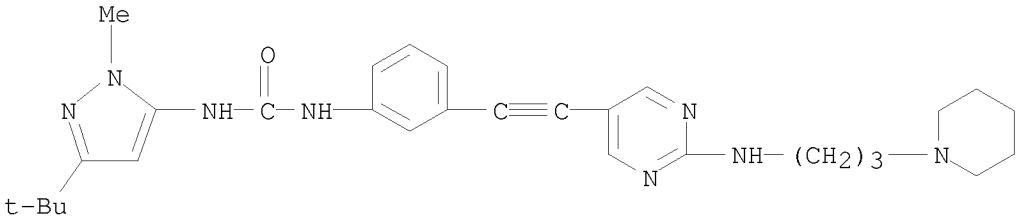
RN 857266-32-1 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N-methyl-N'-[3-[2-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



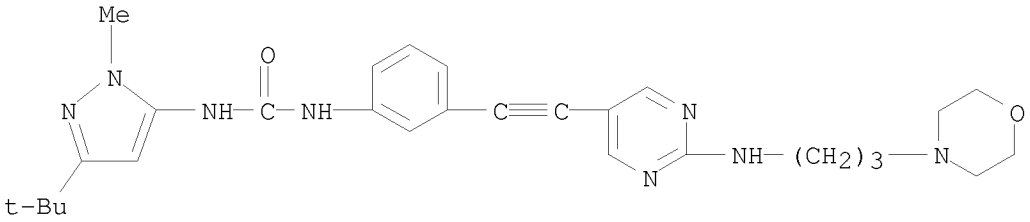
RN 857266-33-2 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N-methyl-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



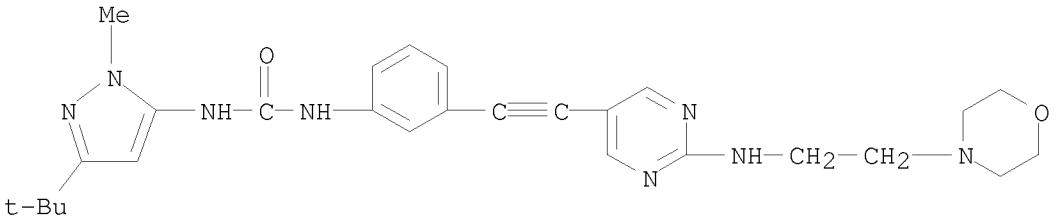
RN 857266-34-3 CAPLUS
CN Urea, N-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



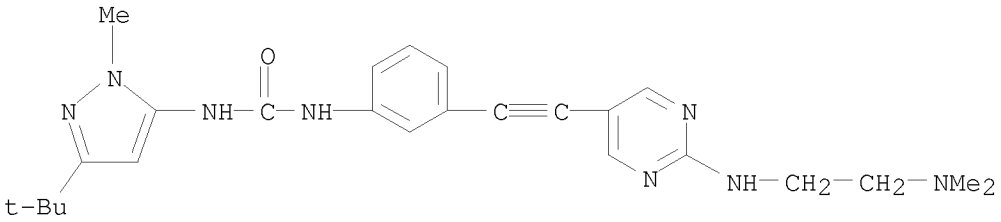
RN 857266-36-5 CAPLUS
CN Urea, N-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]-N'-[3-[2-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



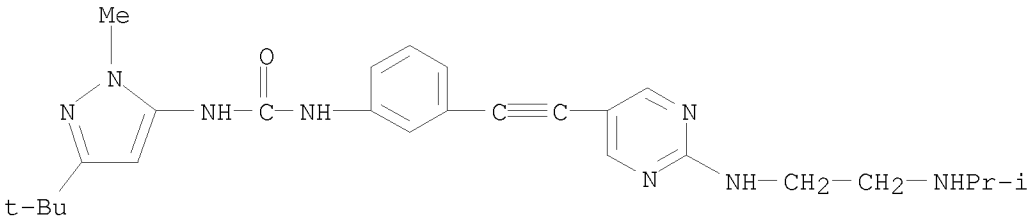
RN 857266-37-6 CAPLUS
CN Urea, N-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]-N'-[3-[2-[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



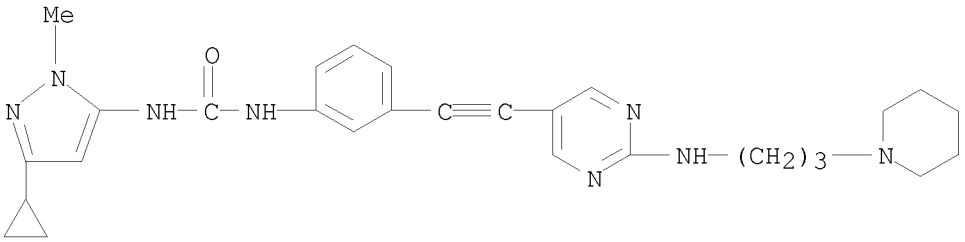
RN 857266-38-7 CAPLUS
CN Urea, N-[3-[2-[2-[[2-(dimethylamino)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]- (CA INDEX NAME)



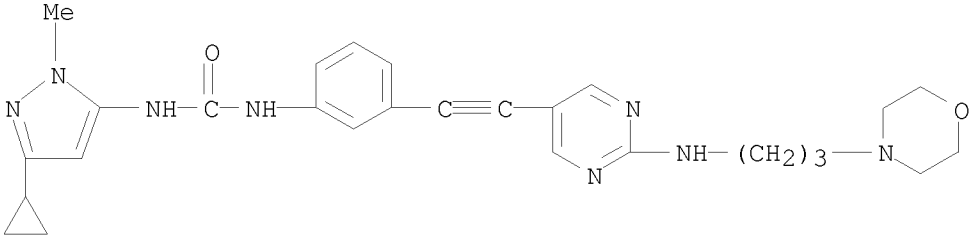
RN 857266-39-8 CAPLUS
CN Urea, N-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]-N'-[3-[2-[2-[[2-[(1-methylethyl)amino]ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



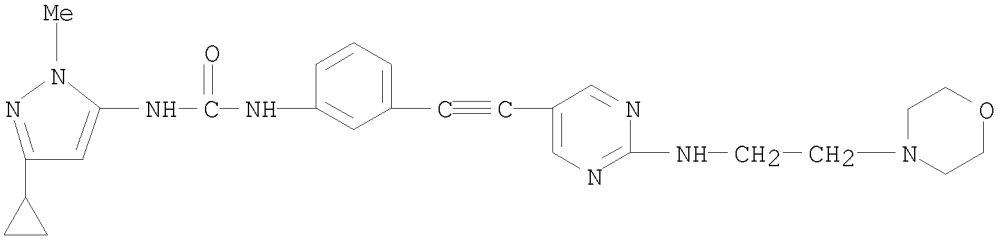
RN 857266-40-1 CAPLUS
CN Urea, N-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857266-42-3 CAPLUS
CN Urea, N-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[2-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

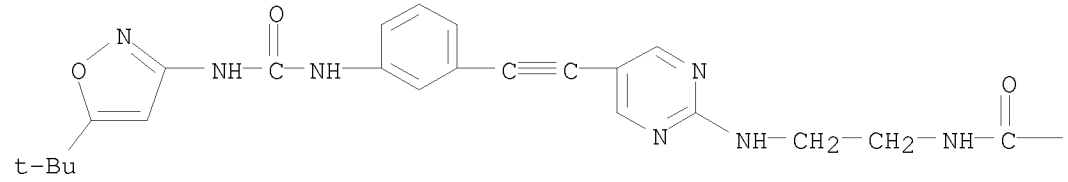


RN 857266-43-4 CAPLUS
CN Urea, N-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[2-[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

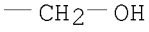


RN 857266-44-5 CAPLUS
CN Acetamide, N-[2-[[5-[2-[3-[[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]ethynyl]-2-pyrimidinyl]amino]ethyl]-2-hydroxy- (CA INDEX NAME)

PAGE 1-A

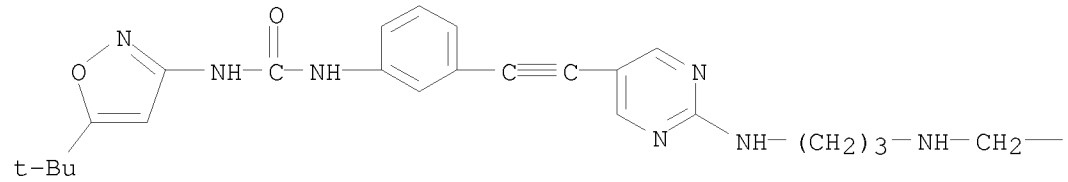


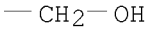
PAGE 1-B



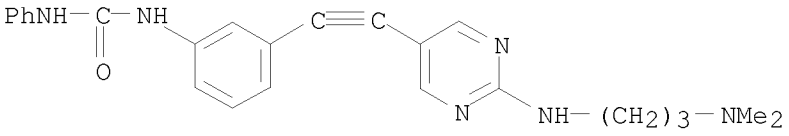
RN 857266-45-6 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-[(2-hydroxyethyl)amino]propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

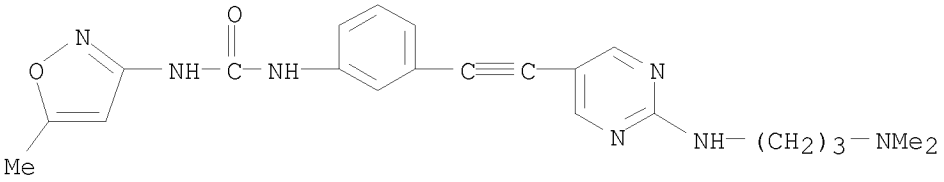




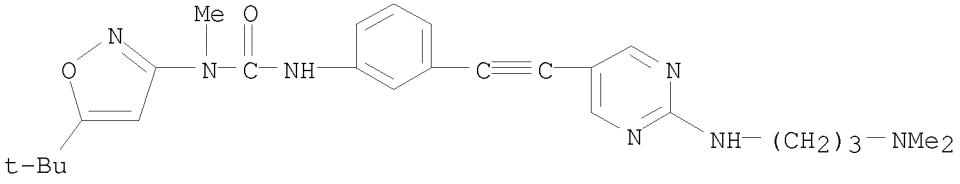
RN 857266-48-9 CAPLUS
CN Urea, N-[3-[2-[2-[[3-(dimethylamino)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-phenyl- (CA INDEX NAME)



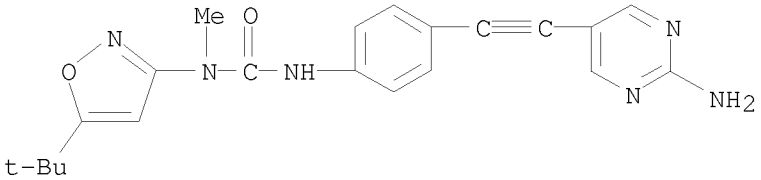
RN 857266-49-0 CAPLUS
CN Urea, N-[3-[2-[2-[[3-(dimethylamino)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-(5-methyl-3-isoxazolyl)- (CA INDEX NAME)



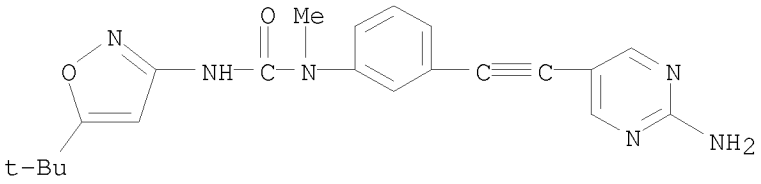
RN 857266-50-3 CAPLUS
CN Urea, N'-[3-[2-[2-[[3-(dimethylamino)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N-methyl- (CA INDEX NAME)



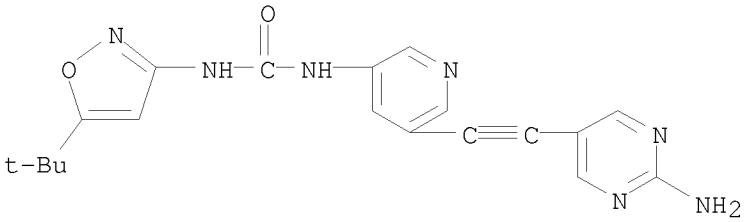
RN 857266-51-4 CAPLUS
CN Urea, N'-[4-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N-methyl- (CA INDEX NAME)



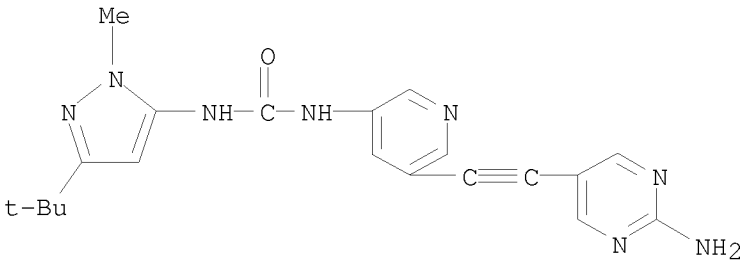
RN 857266-53-6 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N-methyl- (CA INDEX NAME)



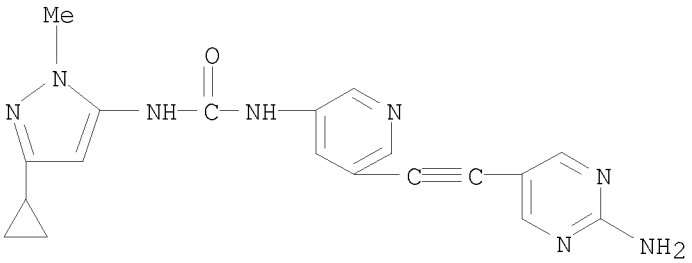
RN 857266-57-0 CAPLUS
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-3-pyridinyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



RN 857266-61-6 CAPLUS
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-3-pyridinyl]-N'-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]- (CA INDEX NAME)

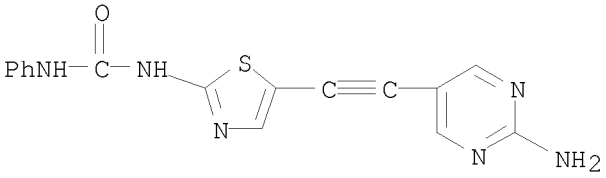


RN 857266-63-8 CAPLUS
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-3-pyridinyl]-N'-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)

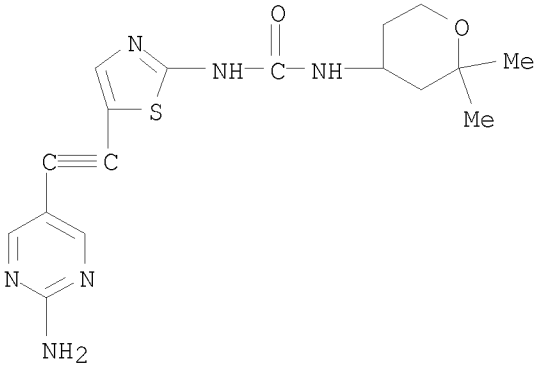


RN 857266-64-9 CAPLUS
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-2-thiazolyl]-N'-phenyl- (CA

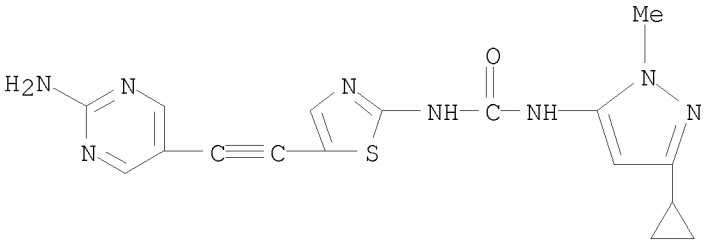
INDEX NAME)



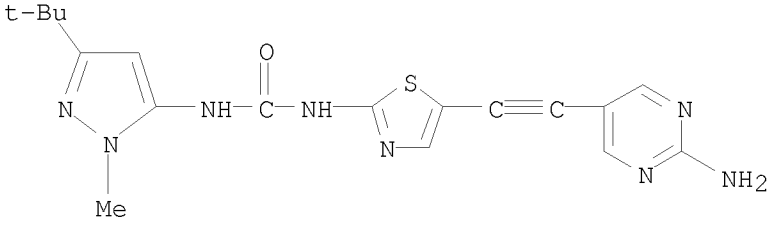
RN 857266-65-0 CAPLUS
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-2-thiazolyl]-N'-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)- (CA INDEX NAME)



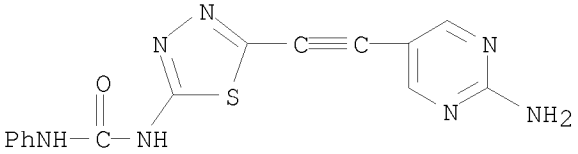
RN 857266-67-2 CAPLUS
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-2-thiazolyl]-N'-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)



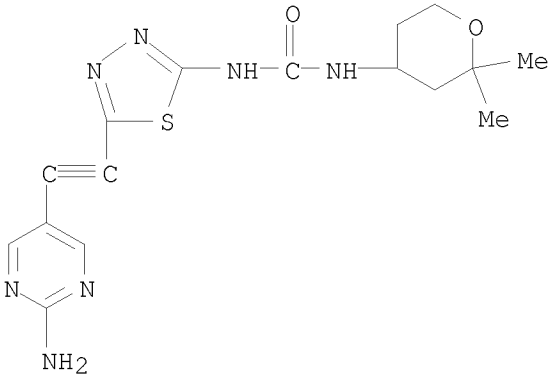
RN 857266-70-7 CAPLUS
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-2-thiazolyl]-N'-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]- (CA INDEX NAME)



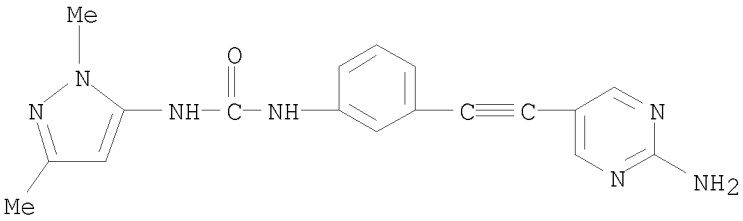
RN 857266-74-1 CAPLUS
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-1,3,4-thiadiazol-2-yl]-N'-phenyl- (CA INDEX NAME)



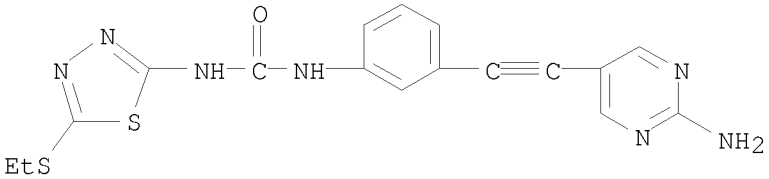
RN 857266-78-5 CAPLUS
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-1,3,4-thiadiazol-2-yl]-N'-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)- (CA INDEX NAME)



RN 857266-82-1 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(1,3-dimethyl-1H-pyrazol-5-yl)- (CA INDEX NAME)

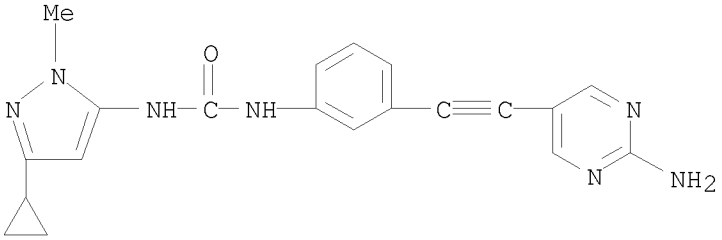


RN 857266-84-3 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[5-(ethylthio)-1,3,4-thiadiazol-2-yl]- (CA INDEX NAME)

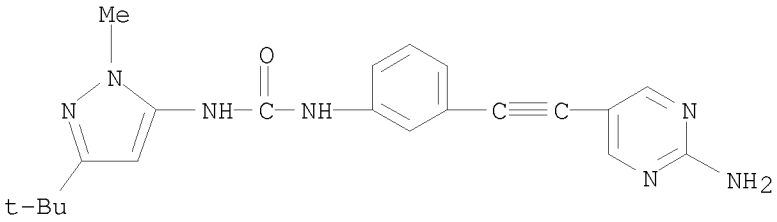


RN 857266-86-5 CAPLUS

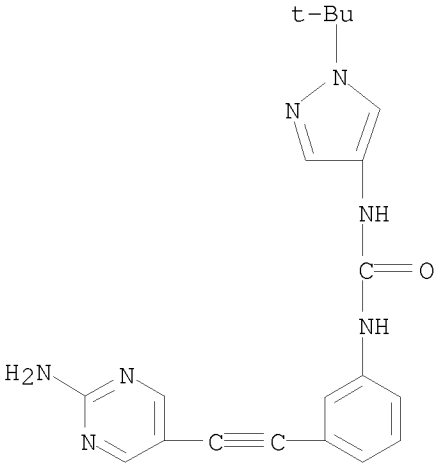
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)



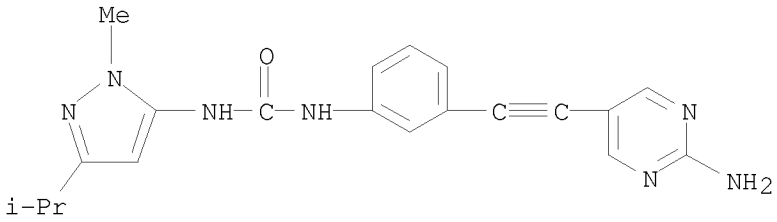
RN 857266-88-7 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]- (CA INDEX NAME)



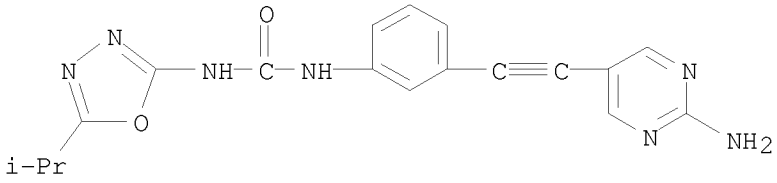
RN 857266-90-1 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[1-(1,1-dimethylethyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)



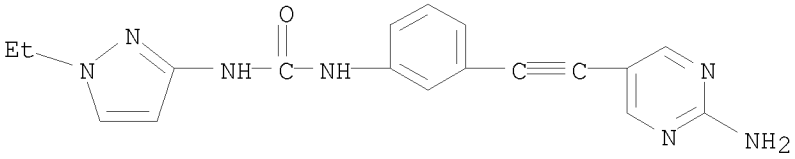
RN 857266-93-4 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[1-methyl-3-(1-methylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



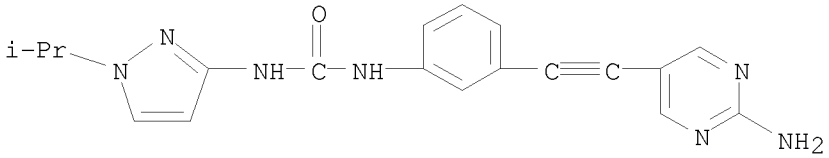
RN 857266-96-7 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[5-(1-methylethyl)-1,3,4-oxadiazol-2-yl]- (CA INDEX NAME)



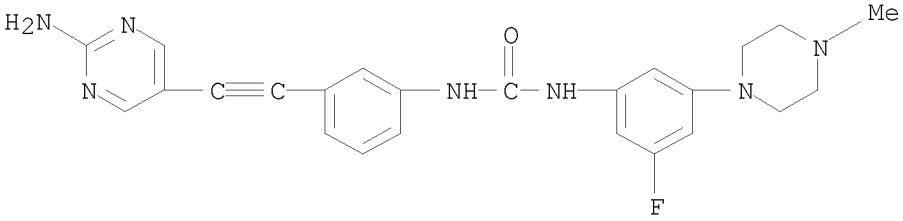
RN 857266-99-0 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(1-ethyl-1H-pyrazol-3-yl)- (CA INDEX NAME)



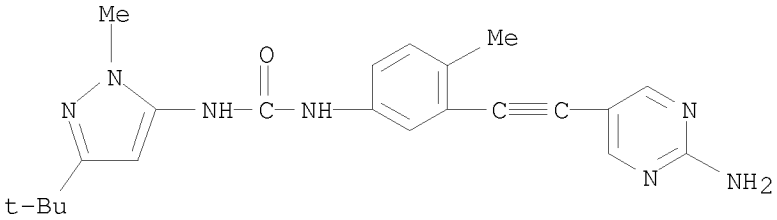
RN 857267-02-8 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[1-(1-methylethyl)-1H-pyrazol-3-yl]- (CA INDEX NAME)



RN 857267-06-2 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[3-fluoro-5-(4-methyl-1-piperazinyl)phenyl]- (CA INDEX NAME)

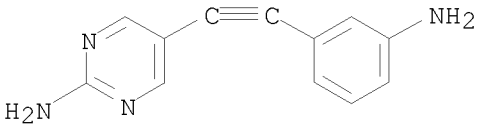


RN 857267-09-5 CAPLUS
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]-4-methylphenyl]-N'-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]- (CA INDEX NAME)

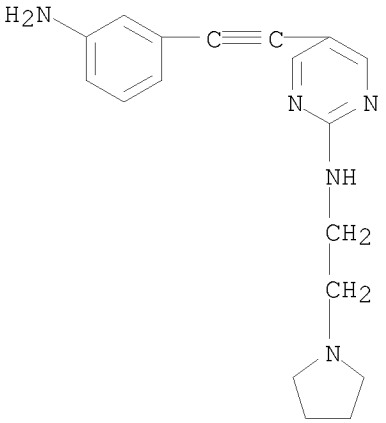


IT 857264-92-7P, 5-[(3-Aminophenyl)ethynyl]pyrimidin-2-amine
857265-44-2P, 5-[(3-Aminophenyl)ethynyl]-N-[2-(pyrrolidin-1-yl)ethyl]pyrimidin-2-amine 857265-45-3P, 5-[(3-Aminophenyl)ethynyl]-N-[2-(morpholin-4-yl)ethyl]pyrimidin-2-amine 857265-46-4P, 5-[(3-Aminophenyl)ethynyl]-N-[3-(morpholin-4-yl)propyl]pyrimidin-2-amine 857265-67-9P, 5-[(4-Aminophenyl)ethynyl]-N-[2-(pyrrolidin-1-yl)ethyl]pyrimidin-2-amine 857265-74-8P, 2-Amino-5-ethynylpyrimidine 857265-75-9P, 5-[(Trimethylsilyl)ethynyl]pyrimidin-2-amine 857265-90-8P, 5-[(4-Aminophenyl)ethynyl]pyrimidin-2-amine 857266-08-1P, 5-[(3-Aminophenyl)ethynyl]-N-[3-(piperidin-1-yl)propyl]pyrimidin-2-amine 857266-23-0P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[(pyrrolidin-2-yl)methyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-24-1P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[[1-(tert-butoxycarbonyl)pyrrolidin-2-yl]methyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-47-8P, N'-[5-[(3-Aminophenyl)ethynyl]pyrimidin-2-yl]-N,N-dimethylpropane-1,3-diamine 857266-52-5P, Phenyl [4-[(2-aminopyrimidin-5-yl)ethynyl]phenyl]carbamate 857266-54-7P, 5-[[3-(Methylamino)phenyl]ethynyl]pyrimidin-2-amine 857266-56-9P, tert-Butyl N-methyl-N-[3-[(2-aminopyrimidin-5-yl)ethynyl]phenyl]carbamate 857266-58-1P, 5-[(5-Aminopyridin-3-yl)ethynyl]pyrimidin-2-amine 857266-60-5P, tert-Butyl [5-[(2-aminopyrimidin-5-yl)ethynyl]pyridin-3-yl]carbamate 857267-10-8P, 5-[(5-Amino-2-methylphenyl)ethynyl]pyrimidin-2-amine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidine derivs. as inhibitors of Tie2 receptor tyrosine kinases)

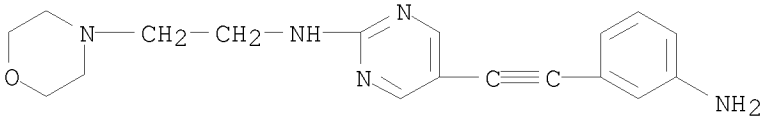
RN 857264-92-7 CAPLUS
CN 2-Pyrimidinamine, 5-[2-(3-aminophenyl)ethynyl]- (CA INDEX NAME)



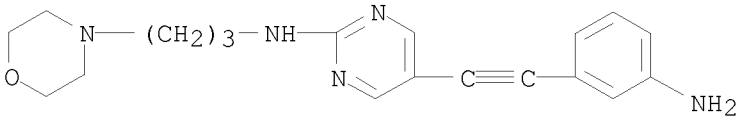
RN 857265-44-2 CAPLUS
CN 2-Pyrimidinamine, 5-[2-(3-aminophenyl)ethynyl]-N-[2-(1-pyrrolidinyl)ethyl]-
(CA INDEX NAME)



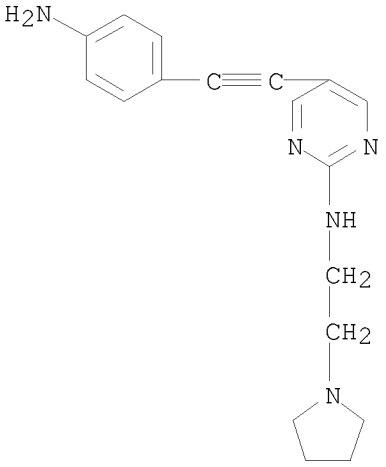
RN 857265-45-3 CAPLUS
CN 4-Morpholineethanamine, N-[5-[2-(3-aminophenyl)ethynyl]-2-pyrimidinyl]-
(CA INDEX NAME)



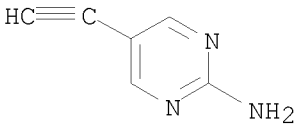
RN 857265-46-4 CAPLUS
CN 4-Morpholinepropanamine, N-[5-[2-(3-aminophenyl)ethynyl]-2-pyrimidinyl]-
(CA INDEX NAME)



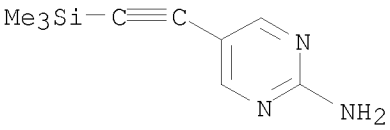
RN 857265-67-9 CAPLUS
CN 2-Pyrimidinamine, 5-[2-(4-aminophenyl)ethynyl]-N-[2-(1-pyrrolidinyl)ethyl]-
(CA INDEX NAME)



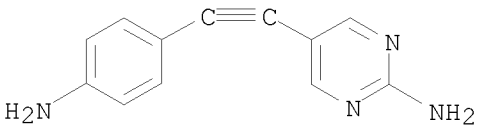
RN 857265-74-8 CAPLUS
CN 2-Pyrimidinamine, 5-ethynyl- (CA INDEX NAME)



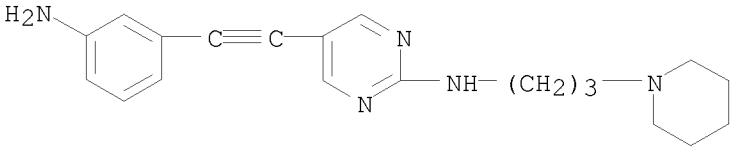
RN 857265-75-9 CAPLUS
CN 2-Pyrimidinamine, 5-[2-(trimethylsilyl)ethynyl]- (CA INDEX NAME)



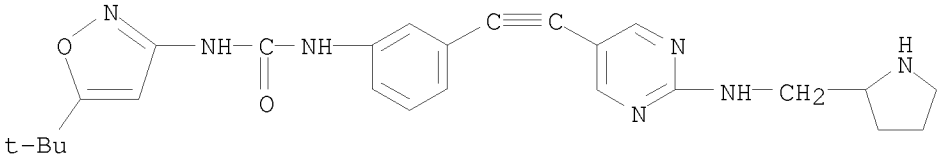
RN 857265-90-8 CAPLUS
CN 2-Pyrimidinamine, 5-[2-(4-aminophenyl)ethynyl]- (CA INDEX NAME)



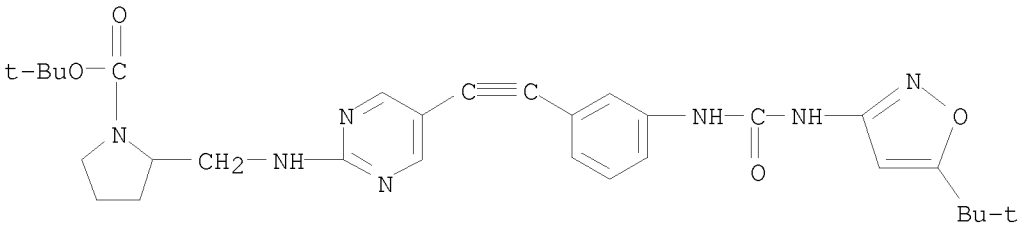
RN 857266-08-1 CAPLUS
CN 2-Pyrimidinamine, 5-[2-(3-aminophenyl)ethynyl]-N-[3-(1-piperidinyl)propyl]-
(CA INDEX NAME)



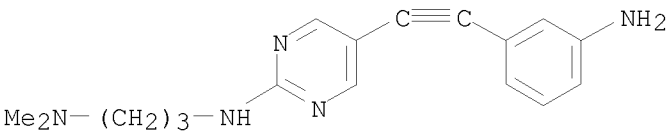
RN 857266-23-0 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[(2-pyrrolidinylmethyl)amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



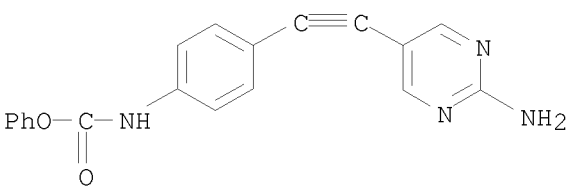
RN 857266-24-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[5-[2-[3-[[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]ethynyl]-2-pyrimidinyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



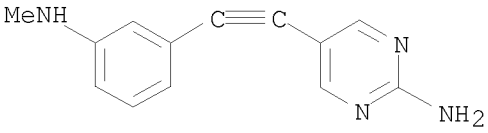
RN 857266-47-8 CAPLUS
CN 1,3-Propanediamine, N3-[5-[2-(3-aminophenyl)ethynyl]-2-pyrimidinyl]-N1,N1-dimethyl- (CA INDEX NAME)



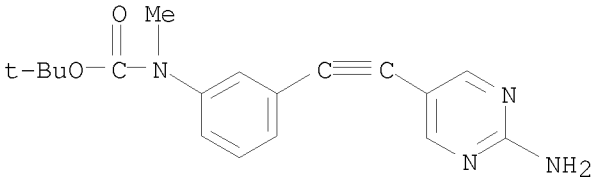
RN 857266-52-5 CAPLUS
CN Carbamic acid, [4-[(2-amino-5-pyrimidinyl)ethynyl]phenyl]-, phenyl ester (9CI) (CA INDEX NAME)



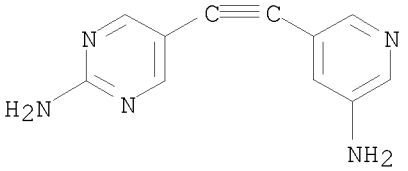
RN 857266-54-7 CAPLUS
CN 2-Pyrimidinamine, 5-[2-[3-(methylamino)phenyl]ethynyl]- (CA INDEX NAME)



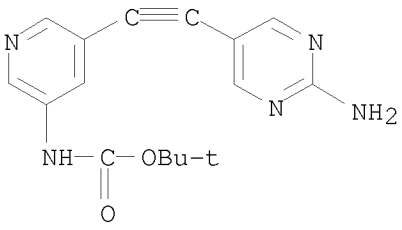
RN 857266-56-9 CAPLUS
CN Carbamic acid, [3-[(2-amino-5-pyrimidinyl)ethynyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



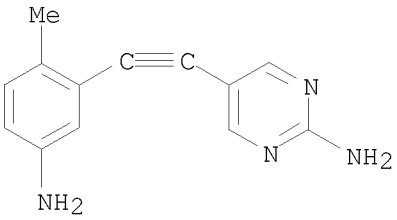
RN 857266-58-1 CAPLUS
CN 2-Pyrimidinamine, 5-[2-(5-amino-3-pyridinyl)ethynyl]- (CA INDEX NAME)



RN 857266-60-5 CAPLUS
CN Carbamic acid, [5-[(2-amino-5-pyrimidinyl)ethynyl]-3-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 857267-10-8 CAPLUS
CN 2-Pyrimidinamine, 5-[2-(5-amino-2-methylphenyl)ethynyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	265.41	446.28

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-36.00	-36.00

STN INTERNATIONAL LOGOFF AT 14:16:17 ON 08 SEP 2008